

Connecting via Winsock to STN

Welcome to STN International! Enter x:X

LOGINID:SSPTABEM1624

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JUL 28	CA/CAPplus patent coverage enhanced
NEWS	3	JUL 28	EPFULL enhanced with additional legal status information from the epline Register
NEWS	4	JUL 28	IFICDB, IFIPAT, and IFIUIDB reloaded with enhancements
NEWS	5	JUL 28	STN Viewer performance improved
NEWS	6	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS	7	AUG 13	CA/CAPplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS	8	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS	9	AUG 15	CAPplus currency for Korean patents enhanced
NEWS	10	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS	11	SEP 18	Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS	12	SEP 25	CA/CAPplus current-awareness alert options enhanced to accommodate supplemental CAS indexing of exemplified prophetic substances
NEWS	13	SEP 26	WPIDS, WPINDEX, and WPIX coverage of Chinese and and Korean patents enhanced
NEWS	14	SEP 29	IFICLS enhanced with new super search field
NEWS	15	SEP 29	EMBASE and EMBAL enhanced with new search and display fields
NEWS	16	SEP 30	CAS patent coverage enhanced to include exemplified prophetic substances identified in new Japanese- language patents
NEWS	17	OCT 07	EPFULL enhanced with full implementation of EPC2000
NEWS	18	OCT 07	Multiple databases enhanced for more flexible patent number searching
NEWS	19	OCT 22	Current-awareness alert (SDI) setup and editing enhanced
NEWS	20	OCT 22	WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications
NEWS	21	OCT 24	CHEMLIST enhanced with intermediate list of pre-registered REACH substances
NEWS EXPRESS	JUNE 27 08		CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS LOGIN			Welcome Banner and News Items
NEWS IPC8			For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that  
specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 16:17:26 ON 04 NOV 2008

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 16:17:33 ON 04 NOV 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 NOV 2008 HIGHEST RN 1070514-14-5

DICTIONARY FILE UPDATES: 3 NOV 2008 HIGHEST RN 1070514-14-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

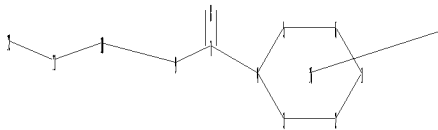
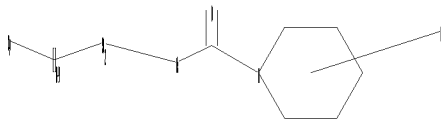
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\STNEXP\Queries\10535268.str



chain nodes :

7 8 9 10 11 12

ring nodes :

1 2 3 4 5 6

ring/chain nodes :

15

chain bonds :

4-7 7-8 7-9 9-10 10-11 11-12

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 7-8 7-9 9-10 11-12

exact bonds :

10-11  
isolated ring systems :  
containing 1 :

Match level :

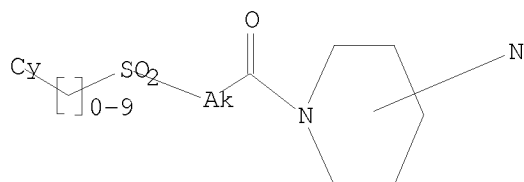
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:Atom 15:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 16:17:57 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 7788 TO ITERATE

25.7% PROCESSED 2000 ITERATIONS

2 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 150470 TO 161050

PROJECTED ANSWERS: 2 TO 322

L2 2 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 16:18:04 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 153368 TO ITERATE

100.0% PROCESSED 153368 ITERATIONS

252 ANSWERS

SEARCH TIME: 00.00.02

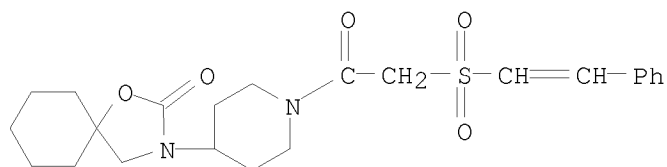
L3 252 SEA SSS FUL L1

=> d scan

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN 1-Oxa-3-azaspiro[4.5]decan-2-one, 3-[1-[2-[(2-phenylethenyl)sulfonyl]acetyl]-4-piperidinyl]-

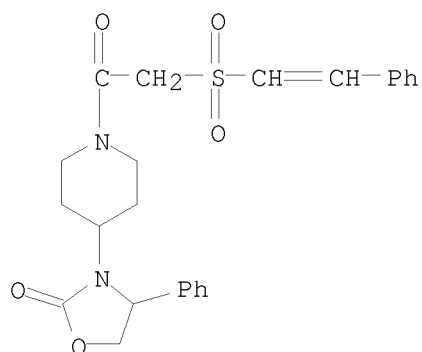
MF C23 H30 N2 O5 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

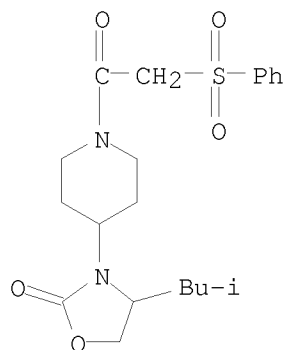
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 2-Oxazolidinone, 4-phenyl-3-[1-[2-[(2-phenylethenyl)sulfonyl]acetyl]-4-piperidinyl]-  
 MF C24 H26 N2 O5 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 2-Oxazolidinone, 4-(2-methylpropyl)-3-[1-[2-(phenylsulfonyl)acetyl]-4-piperidinyl]-  
 MF C20 H28 N2 O5 S



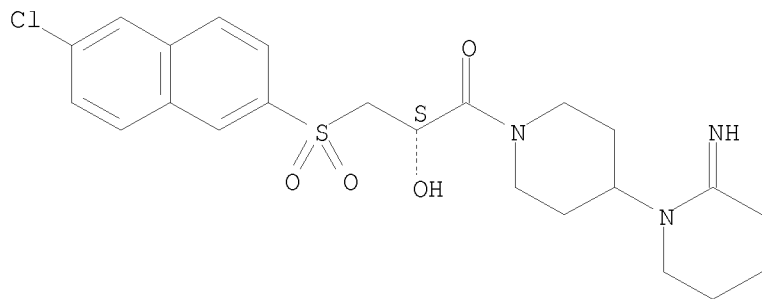
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

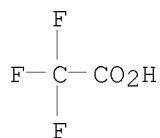
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Piperidine, 1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-(2-imino-1-piperidinyl)-, mono(trifluoroacetate) (salt) (9CI)  
MF C23 H28 Cl N3 O4 S . C2 H F3 O2

CM 1

Absolute stereochemistry.

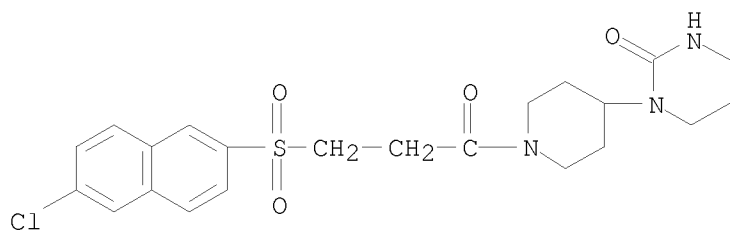


CM 2



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 2(1H)-Pyrimidinone, 1-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]tetrahydro-  
MF C22 H26 Cl N3 O4 S

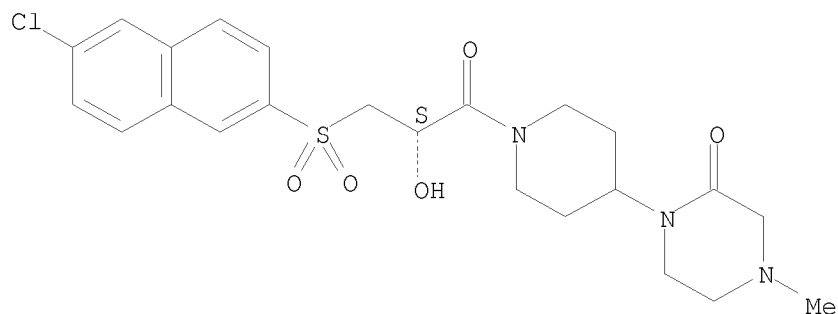


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 2-Piperazinone, 1-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-  
1-oxopropyl]-4-piperidinyl]-4-methyl-  
MF C23 H28 Cl N3 O5 S

Absolute stereochemistry.

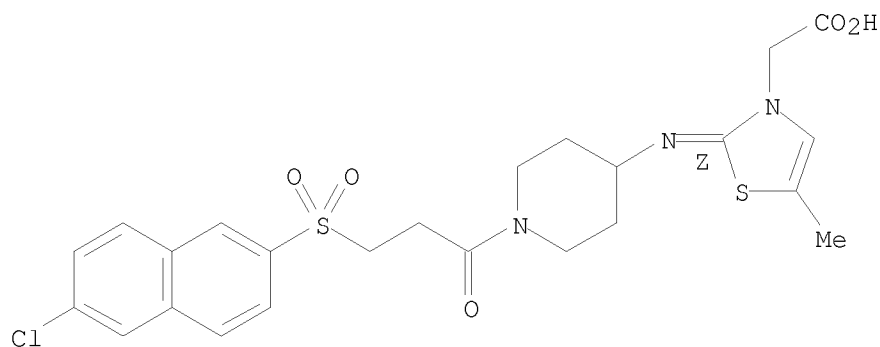


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 3(2H)-Thiazoleacetic acid, 2-[[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-  
oxopropyl]-4-piperidinyl]imino]-5-methyl-, (2Z)-  
MF C24 H26 Cl N3 O5 S2  
CI COM

Double bond geometry as shown.

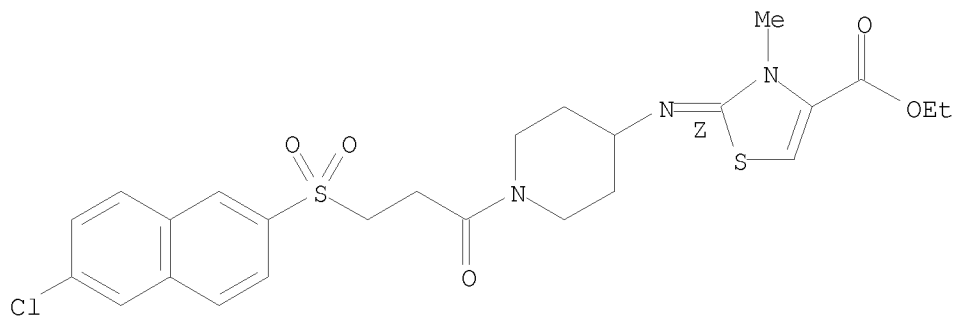


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 4-Thiazolecarboxylic acid, 2-[[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-  
oxopropyl]-4-piperidinyl]imino]-2,3-dihydro-3-methyl-, ethyl ester, (2Z)-  
MF C25 H28 Cl N3 O5 S2

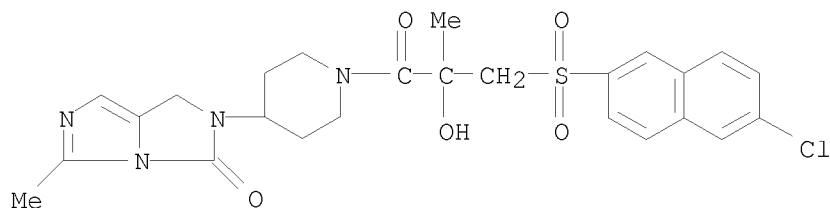
Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

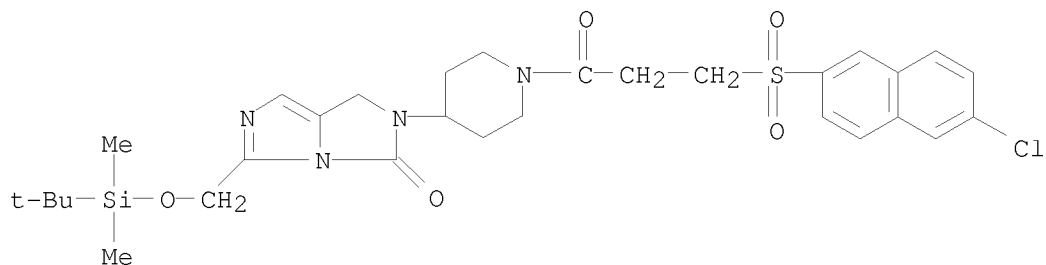
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-2-methyl-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-  
 MF C25 H27 Cl N4 O5 S  
 CI COM



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

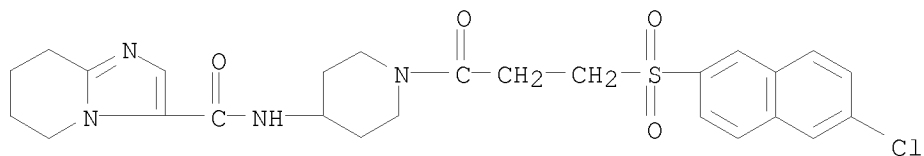
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,2-dihydro-  
 MF C30 H39 Cl N4 O5 S Si



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN Imidazo[1,2-a]pyridine-3-carboxamide,  
 N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-  
 5,6,7,8-tetrahydro-  
 MF C26 H29 Cl N4 O4 S



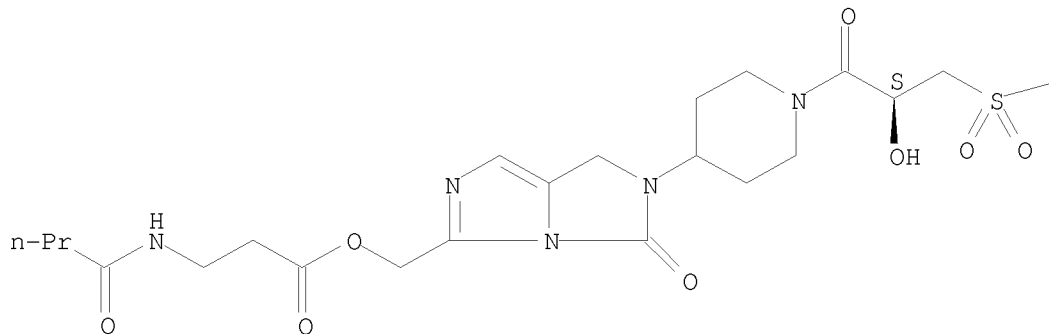
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN  $\beta$ -Alanine, N-(1-oxobutyl)-, [2-[1-[(2S)-3-[(6-chloro-2-  
 naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-  
 oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester  
 MF C31 H36 Cl N5 O8 S

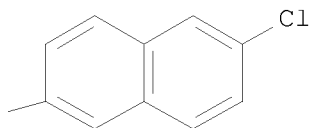
Absolute stereochemistry.

PAGE 1-A





PAGE 1-B



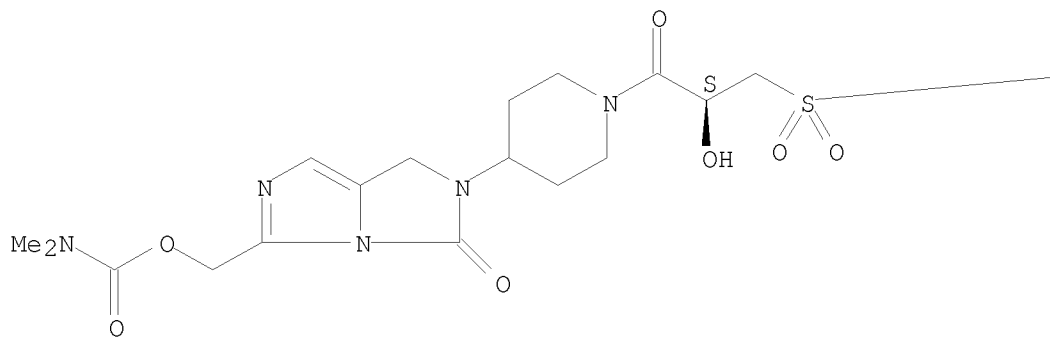
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

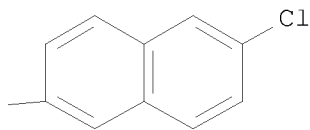
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Carbamic acid, dimethyl-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (9CI)  
MF C27 H30 Cl N5 O7 S

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

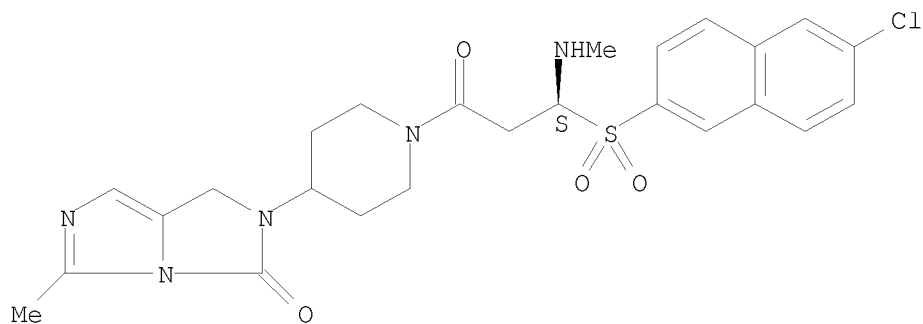


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(3S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-3-(methylamino)-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:2)  
MF C25 H28 Cl N5 O4 S . 2 Cl H

Absolute stereochemistry.

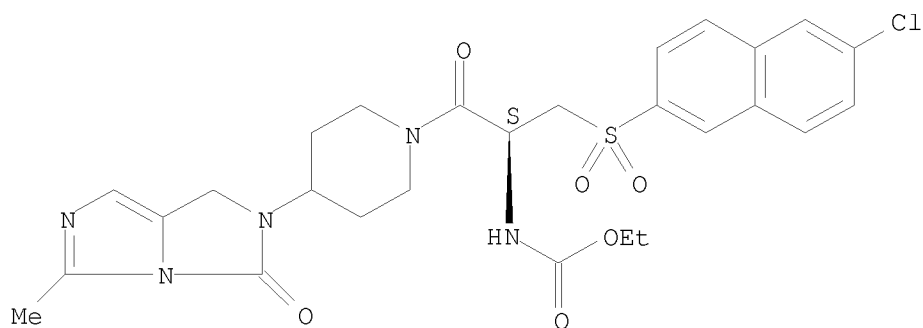


● 2 HCl

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN Carbamic acid, [(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, ethyl ester (9CI)  
 MF C27 H30 Cl N5 O6 S

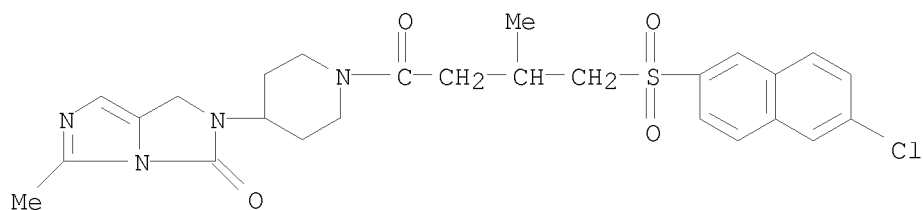
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

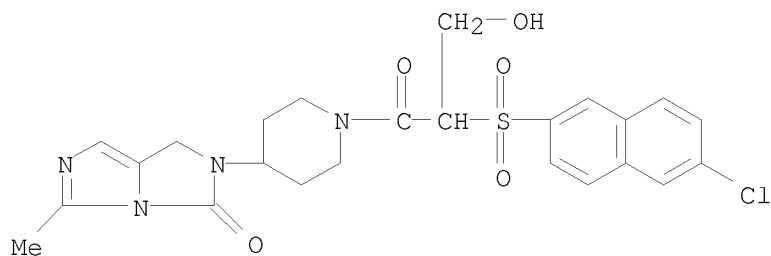
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[4-[(6-chloro-2-naphthalenyl)sulfonyl]-3-methyl-1-oxobutyl]-4-piperidinyl]-1,2-dihydro-5-methyl-  
 MF C26 H29 Cl N4 O4 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

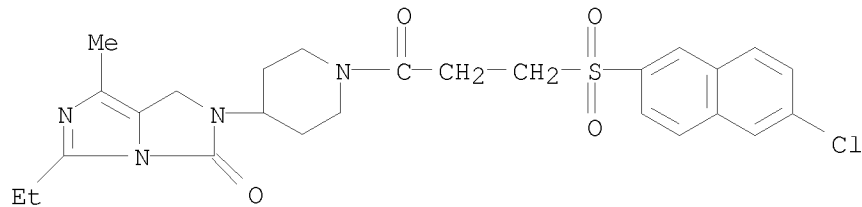
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[2-[(6-chloro-2-naphthalenyl)sulfonyl]-3-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-  
 MF C24 H25 Cl N4 O5 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

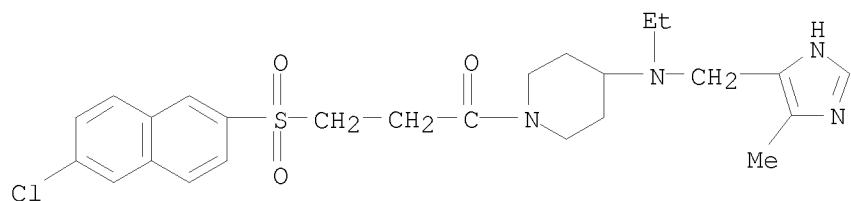
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-5-ethyl-1,2-dihydro-7-methyl-  
 MF C26 H29 Cl N4 O4 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

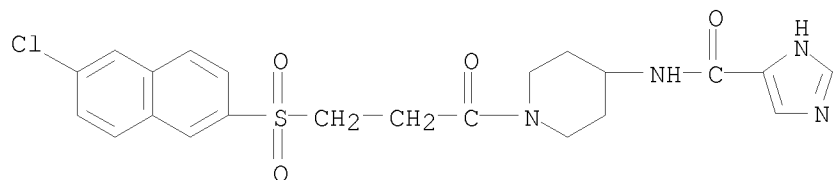
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[ethyl[(4-methyl-1H-imidazol-5-yl)methyl]amino]-1-piperidinyl]-  
MF C25 H31 Cl N4 O3 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

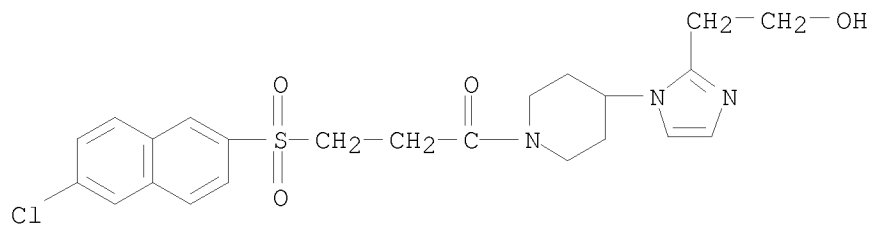
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 1H-Imidazole-5-carboxamide, N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-  
MF C22 H23 Cl N4 O4 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

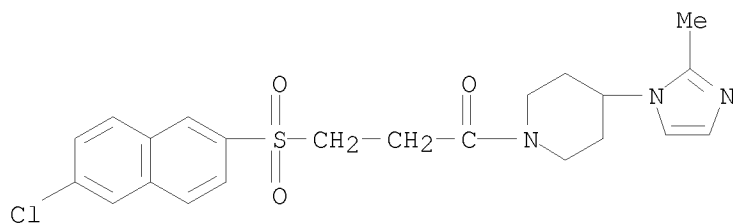
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[2-(2-hydroxyethyl)-1H-imidazol-1-yl]-1-piperidinyl]-  
MF C23 H26 Cl N3 O4 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

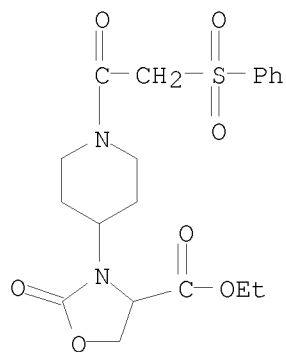
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(2-methyl-1H-imidazol-1-yl)-1-piperidinyl]-  
MF C22 H24 Cl N3 O3 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

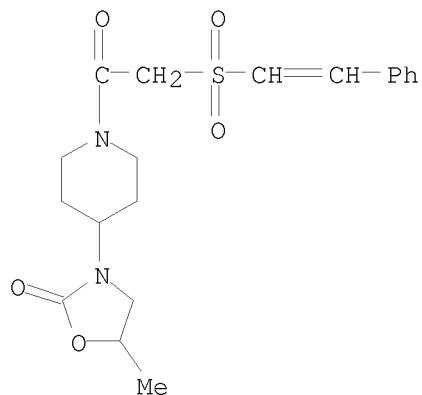
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 4-Oxazolidinecarboxylic acid, 2-oxo-3-[1-[2-(phenylsulfonyl)acetyl]-4-piperidinyl]-, ethyl ester  
MF C19 H24 N2 O7 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

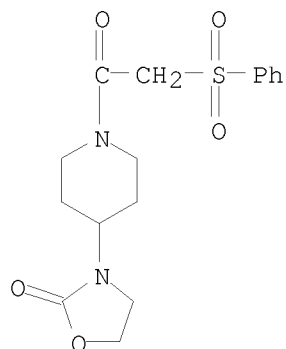
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 2-Oxazolidinone, 5-methyl-3-[1-[2-[(2-phenylethenyl)sulfonyl]acetyl]-4-piperidinyl]-  
MF C19 H24 N2 O5 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

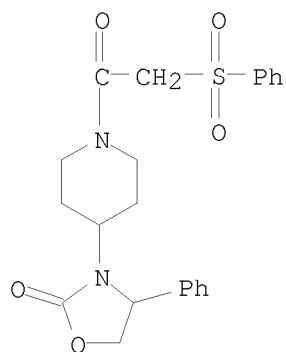
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 2-Oxazolidinone, 3-[1-[2-(phenylsulfonyl)acetyl]-4-piperidinyl]-  
 MF C16 H20 N2 O5 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 2-Oxazolidinone, 4-phenyl-3-[1-[2-(phenylsulfonyl)acetyl]-4-piperidinyl]-  
 MF C22 H24 N2 O5 S

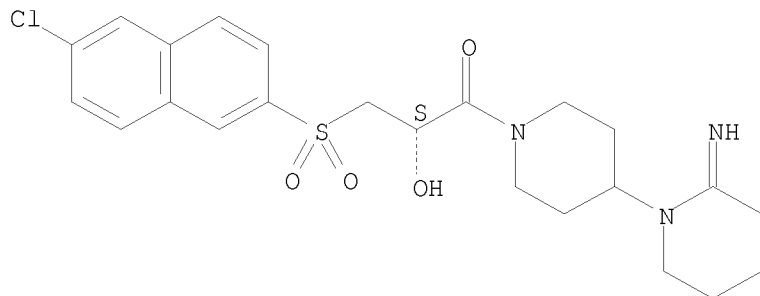


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-(2-imino[1,4'-bipiperidin]-1'-yl)-, (2S)-  
 MF C23 H28 Cl N3 O4 S  
 CI COM

Absolute stereochemistry.

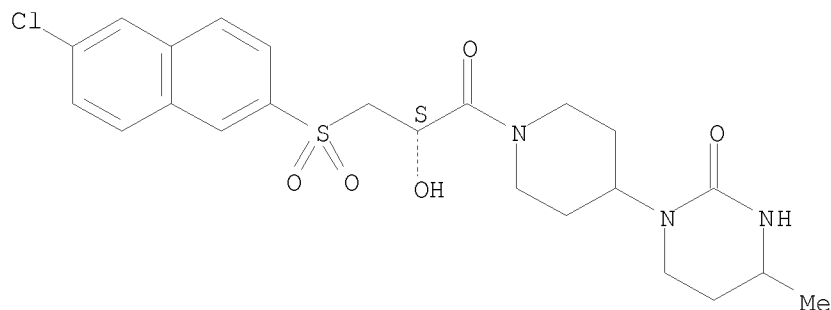


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN Piperidine, 1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-(tetrahydro-4-methyl-2-oxo-1(2H)-pyrimidinyl)- (9CI)  
 MF C23 H28 Cl N3 O5 S

Absolute stereochemistry.

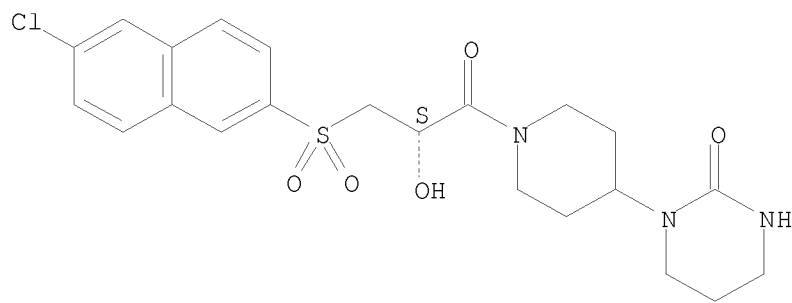


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 2(1H)-Pyrimidinone, 1-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]tetrahydro-  
 MF C22 H26 Cl N3 O5 S

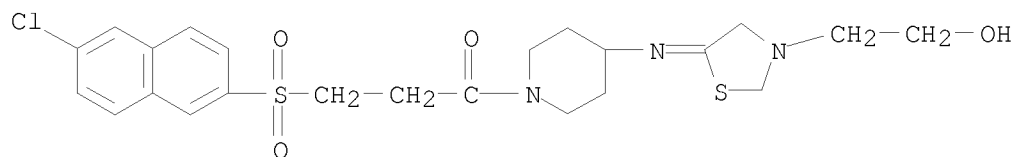
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[[3-(2-hydroxyethyl)-5-thiazolidinylidene]amino]-1-piperidinyl]-  
 MF C23 H28 Cl N3 O4 S2



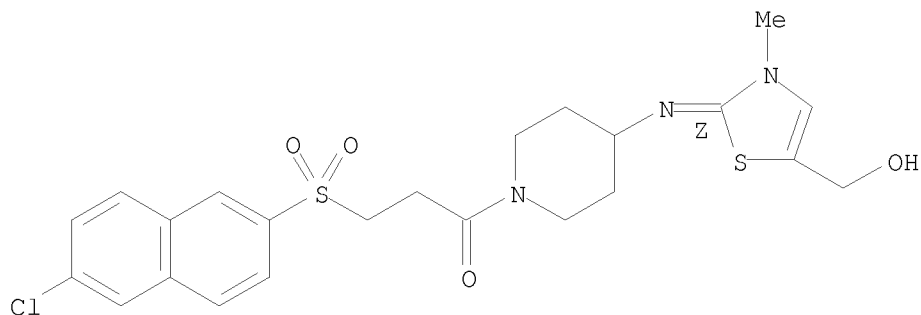


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(Z)-[5-(hydroxymethyl)-3-methyl-2(3H)-thiazolylidene]amino]-1-piperidinyl]-  
MF C23 H26 Cl N3 O4 S2

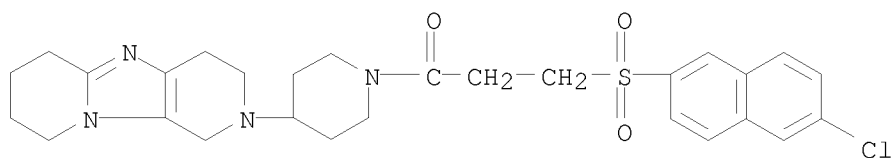
Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

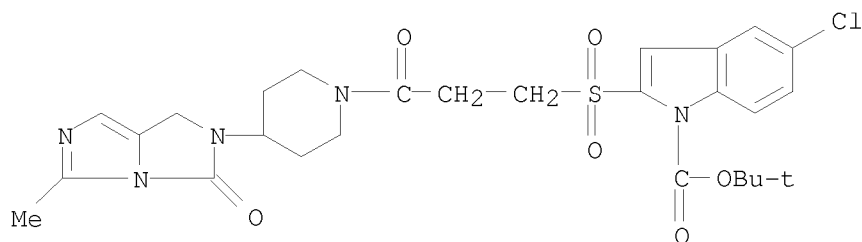
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(3,4,6,7,8,9-hexahydropyrido[4',3':4,5]imidazo[1,2-a]pyridin-2(1H)-yl)-1-piperidinyl]-  
MF C28 H33 Cl N4 O3 S  
CI COM



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 1H-Indole-1-carboxylic acid, 5-chloro-2-[[3-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-3-oxopropyl]sulfonyl]-, 1,1-dimethylethyl ester  
MF C27 H32 Cl N5 O6 S



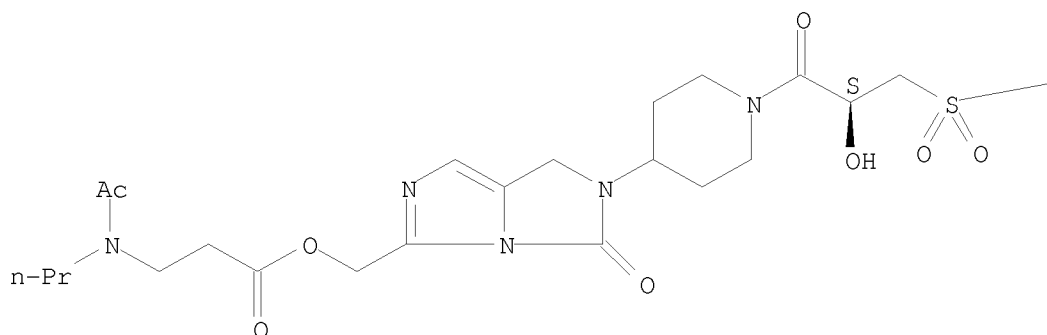
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HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

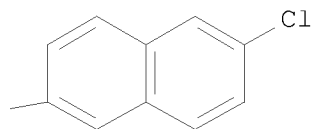
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN  $\beta$ -Alanine, N-acetyl-N-propyl-,  
 [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-  
 piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester  
 MF C32 H38 Cl N5 O8 S

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



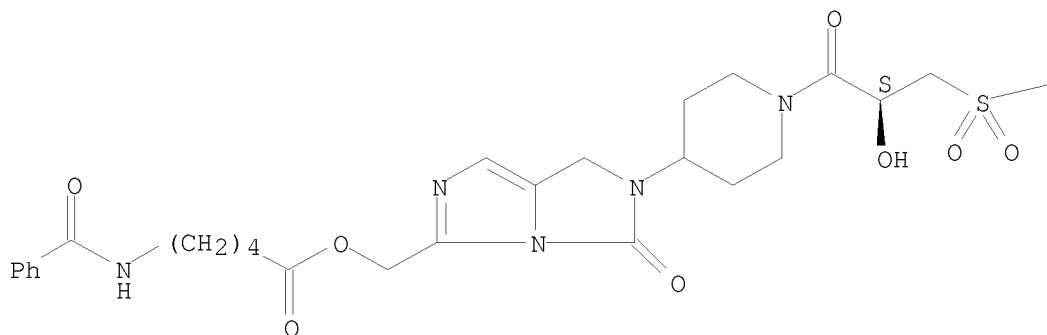
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

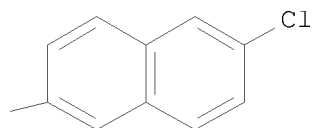
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN Pentanoic acid, 5-(benzoylamino)-,  
 [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-  
 piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester  
 MF C36 H38 Cl N5 O8 S

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

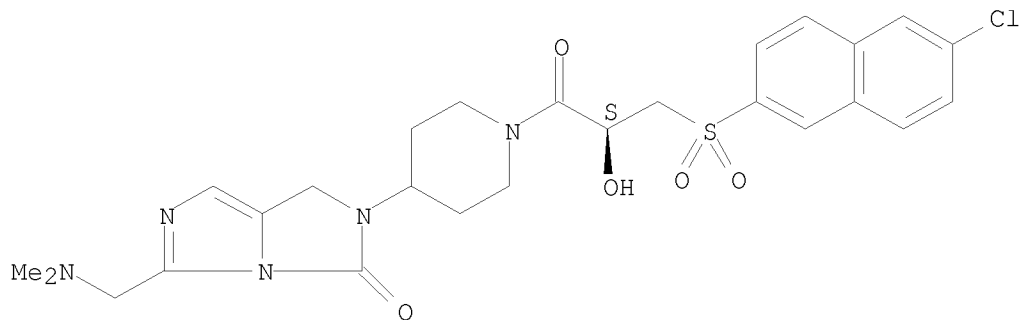


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-5-[(dimethylamino)methyl]-1,2-dihydro-  
MF C26 H30 Cl N5 O5 S

Absolute stereochemistry.



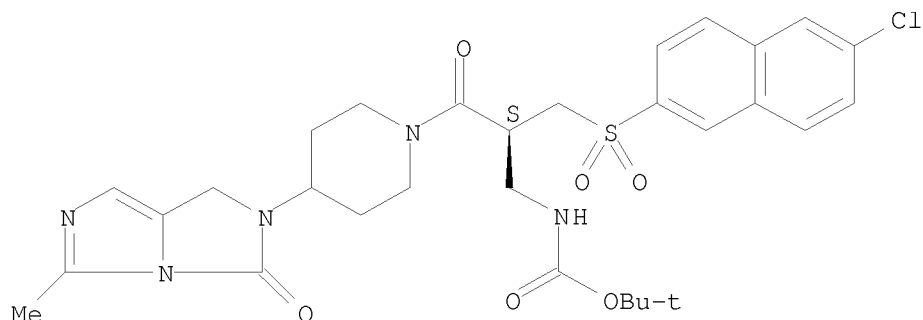
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Carbamic acid, [(2S)-2-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-3-[4-(5-

methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI)  
 MF C30 H36 Cl N5 O6 S

Absolute stereochemistry.

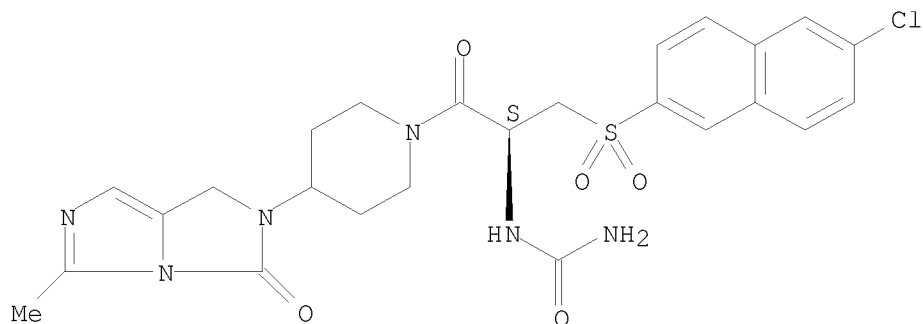


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN Urea, N-[(1S)-1-[[[6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-  
 MF C25 H27 Cl N6 O5 S

Absolute stereochemistry.

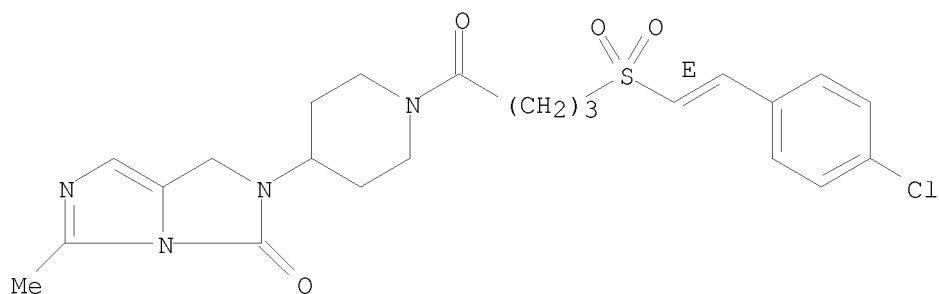


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[4-[[[(1E)-2-(4-chlorophenyl)ethenyl)sulfonyl]-1-oxobutyl]-4-piperidinyl]-1,2-dihydro-5-methyl-  
 MF C23 H27 Cl N4 O4 S

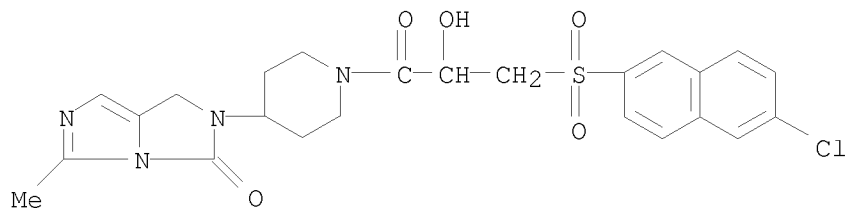
Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

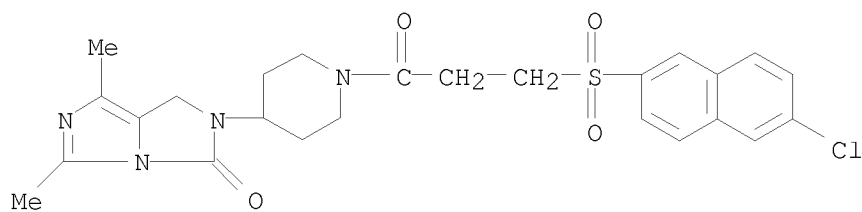
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-  
 MF C24 H25 Cl N4 O5 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

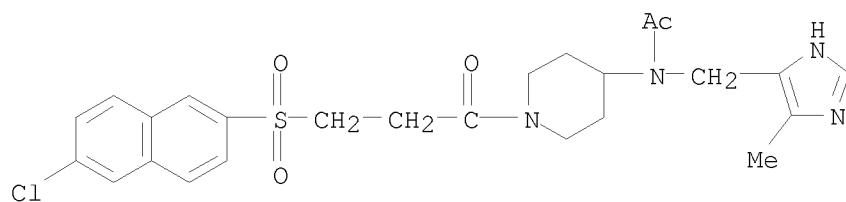
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5,7-dimethyl-  
 MF C25 H27 Cl N4 O4 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

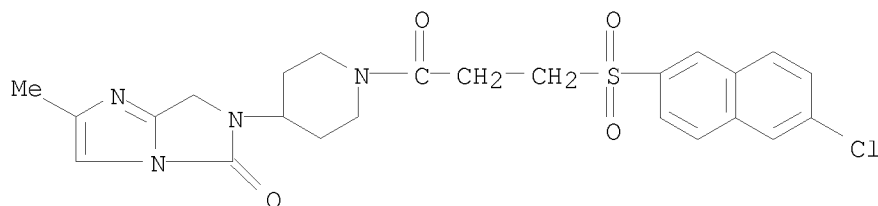
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Acetamide, N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-N-[(4-methyl-1H-imidazol-5-yl)methyl]-  
MF C25 H29 Cl N4 O4 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

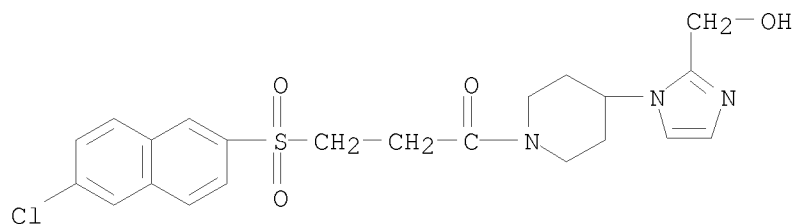
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 5H-Imidazo[1,5-a]imidazol-5-one, 6-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-6,7-dihydro-2-methyl-  
MF C24 H25 Cl N4 O4 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

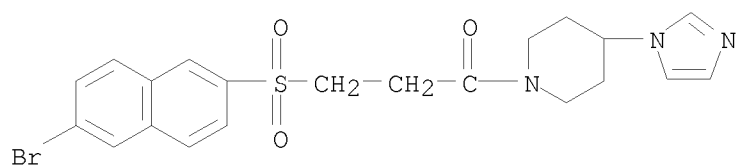
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[2-(hydroxymethyl)-1H-imidazol-1-yl]-1-piperidinyl]-  
MF C22 H24 Cl N3 O4 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

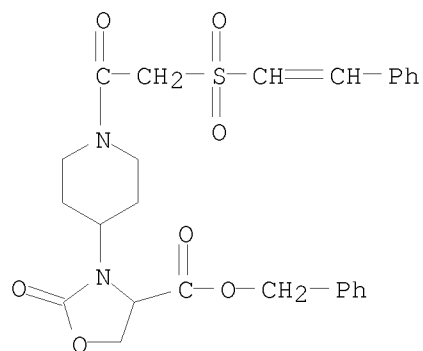
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 1-Propanone, 3-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[4-(1H-imidazol-1-yl)-  
 1-piperidinyl]-  
 MF C21 H22 Br N3 O3 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

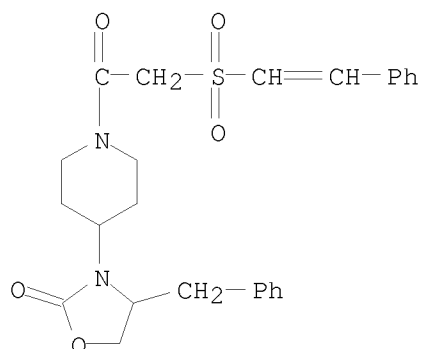
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 4-Oxazolidinecarboxylic acid, 2-oxo-3-[1-[2-[(2-  
 phenylethenyl)sulfonyl]acetyl]-4-piperidinyl]-, phenylmethyl ester  
 MF C26 H28 N2 O7 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

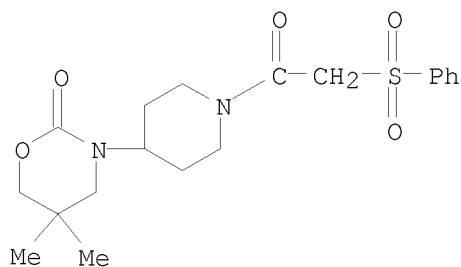
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 2-Oxazolidinone, 3-[1-[2-[(2-phenylethenyl)sulfonyl]acetyl]-4-piperidiny]-  
4-(phenylmethyl)-  
MF C25 H28 N2 O5 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 2H-1,3-Oxazin-2-one, tetrahydro-5,5-dimethyl-3-[1-[2-(phenylsulfonyl)acetyl]-4-piperidiny]-  
MF C19 H26 N2 O5 S



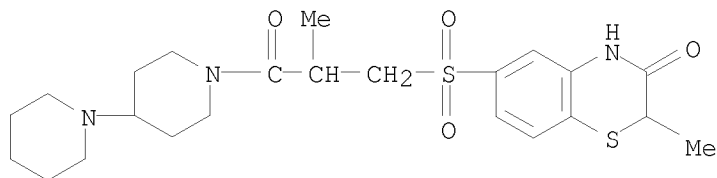
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN



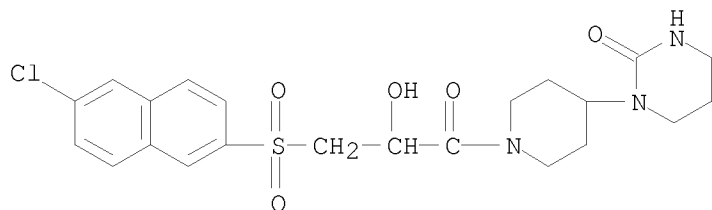
IN 2H-1,4-Benzothiazin-3(4H)-one, 6-[(3-[1,4'-bipiperidin]-1'-yl-2-methyl-3-oxopropyl)sulfonyl]-2-methyl-  
MF C23 H33 N3 O4 S2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 2(1H)-Pyrimidinone, 1-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]tetrahydro-  
MF C22 H26 Cl N3 O5 S

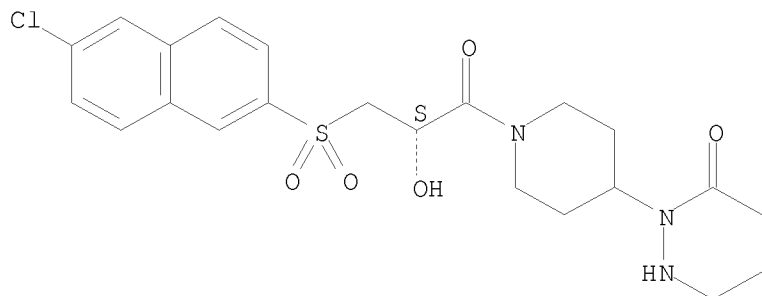


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 3(2H)-Pyridazinone, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]tetrahydro-  
MF C22 H26 Cl N3 O5 S

Absolute stereochemistry.

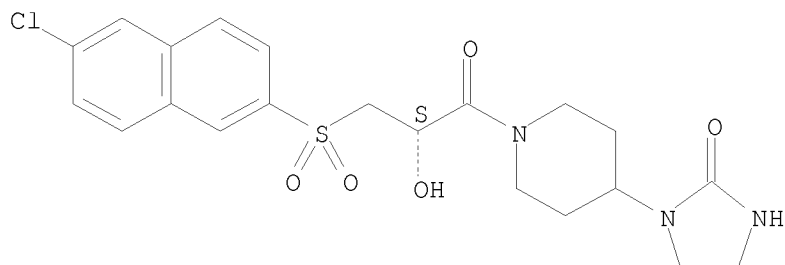


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 2-Imidazolidinone, 1-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-  
 MF C21 H24 Cl N3 O5 S

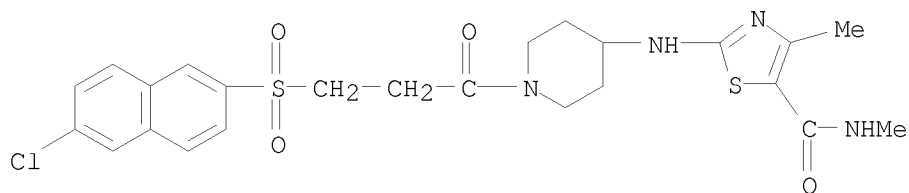
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 5-Thiazolecarboxamide, 2-[[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]amino]-N,4-dimethyl-  
 MF C24 H27 Cl N4 O4 S2

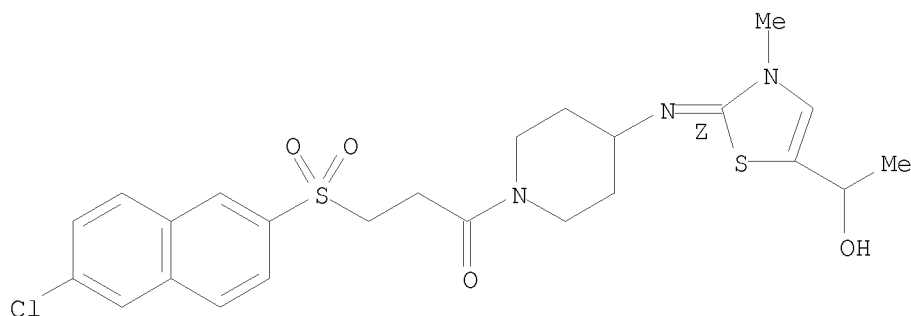


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(Z)-[5-(1-hydroxyethyl)-3-methyl-2(3H)-thiazolylidene]amino]-1-piperidinyl]-  
MF C24 H28 Cl N3 O4 S2

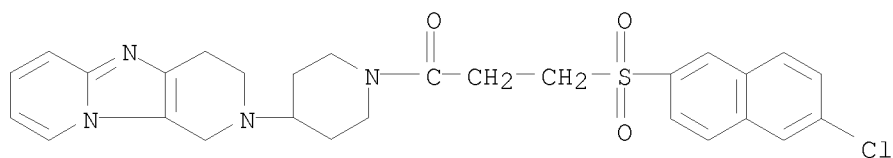
Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

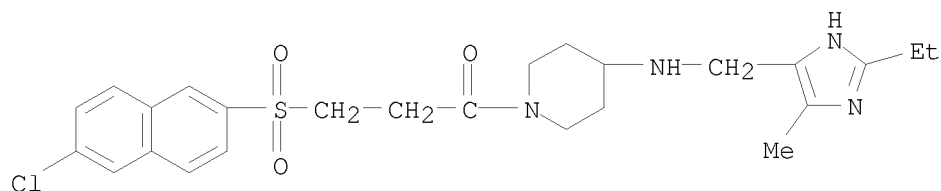
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(3,4-dihydropyrido[4',3':4,5]imidazo[1,2-a]pyridin-2(1H)-yl)-1-piperidinyl]-  
MF C28 H29 Cl N4 O3 S  
CI COM



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[[2-ethyl-4-methyl-1H-imidazol-5-yl)methyl]amino]-1-piperidinyl]-  
MF C25 H31 Cl N4 O3 S



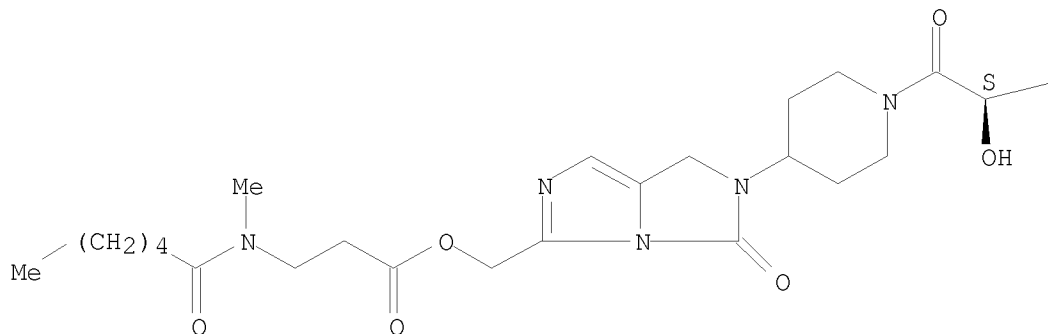
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

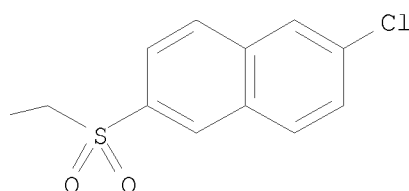
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN  $\beta$ -Alanine, N-methyl-N-(1-oxohexyl)-,  
 [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-  
 piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester  
 MF C34 H42 Cl N5 O8 S

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



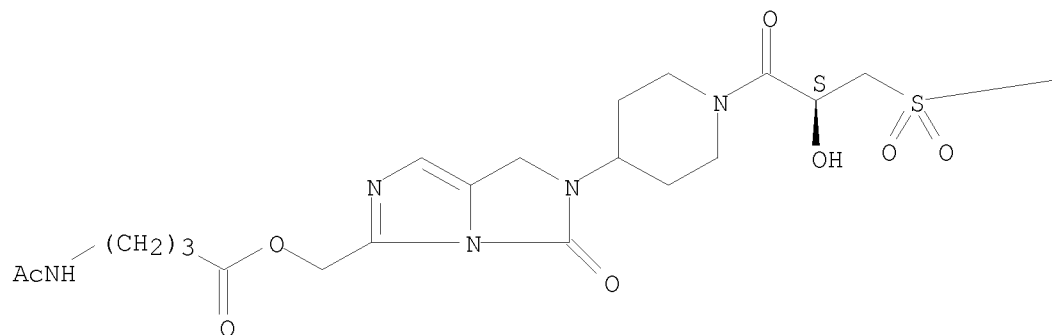
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

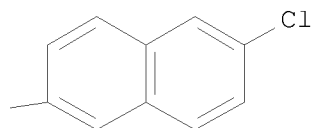
L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN Butanoic acid, 4-(acetylamino)-, [2-[1-[(2S)-3-[(6-chloro-2-  
 naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-  
 oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester  
 MF C30 H34 Cl N5 O8 S

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



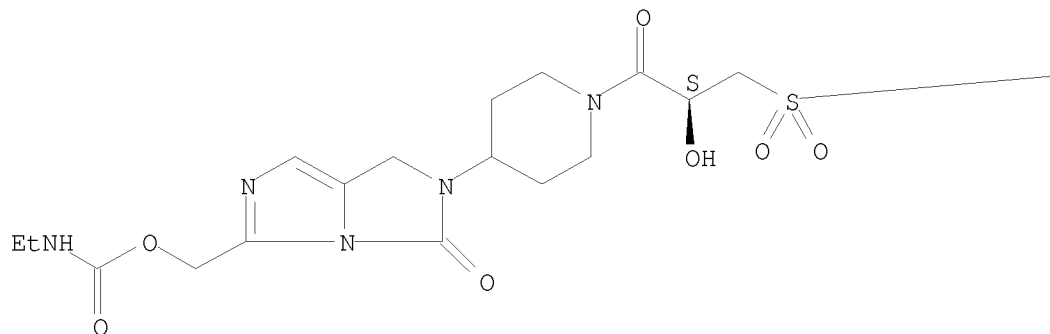
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

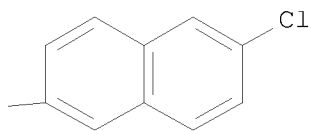
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Carbamic acid, ethyl-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester (9CI)  
MF C27 H30 Cl N5 O7 S

Absolute stereochemistry.

PAGE 1-A



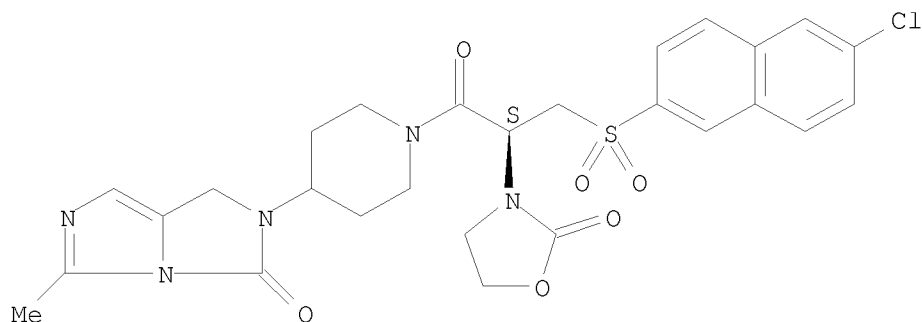


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxo-2-(2-oxo-3-oxazolidinyl)propyl]-4-piperidinyl]-1,2-dihydro-5-methyl-  
 MF C27 H28 Cl N5 O6 S

Absolute stereochemistry.

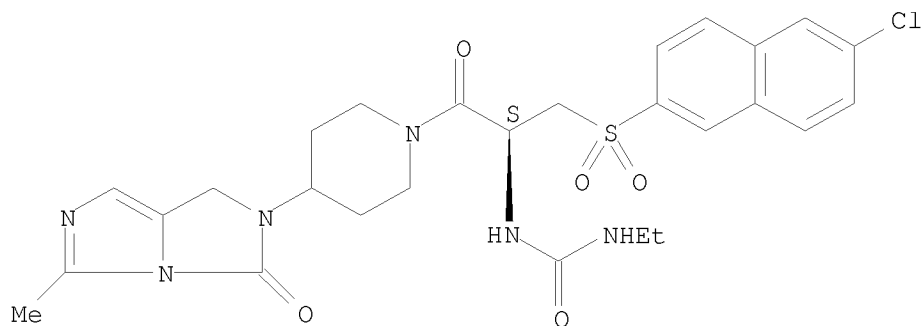


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 252 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN Urea, N-[(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-N'-ethyl-  
 MF C27 H31 Cl N6 O5 S

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil cap

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

180.20

180.41

FILE 'CAPLUS' ENTERED AT 16:20:31 ON 04 NOV 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 4 Nov 2008 VOL 149 ISS 19

FILE LAST UPDATED: 3 Nov 2008 (20081103/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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L4 17 L3

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L5 12 L4 AND (PRY<2004)

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L5 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:251311 CAPLUS

DOCUMENT NUMBER: 148:308364

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent S.; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhenmin; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh; Kirschmeier, Paul; Bannerji, Rajat

PATENT ASSIGNEE(S): Shering Corporation and Pharmacoepia, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 387 pp., Cont.-in-part of U.S. Ser. No. 396,079.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080050384	A1	20080228	US 2007-788847	20070420 <--
CN 1880317	A	20061220	CN 2006-10101322	20030903 <--
US 7161003	B2	20070109	US 2003-654546	20030903 <--
US 20070037824	A1	20070215		
US 20040209878	A1	20041021	US 2004-776988	20040211 <--
US 7119200	B2	20061010		
ZA 2005001855	A	20060329	ZA 2005-1855	20060117 <--
US 20070054925	A1	20070308	US 2006-396079	20060331 <--
WO 2008130570	A1	20081030	WO 2008-US4907	20080416

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

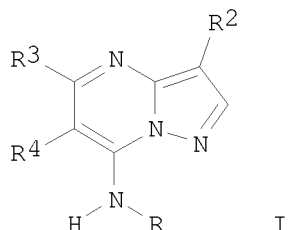
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CN 2003-824997	A3	20030903 <--

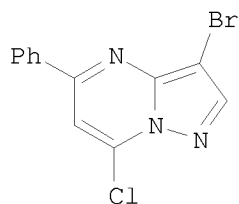


OTHER SOURCE(S):  
GI

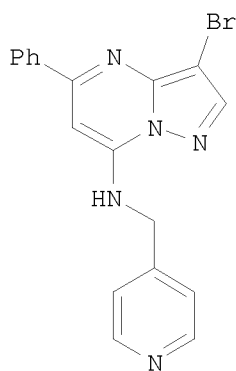
MARPAT 148:308364



I



II



III

AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R<sup>2</sup> = alkyl, halo, aryl, etc.; R<sup>3</sup> = H, halo, aryl, etc.; R<sup>4</sup> = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared. Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC<sub>50</sub> of 0.020  $\mu$ M and 0.029  $\mu$ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical compns. comprising the compound I alone or in combination with other therapeutic agents are claimed.

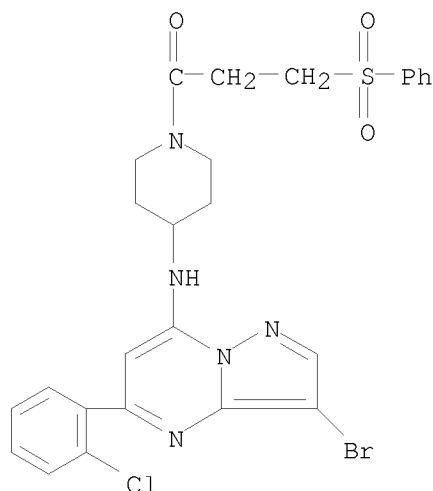
IT 677789-58-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 677789-58-1 CAPLUS

CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)



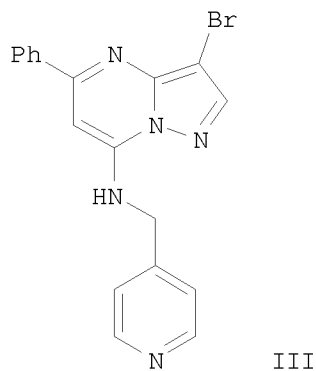
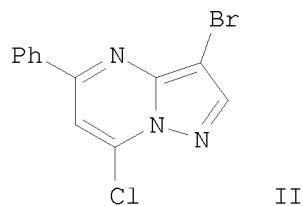
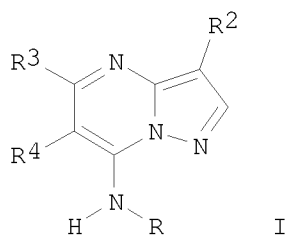
L5 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:1395785 CAPLUS  
 DOCUMENT NUMBER: 148:55084  
 TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors  
 INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Labroli, Marc; Keertikar, Kartik M.  
 PATENT ASSIGNEE(S): Schering Corporation, USA  
 SOURCE: U.S. Pat. Appl. Publ., 497pp., Cont.-in-part of U.S. Ser. No. 710,644.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 10  
 PATENT INFORMATION:

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US 20070281951	A1	20071206	US 2007-788856	20070420 <--
CN 1880317	A	20061220	CN 2006-10101322	20030903 <--
US 7161003	B2	20070109	US 2003-654546	20030903 <--
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US 20040209878	A1	20041021	US 2004-776988	20040211 <--
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US 7196078	B2	20070327		
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US 20070225270	A1	20070927	US 2007-710644	20070223 <--
WO 2008130569	A1	20081030	WO 2008-US4906	20080416
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.:

US 2002-408027P	P	20020904 <--
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US 2004-776988	A2	20040211
US 2005-245401	A3	20051006
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CN 2003-824997	A3	20030903 <--
US 2007-788856	A	20070420

OTHER SOURCE(S): MARPAT 148:55084  
GI



AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020  $\mu$ M and 0.029  $\mu$ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I, alone or in combination with other therapeutic agent, is claimed.

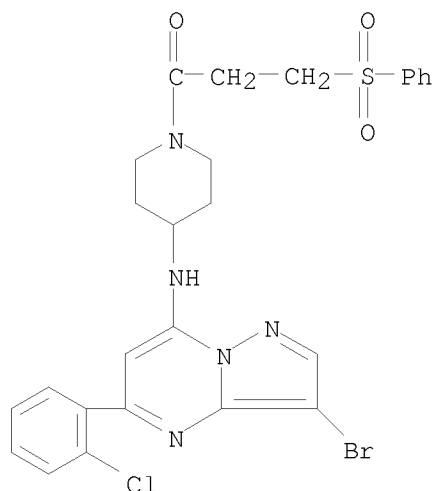
IT 677789-58-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 677789-58-1 CAPLUS

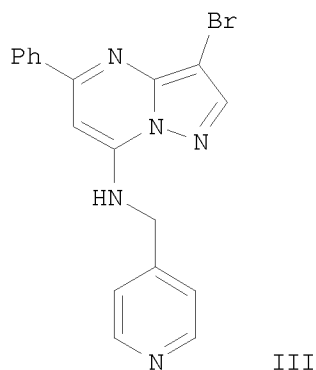
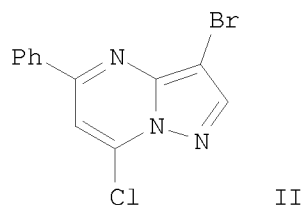
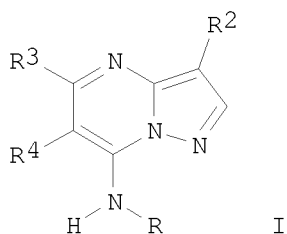
CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)



L5 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:579598 CAPLUS  
 DOCUMENT NUMBER: 145:62916  
 TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors  
 INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Labroli, Marc; Keertikar, Kartik M.  
 PATENT ASSIGNEE(S): Schering Corporation, USA  
 SOURCE: U.S. Pat. Appl. Publ., 1068 pp., Cont.-in-part of U.S. Ser. No. 776,988.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 10  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060128725	A1	20060615	US 2005-245401	20051006 <--
US 7196078	B2	20070327		
CN 1880317	A	20061220	CN 2006-10101322	20030903 <--
US 7161003	B2	20070109	US 2003-654546	20030903 <--
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 EP 1931677 A2 20080618 EP 2006-836186 20061004  
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 US 20070225270 A1 20070927 US 2007-710644 20070223 <--  
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 US 2002-421959P P 20021029 <--  
 US 2003-654546 A2 20030903 <--  
 US 2004-776988 A2 20040211  
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 US 2005-245401 A2 20051006  
 WO 2006-US38939 W 20061004  
 US 2007-710644 A2 20070223  
 OTHER SOURCE(S): MARPAT 145:62916  
 GI



AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020  $\mu$ M and 0.029  $\mu$ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed.

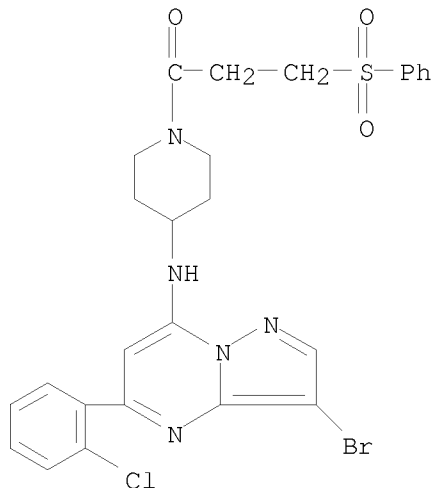
IT 677789-58-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 677789-58-1 CAPLUS

CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:300422 CAPLUS

DOCUMENT NUMBER: 142:373822

TITLE: Preparation of thiazoline derivatives as FXa inhibitors

INVENTOR(S): Kubo, Keiji; Kuroita, Takanobu; Kawamura, Masaki; Sakamoto, Hiroki

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 192 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030740	A1	20050407	WO 2004-JP14685	20040929 <--
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 PRIORITY APPLN. INFO.: JP 2003-341430 A 20030930 <--  
 WO 2004-JP14685 W 20040929  
 OTHER SOURCE(S): MARPAT 142:373822  
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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R = (un)substituted cyclic hydrocarbon group, (un)substituted heterocyclic group; X = bond, (un)substituted divalent chain hydrocarbon group; X' = bond, NR5; R5 = H, (un)substituted hydrocarbon group, etc.; Y = (un)substituted divalent hydrocarbon group; Y' = bond, carbonyl; ring A = (un)substituted nitrogenous heterocycle; Z1, Z3 = bond, (un)substituted divalent chain hydrocarbon group; Z2 = bond, NR6; R6 = H, (un)substituted hydrocarbon group, etc.; a = 0-2; ring B = II, etc.; R2 = H, halo, etc.; R3 = H, (un)substituted hydrocarbon group, etc.; R4 = (un)substituted hydrocarbon group; further details on R2, R3, R4 were provided.] were prepared For example, reaction of 1-(3-((6-chloro-2-naphthyl)sulfonyl)propionyl)piperazine, e.g., prepared from 1-piperazinecarboxylic acid tert-Bu ester, with 4-chloromethyl-1,3-thiazole-2-amine·2HCl followed by treatment with iodomethane afforded compound III·2HCl. In FXa (blood coagulation factor Xa) inhibition assays, the IC50 value of compound III·2HCl was 22 nM. Compds. I are claimed useful for the treatment of myocardial infarction, obstructive arteriosclerosis, etc. Formulations are given.

IT 849544-76-9P 849545-22-8P 849545-23-9P  
 849545-24-0P 849545-25-1P 849545-26-2P  
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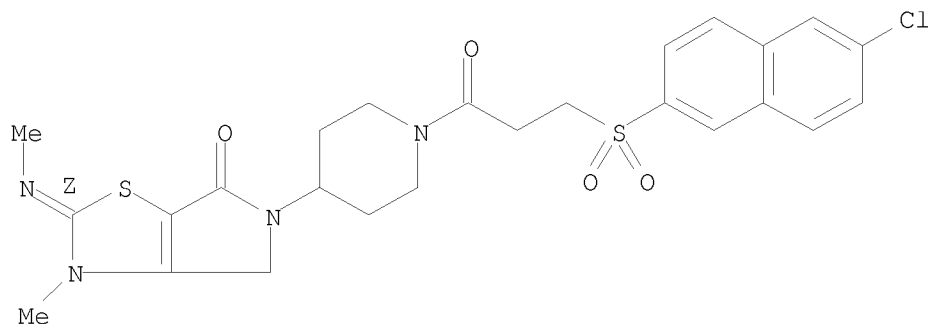
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazoline derivs. as FXa inhibitors for treatment of myocardial infarction, obstructive arteriosclerosis, etc.)

RN 849544-76-9 CAPLUS

CN 6H-Pyrrolo[3,4-d]thiazol-6-one, 5-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-2,3,4,5-tetrahydro-3-methyl-2-(methylimino)-, (2Z)- (CA INDEX NAME)

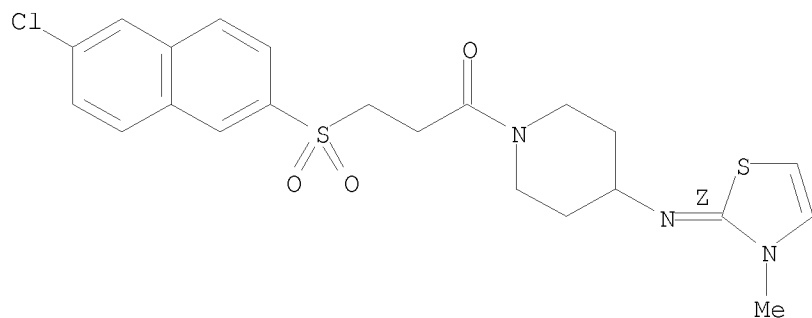
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RN 849545-22-8 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(Z)-(3-methyl-2(3H)-thiazolylydene)amino]-1-piperidinyl]- (CA INDEX NAME)

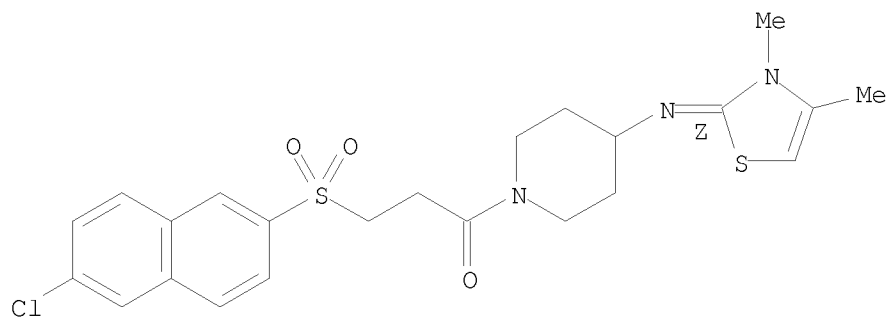
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RN 849545-23-9 CAPLUS

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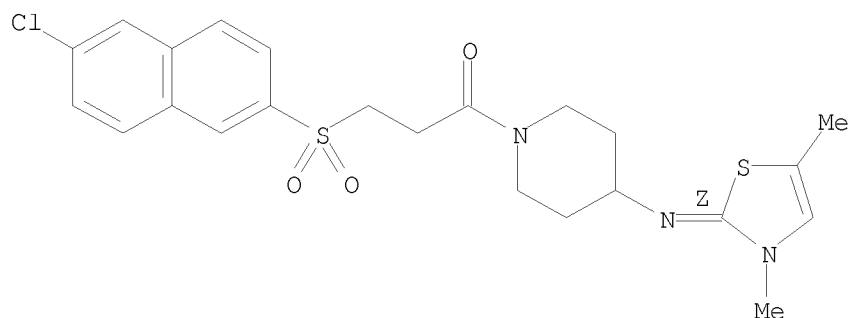
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Double bond geometry as shown.

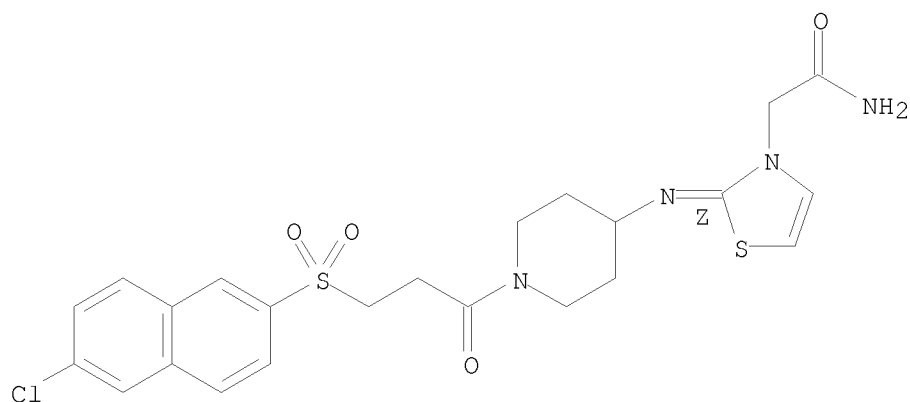


RN 849545-25-1 CAPLUS

CN 3(2H)-Thiazoleacetamide, 2-[[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]imino]-, (2Z)- (CA INDEX NAME)

Double bond geometry as shown.

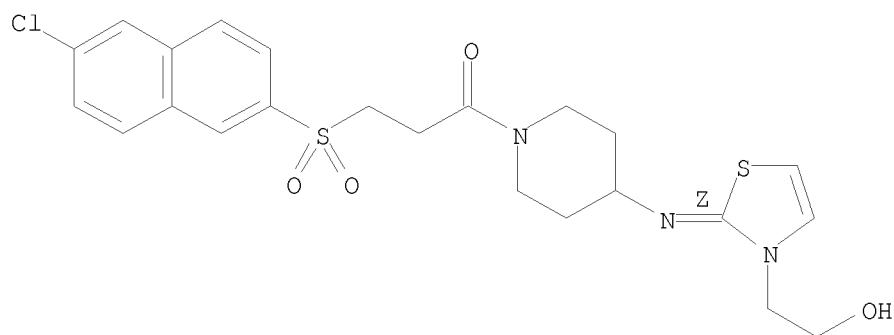




RN 849545-26-2 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(Z)-[3-(2-hydroxyethyl)-2(3H)-thiazolylidene]amino]-1-piperidinyl]- (CA INDEX NAME)

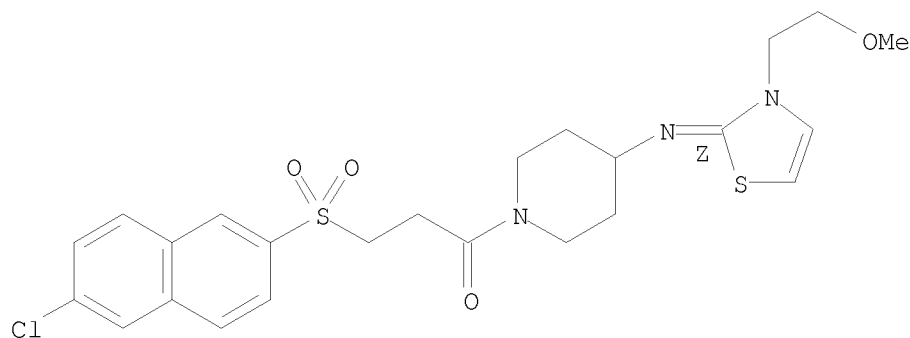
Double bond geometry as shown.



RN 849545-27-3 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(Z)-[3-(2-methoxyethyl)-2(3H)-thiazolylidene]amino]-1-piperidinyl]- (CA INDEX NAME)

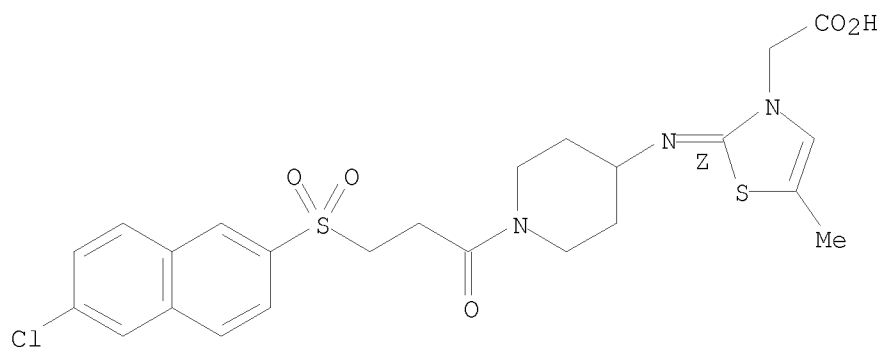
Double bond geometry as shown.



RN 849545-28-4 CAPLUS

CN 3(2H)-Thiazoleacetic acid, 2-[[[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]imino]-5-methyl-, hydrochloride (1:1), (2Z)- (CA INDEX NAME)

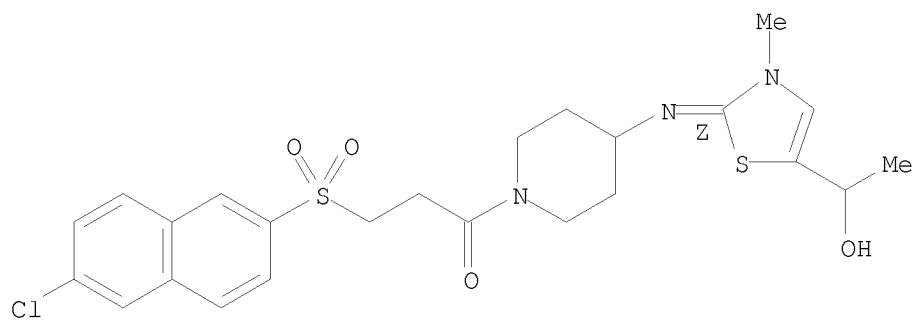
Double bond geometry as shown.



● HCl

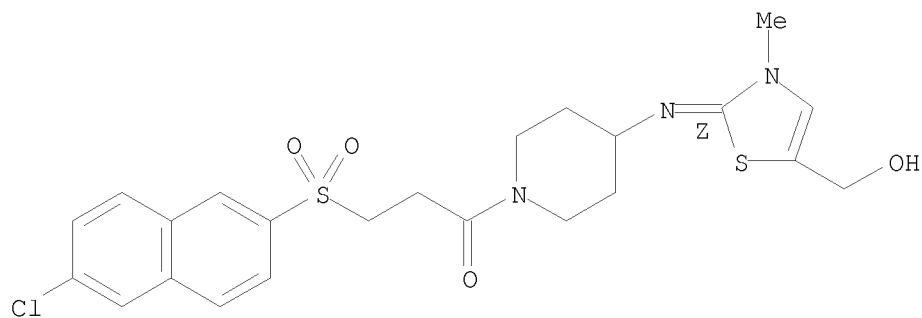
RN 849545-29-5 CAPLUS  
 CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(Z)-[5-(1-hydroxyethyl)-3-methyl-2(3H)-thiazolylidene]amino]-1-piperidinyl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 849545-30-8 CAPLUS  
 CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(Z)-[5-(hydroxymethyl)-3-methyl-2(3H)-thiazolylidene]amino]-1-piperidinyl]- (CA INDEX NAME)

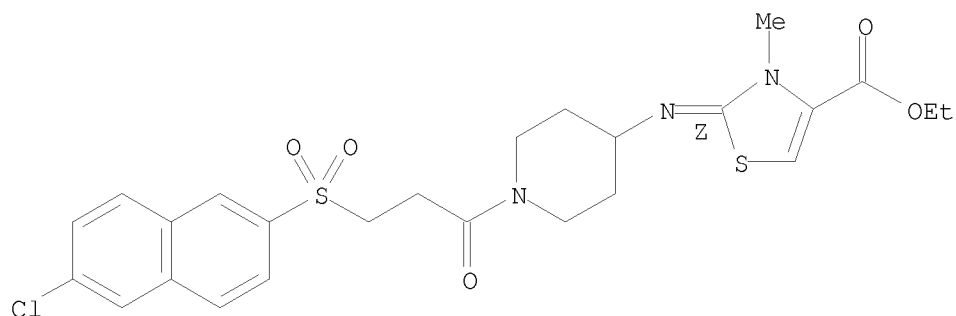
Double bond geometry as shown.



RN 849545-31-9 CAPLUS  
 CN 4-Thiazolecarboxylic acid, 2-[[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-

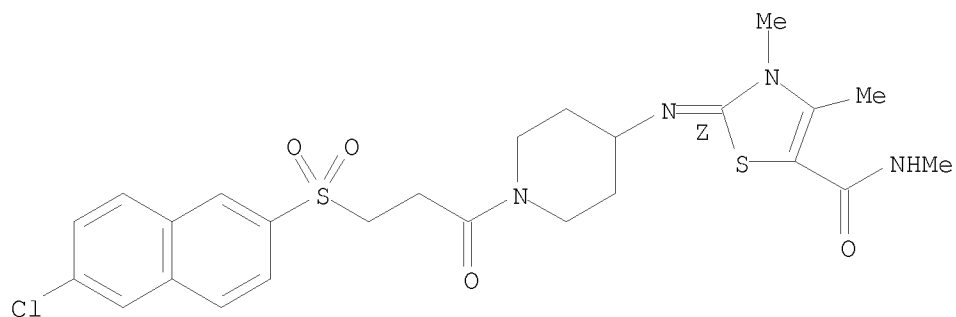
oxopropyl]-4-piperidiny]imino]-2,3-dihydro-3-methyl-, ethyl ester, (2Z)-  
(CA INDEX NAME)

Double bond geometry as shown.



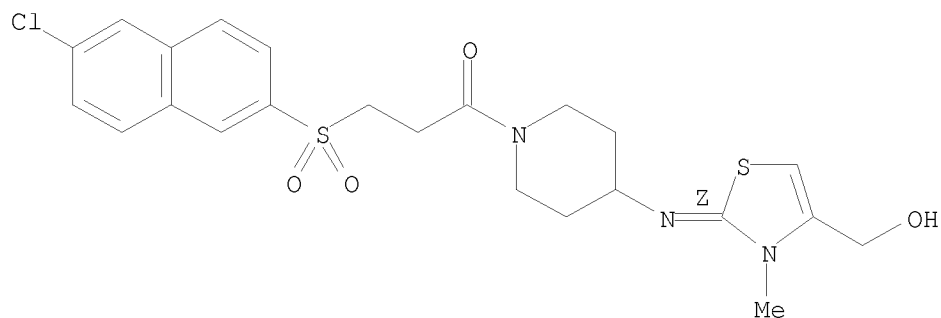
RN 849545-32-0 CAPLUS  
CN 5-Thiazolecarboxamide, 2-[[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidiny]imino]-2,3-dihydro-N,3,4-trimethyl-, (2Z)- (CA INDEX NAME)

Double bond geometry as shown.



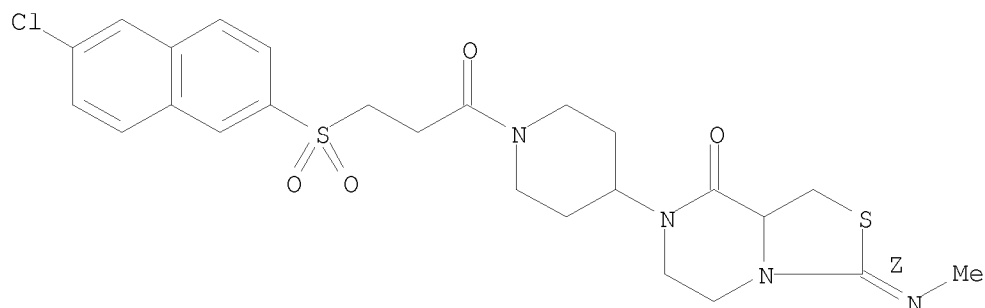
RN 849545-33-1 CAPLUS  
CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(Z)-[4-(hydroxymethyl)-3-methyl-2(3H)-thiazolylidene]amino]-1-piperidiny]- (CA INDEX NAME)

Double bond geometry as shown.



RN 849545-45-5 CAPLUS  
CN 3H-Thiazolo[3,4-a]pyrazin-8(5H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidiny]tetrahydro-3-(methylimino)-, (3Z)- (CA INDEX NAME)

Double bond geometry as shown.



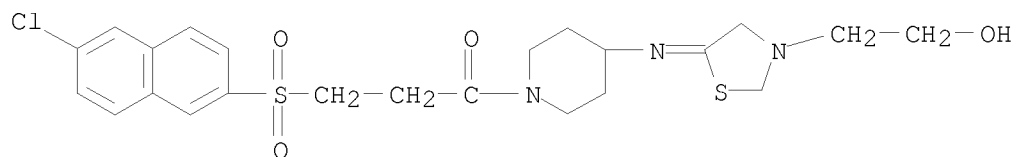
IT 849548-21-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of thiazoline derivs. as FXa inhibitors for treatment of myocardial infarction, obstructive arteriosclerosis, etc.)

RN 849548-21-6 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[[3-(2-hydroxyethyl)-5-thiazolidinylidene]amino]-1-piperidinyl]- (CA INDEX NAME)



IT 849546-68-5P 849546-74-3P 849546-79-8P

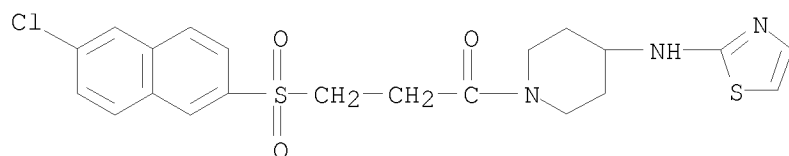
849546-82-3P 849547-00-8P 849547-04-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiazoline derivs. as FXa inhibitors for treatment of myocardial infarction, obstructive arteriosclerosis, etc.)

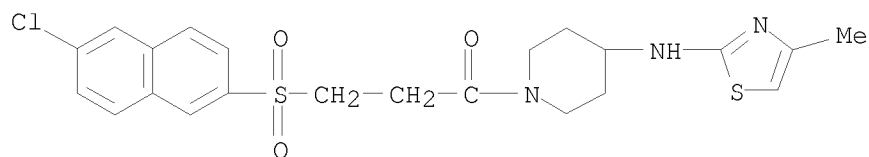
RN 849546-68-5 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(2-thiazolylamino)-1-piperidinyl]- (CA INDEX NAME)



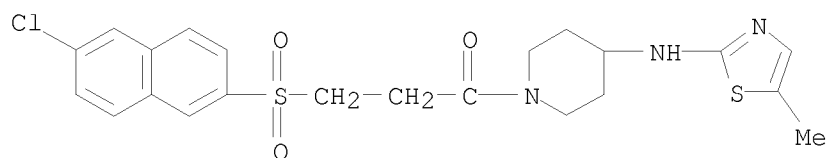
RN 849546-74-3 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(4-methyl-2-thiazolyl)amino]-1-piperidinyl]- (CA INDEX NAME)



RN 849546-79-8 CAPLUS

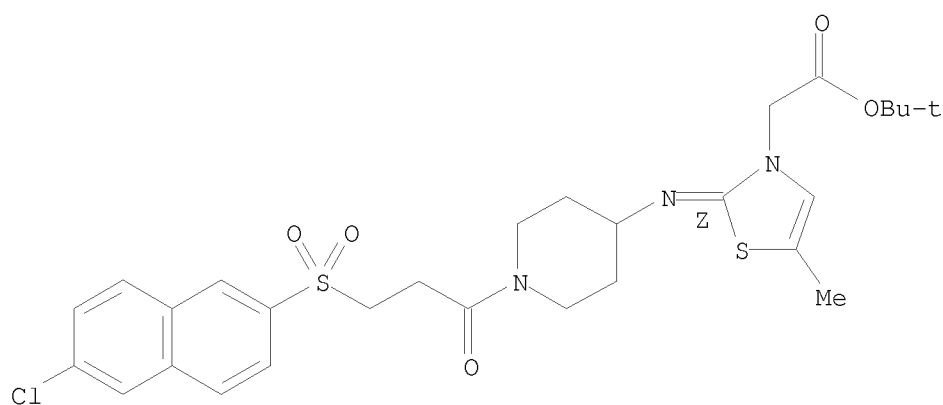
CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(5-methyl-2-thiazolyl)amino]-1-piperidinyl]- (CA INDEX NAME)



RN 849546-82-3 CAPLUS

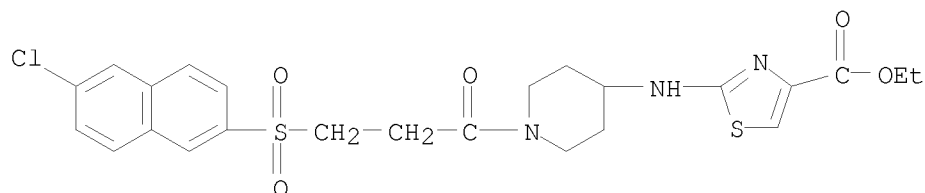
CN 3(2H)-Thiazoleacetic acid, 2-[[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]imino]-5-methyl-, 1,1-dimethylethyl ester, (2Z)- (CA INDEX NAME)

Double bond geometry as shown.



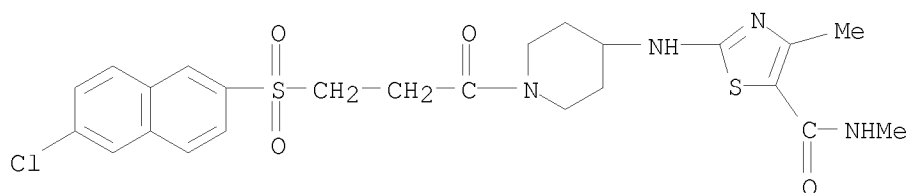
RN 849547-00-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]amino]-, ethyl ester (CA INDEX NAME)



RN 849547-04-2 CAPLUS

CN 5-Thiazolecarboxamide, 2-[[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]amino]-N,4-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:980998 CAPLUS

DOCUMENT NUMBER: 141:379942

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoepia, Inc.

SOURCE: U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of U.S. Ser. No. 654,546.

CODEN: USXXCO

DOCUMENT TYPE: Patent

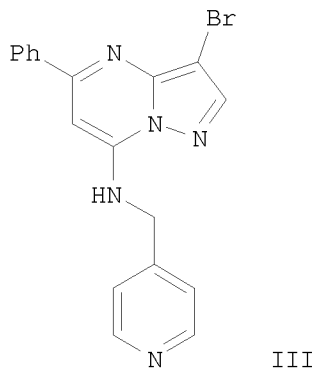
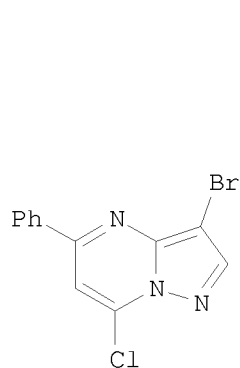
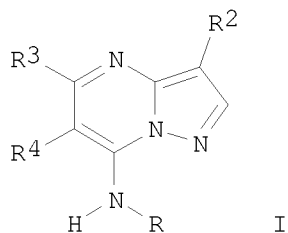
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040209878	A1	20041021	US 2004-776988	20040211 <--
US 20040209878	A1	20041021	US 2004-776988	20040211 <--
PRIORITY APPLN. INFO.:			US 2002-408027P	P 20020904 <--
			US 2002-421959P	P 20021029 <--
			US 2003-654546	A2 20030903 <--
			US 2004-776988	A 20040211

GI



AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020  $\mu$ M and 0.029  $\mu$ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. [This

abstract

record is one of 3 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

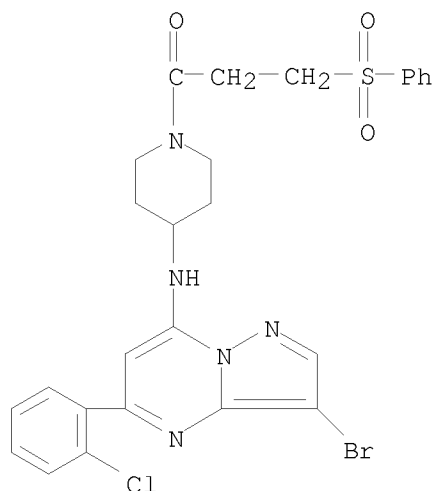
IT 677789-58-1P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 677789-58-1 CAPLUS

CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)



L5 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:718536 CAPLUS

DOCUMENT NUMBER: 141:243546

TITLE: Preparation of N-heterocyclyl-substituted  
amino-thiazole derivatives as protein kinase  
inhibitors

INVENTOR(S): Alegria, Larry Andrew; Chong, Wesley Kwan Mung; Chu,  
Shaosong; Duvadie, Rohit Kumar; Li, Lin; Romines,  
William Henry, III; Yang, Yi

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 307 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

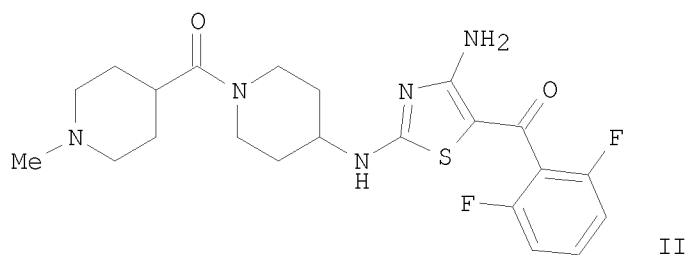
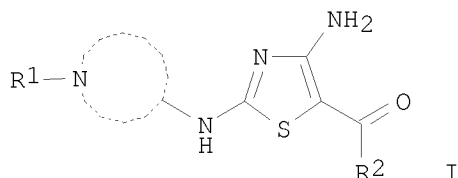
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074283	A1	20040902	WO 2004-IB433	20040209 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2516234	A1	20040902	CA 2004-2516234	20040209 <--
EP 1597256	A1	20051123	EP 2004-709302	20040209 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2004007618	A	20060221	BR 2004-7618	20040209 <--
JP 2006518368	T	20060810	JP 2006-502453	20040209 <--
US 20050101595	A1	20050512	US 2004-783887	20040220 <--
MX 2005PA08878	A	20051005	MX 2005-PA8878	20050819 <--
PRIORITY APPLN. INFO.:			US 2003-448843P	P 20030221 <--
			WO 2004-IB433	W 20040209

OTHER SOURCE(S): MARPAT 141:243546

GI





AB The title aminothiazole compds. with N-containing cycloalkyl at the 2-amino position [I; N-containing heterocyclyl = (un)substituted N-containing 3-10 membered heterocyclyl; R1 = H, alkyl, alkenyl, alkoxy, etc.; R2 = (un)substituted alkyl, cycloalkyl, alkoxy, aryl, 4-10 membered heterocyclyl] and their pharmaceutically acceptable prodrugs or salts which modulate and/or inhibit the cell proliferation and activity of protein kinases, were prepared Thus, reacting [4-amino-2-(piperidin-4-ylamino)thiazol-5-yl] (2,6-difluorophenyl)methanone (preparation given) with 1-methylpiperidine-4-carboxylic acid afforded 65% II which showed Ki of 0.46  $\mu$ M against CDK2, Ki of 0.13  $\mu$ M against CDK4, and IC50 of >5  $\mu$ M in HCT-116 assay for cell growth inhibition. Biol. data were given for over 1100 compds. I. The pharmaceutical compns. comprising the compound I are claimed.

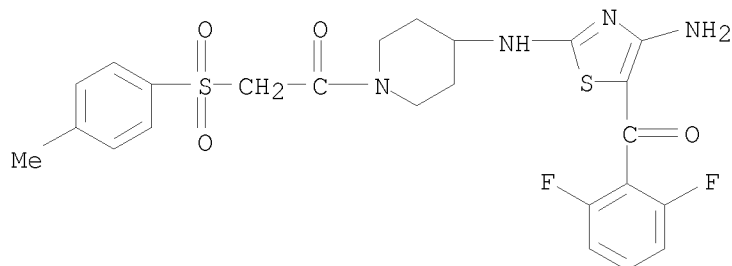
IT 750579-19-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-heterocyclyl-substituted amino-thiazole derivs. as protein kinase inhibitors)

RN 750579-19-2 CAPLUS

CN Ethanone, 1-[4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-1-piperidinyl]-2-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



REFERENCE COUNT:

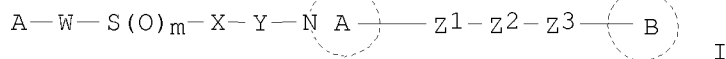
3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:467881 CAPLUS  
DOCUMENT NUMBER: 141:38631  
TITLE: Imidazole derivative, process for producing the same,  
and use  
INVENTOR(S): Kubo, Keiji; Kuroita, Takanobu; Imaeda, Yasuhiro;  
Kawamura, Masaki  
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
SOURCE: PCT Int. Appl., 318 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048363	A1	20040610	WO 2003-JP14793	20031120 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2507026	A1	20040610	CA 2003-2507026	20031120 <--
AU 2003284596	A1	20040618	AU 2003-284596	20031120 <--
EP 1564213	A1	20050817	EP 2003-774086	20031120 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2004182730	A	20040702	JP 2003-392992	20031121 <--
US 20070004736	A1	20070104	US 2006-535268	20060519 <--
PRIORITY APPLN. INFO.:			JP 2002-338939	A 20021122 <--
			WO 2003-JP14793	W 20031120 <--
OTHER SOURCE(S):	MARPAT 141:38631			
GI				



AB Imidazole derivs. represented by the formula (I) [wherein R = each optionally substituted cyclic hydrocarbon group or heterocyclic group; W = a bond, optionally substituted divalent chain hydrocarbon group; X = optionally substituted divalent hydrocarbon group; Y = CO, S(O), S(O)<sub>2</sub>, a bond; ring A = each optionally substituted pyrrolidine ring, piperidine ring, or perhydroazepine ring; Z1, Z3 = each independently a bond or optionally substituted divalent chain hydrocarbon group; Z2 = N(R1), O, S(O), S(O)<sub>2</sub>, CO, CH(R1), a bond; ring B = an optionally substituted imidazole ring, provided that a substituent of the imidazole ring represented by B may be bonded to R1 to form an optionally substituted ring; m = 0, 1, 2] are prepared These imidazole derivs. are inhibitors of activated blood coagulation factor X (FXa) and useful as anticoagulants for the prevention and/or treatment of myocardial infarction, cerebral infarction, deep venous thrombosis, pulmonary thromboembolism and embolism, obstructive arteriosclerosis, economy class syndromes,

thromboembolism and embolism during or after surgery, or the second onset of deep venous thrombosis. Thus, 5-methyl-2-(4-piperidinyl)-1,2-dihydro-3H-imidazo[1,5-c]imidazol-3-one was condensed with 3-[(6-chloro-2-naphthyl)sulfonyl]propionic acid using HOBt, Et3N, and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in CH2Cl2 to give 52% 2-[1-[3-[(6-chloro-2-naphthyl)sulfonyl]propanoyl]-4-piperidinyl]-5-methyl-1,2-dihydro-3H-imidazo[1,5-c]imidazol-3-one (II). II showed IC50 of 5.6 nM for inhibiting FXa. Pharmaceutical formulations, e.g. a gelatine capsule containing II, were described.

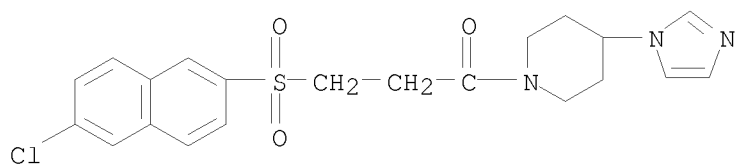
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 701297-75-8P 701297-76-9P 701297-77-0P  
 701297-78-1P 701297-79-2P 701297-80-5P  
 701297-89-4P 701298-05-7P 701298-08-0P  
 701298-10-4P 701298-11-5P 701911-96-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazole derivs. as inhibitors of activated blood coagulation factor X and antithrombotics)

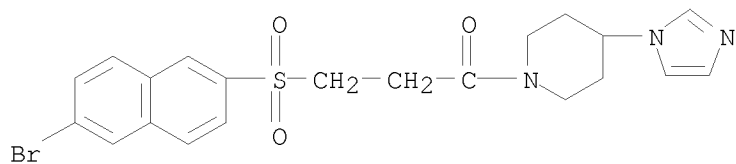
RN 701295-58-1 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(1H-imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)



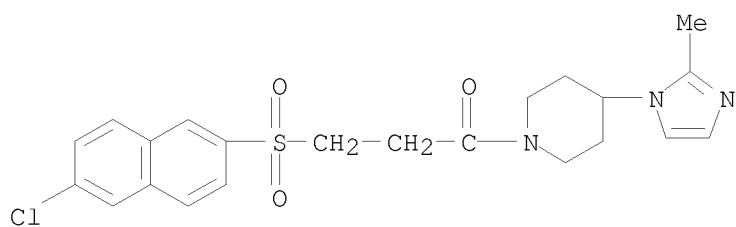
RN 701295-60-5 CAPLUS

CN 1-Propanone, 3-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[4-(1H-imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)



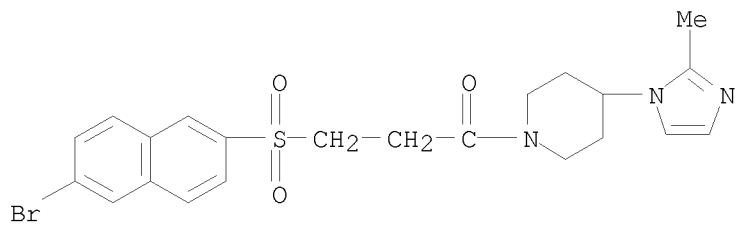
RN 701295-62-7 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(2-methyl-1H-imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)



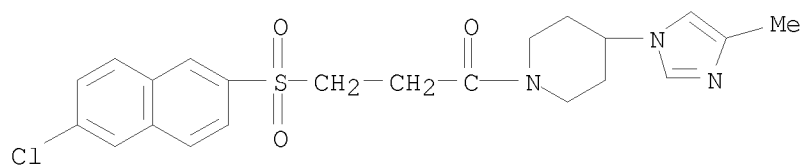
RN 701295-63-8 CAPLUS

CN 1-Propanone, 3-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[4-(2-methyl-1H-imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)



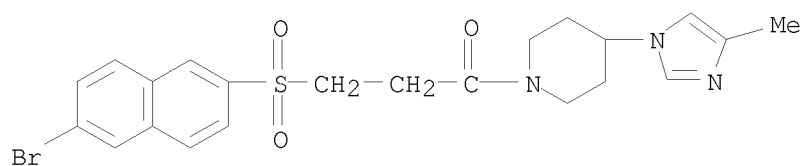
RN 701295-65-0 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(4-methyl-1H-imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)



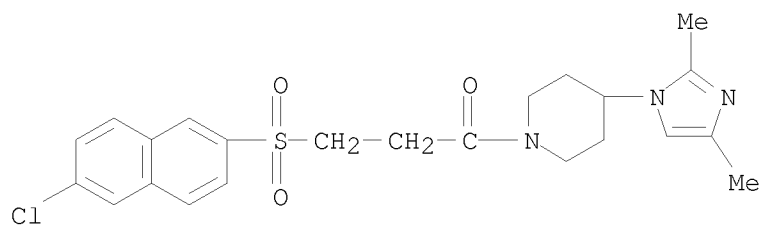
RN 701295-67-2 CAPLUS

CN 1-Propanone, 3-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[4-(4-methyl-1H-imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)



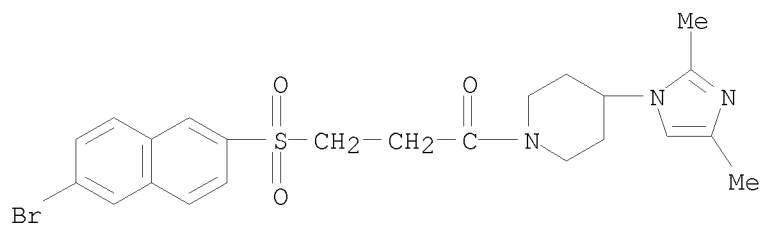
RN 701295-69-4 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(2,4-dimethyl-1H-imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)



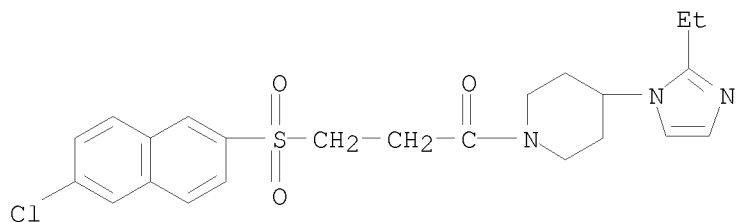
RN 701295-70-7 CAPLUS

CN 1-Propanone, 3-[(6-bromo-2-naphthalenyl)sulfonyl]-1-[4-(2,4-dimethyl-1H-imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)



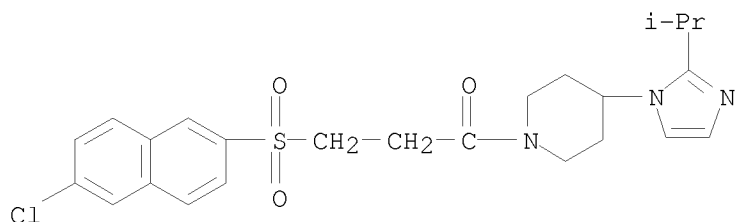
RN 701295-71-8 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(2-ethyl-1H-imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)



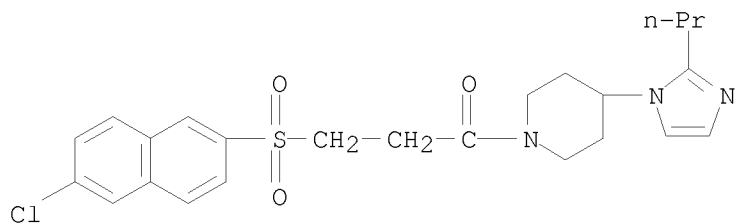
RN 701295-72-9 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[2-(1-methylethyl)-1H-imidazol-1-yl]-1-piperidinyl]- (CA INDEX NAME)



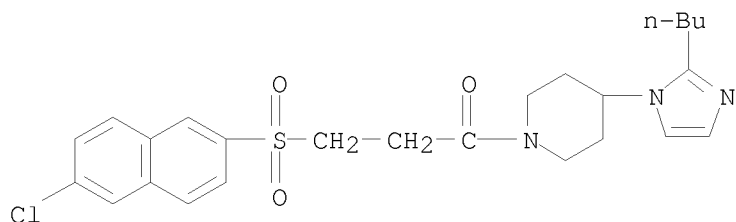
RN 701295-73-0 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(2-propyl-1H-imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)



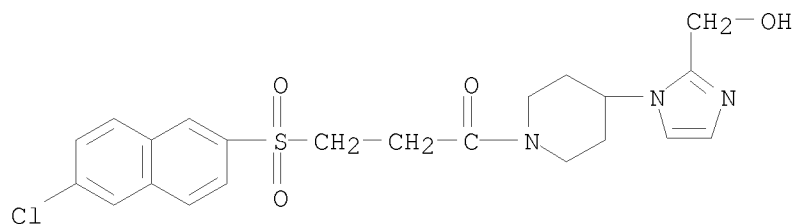
RN 701295-74-1 CAPLUS

CN 1-Propanone, 1-[4-(2-butyl-1H-imidazol-1-yl)-1-piperidinyl]-3-[(6-chloro-2-naphthalenyl)sulfonyl]- (CA INDEX NAME)



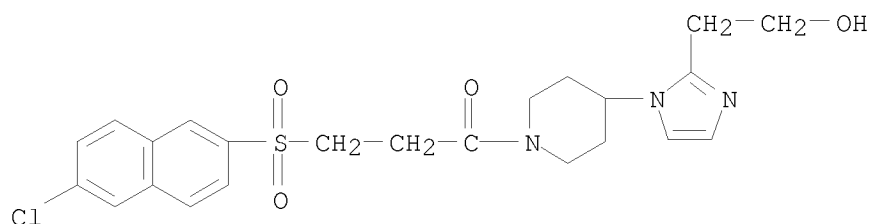
RN 701295-75-2 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[2-(hydroxymethyl)-1H-imidazol-1-yl]-1-piperidinyl]- (CA INDEX NAME)



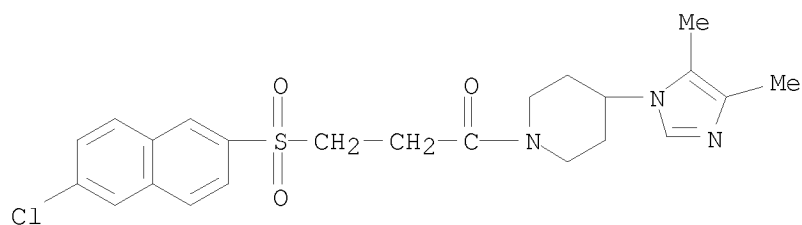
RN 701295-76-3 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[2-(2-hydroxyethyl)-1H-imidazol-1-yl]-1-piperidinyl]- (CA INDEX NAME)



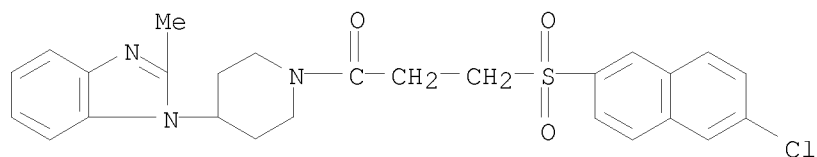
RN 701295-77-4 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(4,5-dimethyl-1H-imidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)



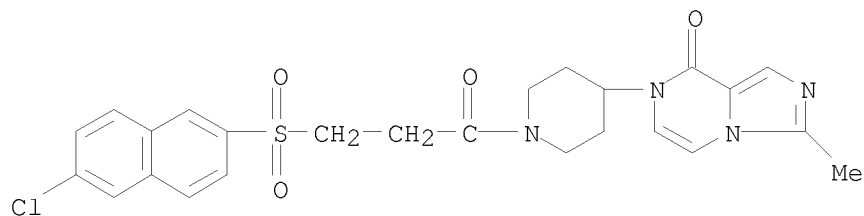
RN 701295-78-5 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(2-methyl-1H-benzimidazol-1-yl)-1-piperidinyl]- (CA INDEX NAME)



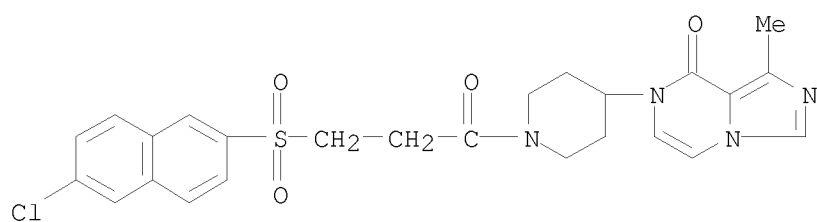
RN 701296-00-6 CAPLUS

CN Imidazo[1,5-a]pyrazin-8(7H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-3-methyl- (CA INDEX NAME)



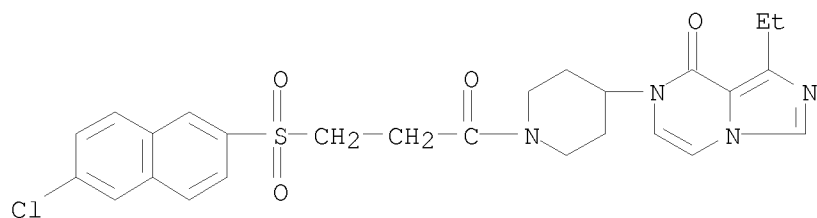
RN 701296-01-7 CAPLUS

CN Imidazo[1,5-a]pyrazin-8(7H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1-methyl- (CA INDEX NAME)



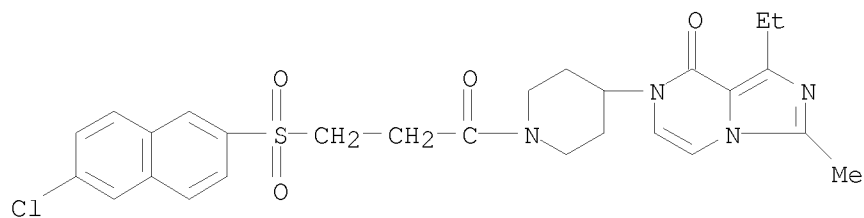
RN 701296-02-8 CAPLUS

CN Imidazo[1,5-a]pyrazin-8(7H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1-ethyl- (CA INDEX NAME)



RN 701296-03-9 CAPLUS

CN Imidazo[1,5-a]pyrazin-8(7H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1-ethyl-3-methyl- (CA INDEX NAME)

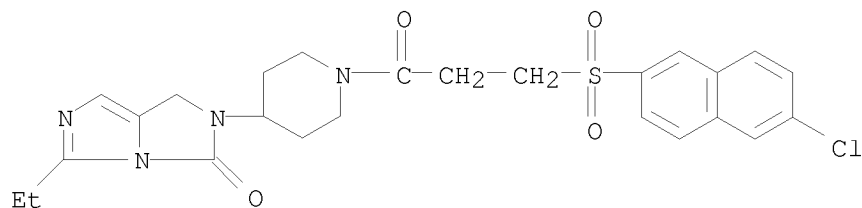


RN 701296-04-0 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-5-ethyl-1,2-dihydro-

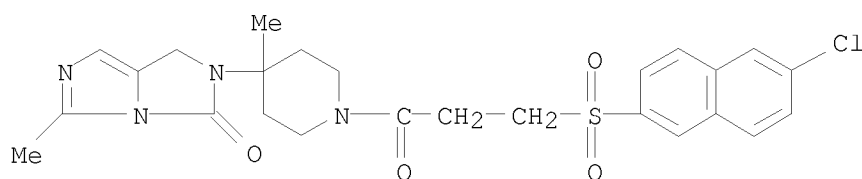


(CA INDEX NAME)



RN 701296-05-1 CAPLUS

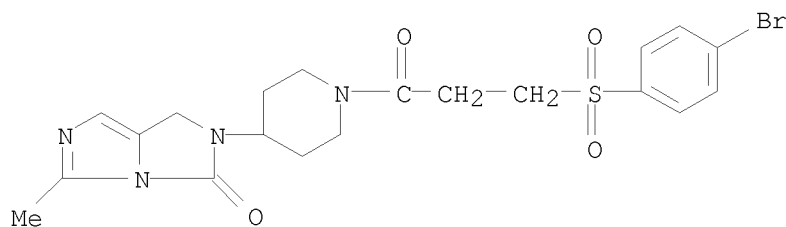
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-methyl-4-piperidiny]-1,2-dihydro-5-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

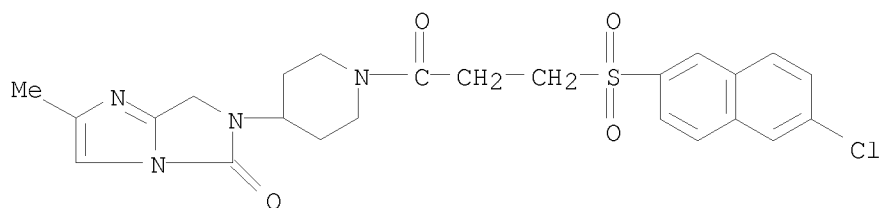
RN 701296-06-2 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(4-bromophenyl)sulfonyl]-1-oxopropyl]-4-piperidiny]-1,2-dihydro-5-methyl- (CA INDEX NAME)



RN 701296-07-3 CAPLUS

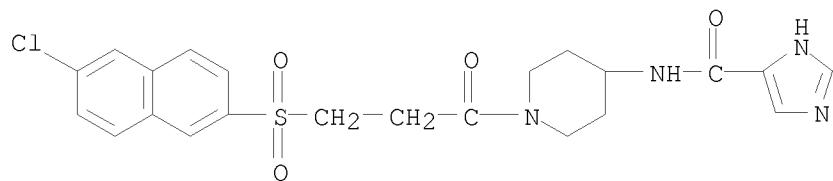
CN 5H-Imidazo[1,5-a]imidazol-5-one, 6-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidiny]-6,7-dihydro-2-methyl- (CA INDEX NAME)



RN 701296-12-0 CAPLUS

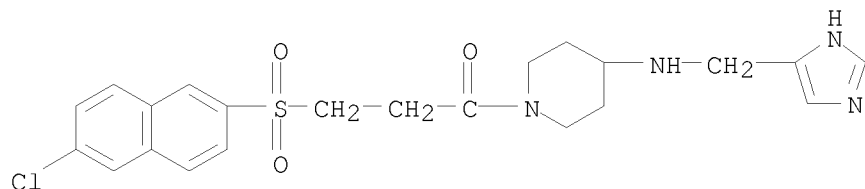
CN 1H-Imidazole-5-carboxamide, N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-

oxopropyl]-4-piperidinyl]- (CA INDEX NAME)



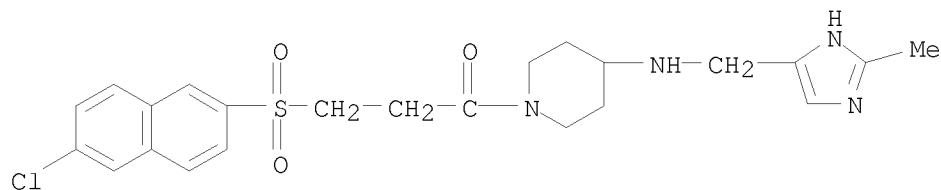
RN 701296-13-1 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(1H-imidazol-5-ylmethyl)amino]-1-piperidinyl]- (CA INDEX NAME)



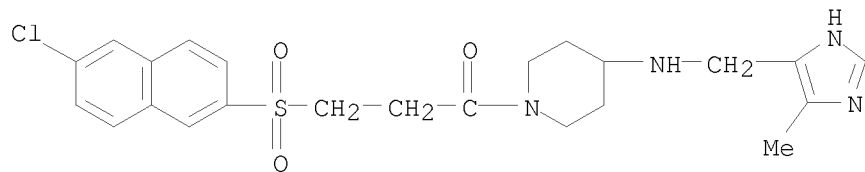
RN 701296-14-2 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(2-methyl-1H-imidazol-5-yl)methyl]amino]-1-piperidinyl]- (CA INDEX NAME)



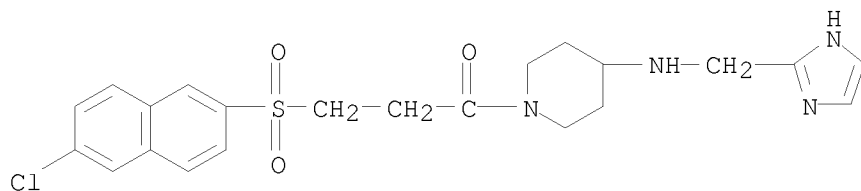
RN 701296-15-3 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(4-methyl-1H-imidazol-5-yl)methyl]amino]-1-piperidinyl]- (CA INDEX NAME)



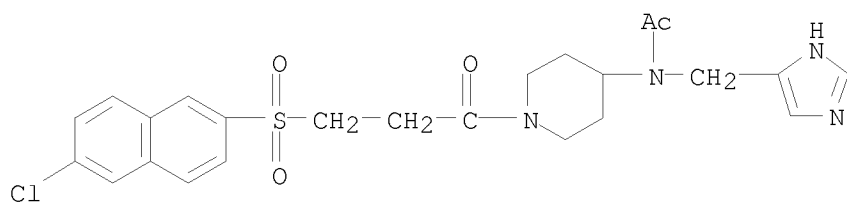
RN 701296-16-4 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[(1H-imidazol-2-ylmethyl)amino]-1-piperidinyl]- (CA INDEX NAME)



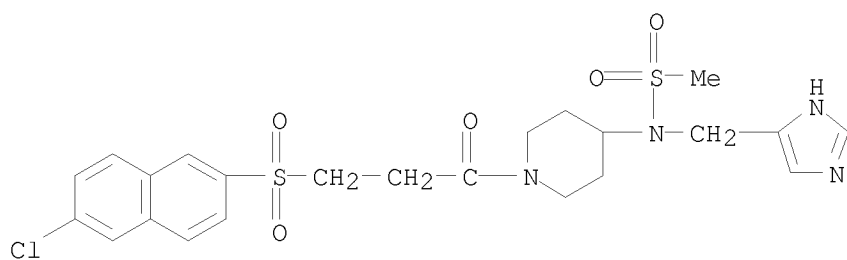
RN 701296-17-5 CAPLUS

CN Acetamide, N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-N-(1H-imidazol-5-ylmethyl)- (CA INDEX NAME)



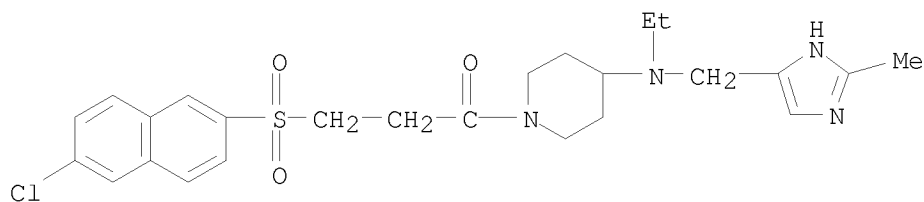
RN 701296-18-6 CAPLUS

CN Methanesulfonamide, N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-N-(1H-imidazol-5-ylmethyl)- (CA INDEX NAME)



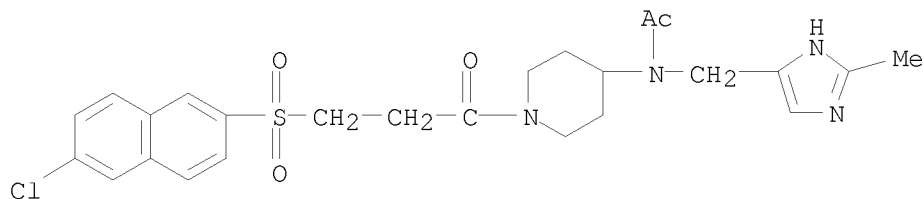
RN 701296-19-7 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[ethyl[(2-methyl-1H-imidazol-5-yl)methyl]amino]-1-piperidinyl]- (CA INDEX NAME)



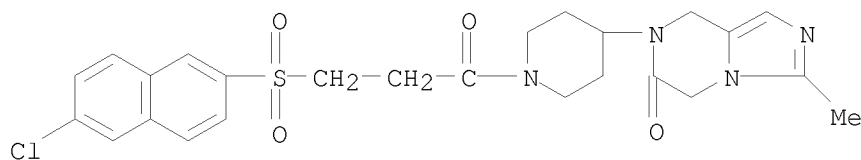
RN 701296-20-0 CAPLUS

CN Acetamide, N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-N-[(2-methyl-1H-imidazol-5-yl)methyl]- (CA INDEX NAME)



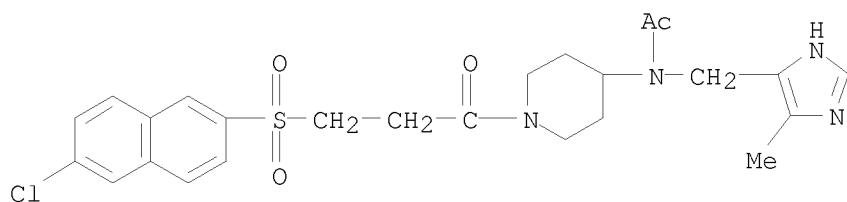
RN 701296-21-1 CAPLUS

CN Imidazo[1,5-a]pyrazin-6(5H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-7,8-dihydro-3-methyl- (CA INDEX NAME)



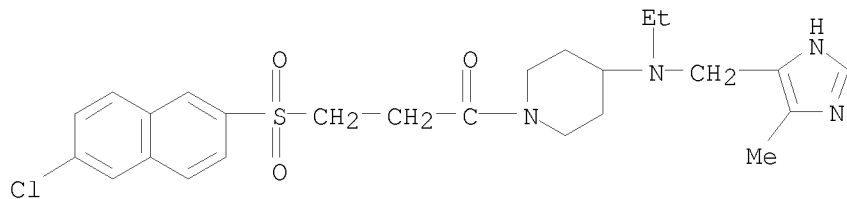
RN 701296-22-2 CAPLUS

CN Acetamide, N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-N-[(4-methyl-1H-imidazol-5-yl)methyl]- (CA INDEX NAME)



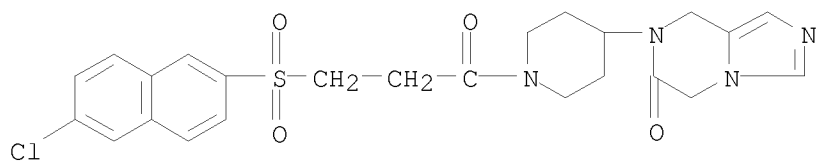
RN 701296-23-3 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[ethyl[(4-methyl-1H-imidazol-5-yl)methyl]amino]-1-piperidinyl]- (CA INDEX NAME)



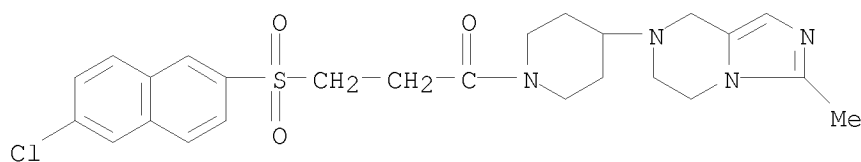
RN 701296-24-4 CAPLUS

CN Imidazo[1,5-a]pyrazin-6(5H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-7,8-dihydro- (CA INDEX NAME)



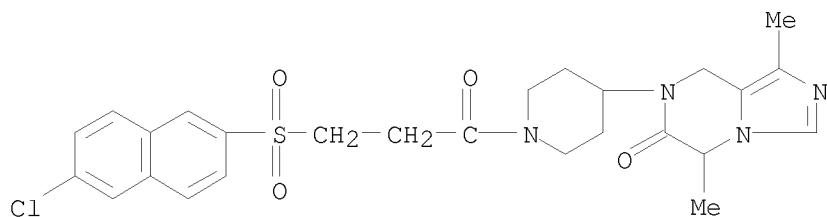
RN 701296-25-5 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(5,6-dihydro-3-methylimidazo[1,5-a]pyrazin-7(8H)-yl)-1-piperidinyl]- (CA INDEX NAME)



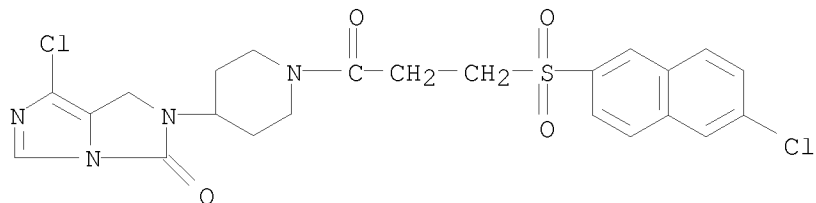
RN 701296-26-6 CAPLUS

CN Imidazo[1,5-a]pyrazin-6(5H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-7,8-dihydro-1,5-dimethyl- (CA INDEX NAME)



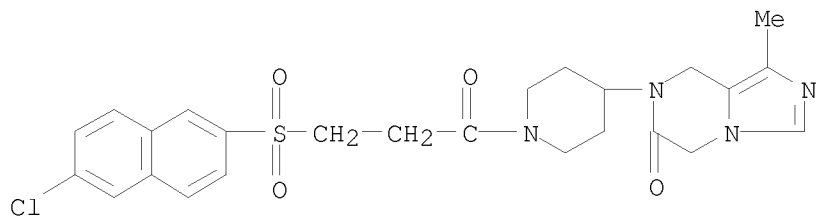
RN 701296-27-7 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 7-chloro-2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro- (CA INDEX NAME)



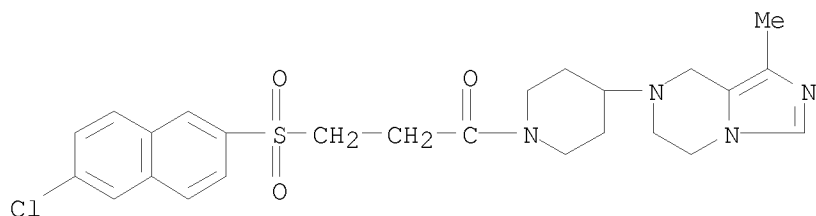
RN 701296-28-8 CAPLUS

CN Imidazo[1,5-a]pyrazin-6(5H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-7,8-dihydro-1-methyl- (CA INDEX NAME)



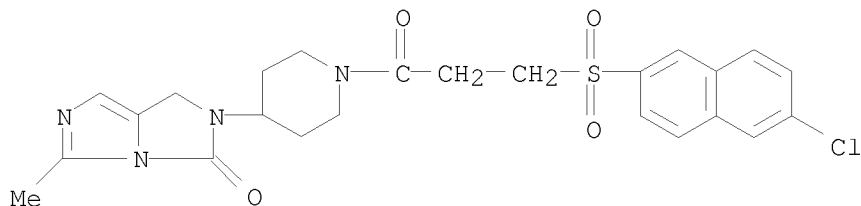
RN 701296-29-9 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(5,6-dihydro-1-methylimidazo[1,5-a]pyrazin-7(8H)-yl)-1-piperidinyl]- (CA INDEX NAME)



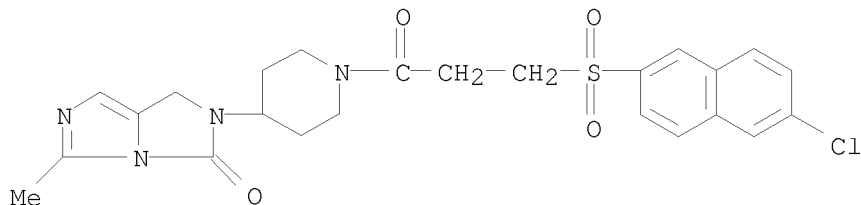
RN 701296-30-2 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



RN 701296-31-3 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:1) (CA INDEX NAME)

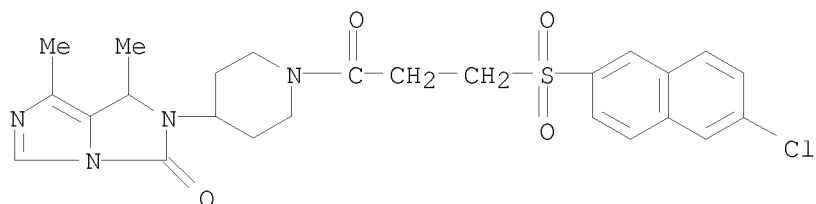


● HCl

RN 701296-32-4 CAPLUS

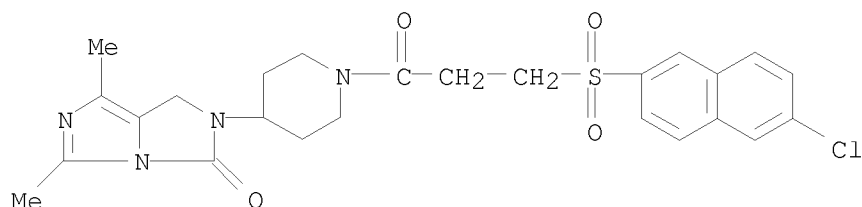
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-

naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-1,7-dimethyl- (CA INDEX NAME)



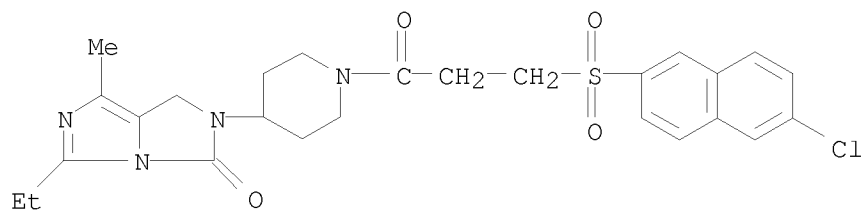
RN 701296-33-5 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5,7-dimethyl- (CA INDEX NAME)



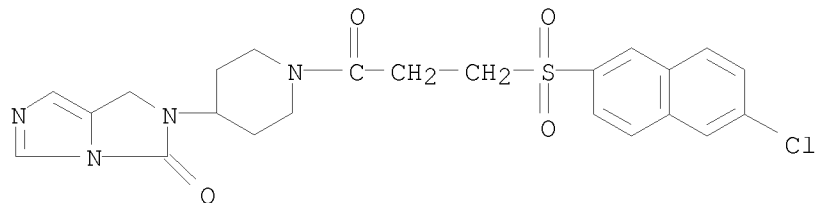
RN 701296-42-6 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-5-ethyl-1,2-dihydro-7-methyl- (CA INDEX NAME)



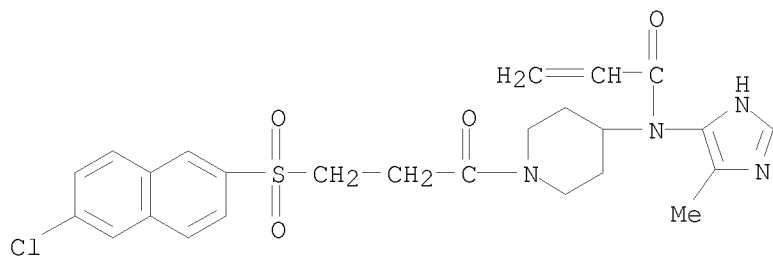
RN 701296-44-8 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro- (CA INDEX NAME)

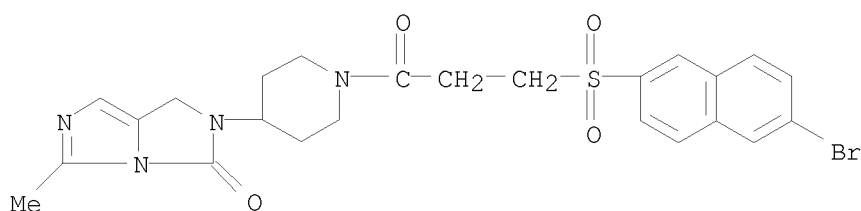


RN 701296-46-0 CAPLUS

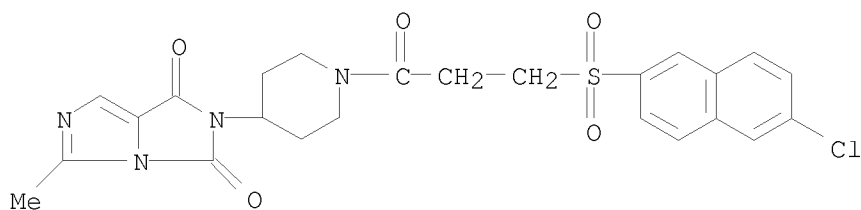
CN 2-Propenamide, N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-N-(4-methyl-1H-imidazol-5-yl)- (CA INDEX NAME)



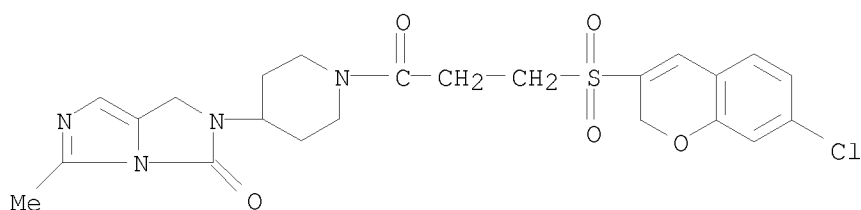
RN 701296-99-3 CAPLUS  
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-bromo-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



RN 701297-00-9 CAPLUS  
 CN 1H-Imidazo[1,5-c]imidazole-1,3(2H)-dione, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-5-methyl- (CA INDEX NAME)



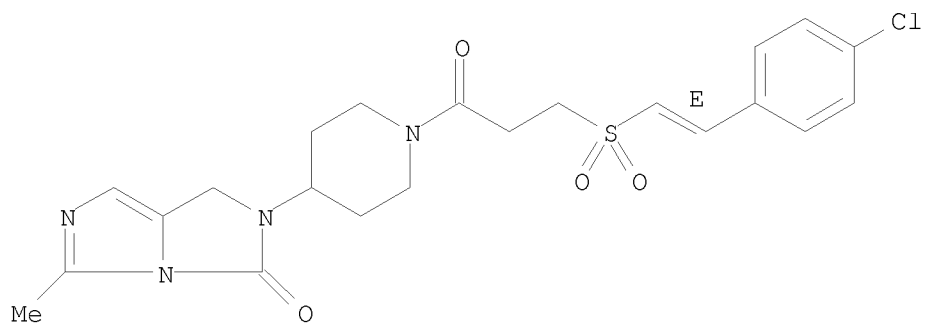
RN 701297-01-0 CAPLUS  
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



RN 701297-02-1 CAPLUS  
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[[1E)-2-(4-chlorophenyl)ethenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

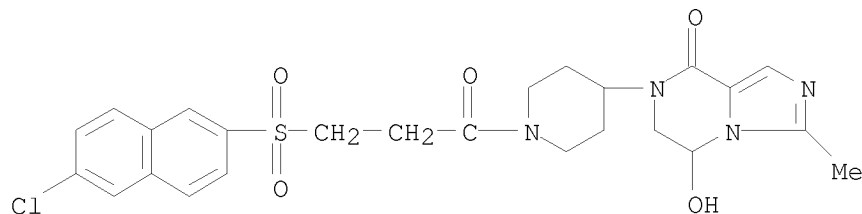


Double bond geometry as shown.



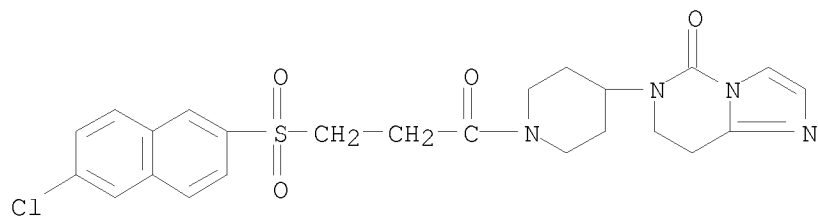
RN 701297-03-2 CAPLUS

CN Imidazo[1,5-a]pyrazin-8(5H)-one, 7-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-6,7-dihydro-5-hydroxy-3-methyl- (CA INDEX NAME)



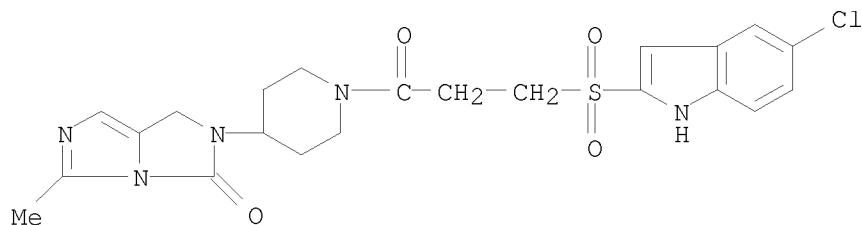
RN 701297-04-3 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5(6H)-one, 6-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-7,8-dihydro- (CA INDEX NAME)

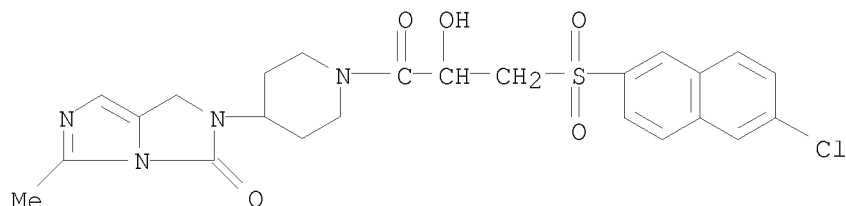


RN 701297-05-4 CAPLUS

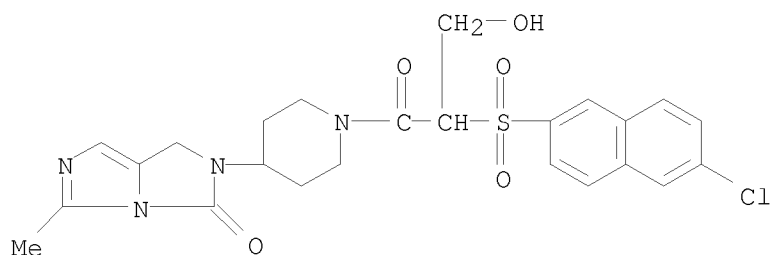
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



RN 701297-07-6 CAPLUS  
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

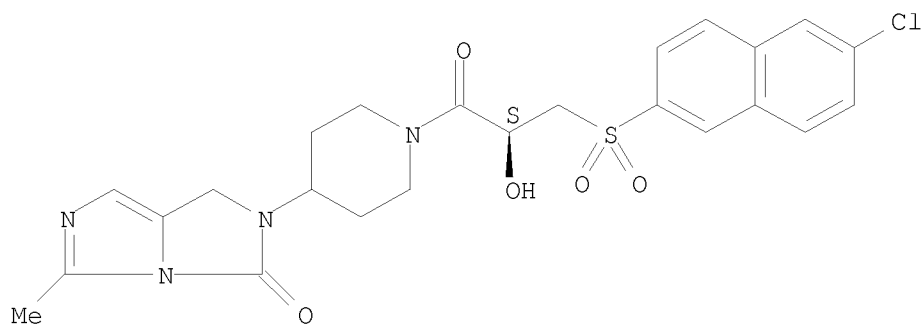


RN 701297-08-7 CAPLUS  
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[2-[(6-chloro-2-naphthalenyl)sulfonyl]-3-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

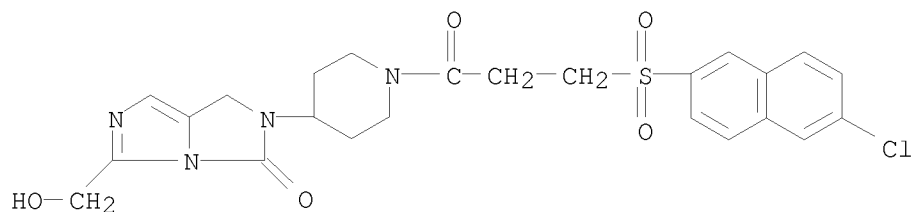


RN 701297-09-8 CAPLUS  
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.

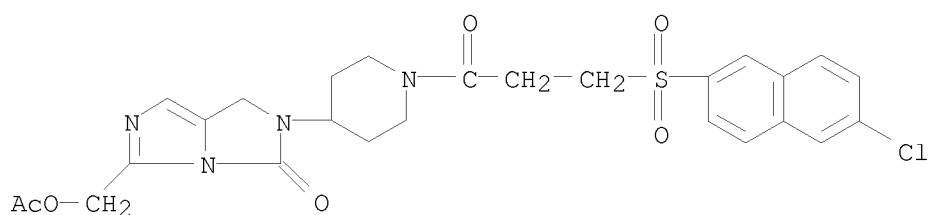


RN 701297-10-1 CAPLUS  
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-(hydroxymethyl)- (CA INDEX NAME)



RN 701297-11-2 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 5-[(acetyloxy)methyl]-2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

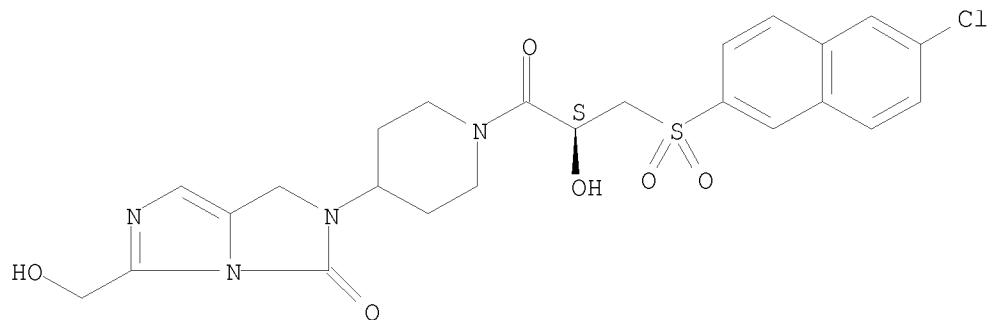


● HCl

RN 701297-12-3 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-(hydroxymethyl)- (CA INDEX NAME)

Absolute stereochemistry.

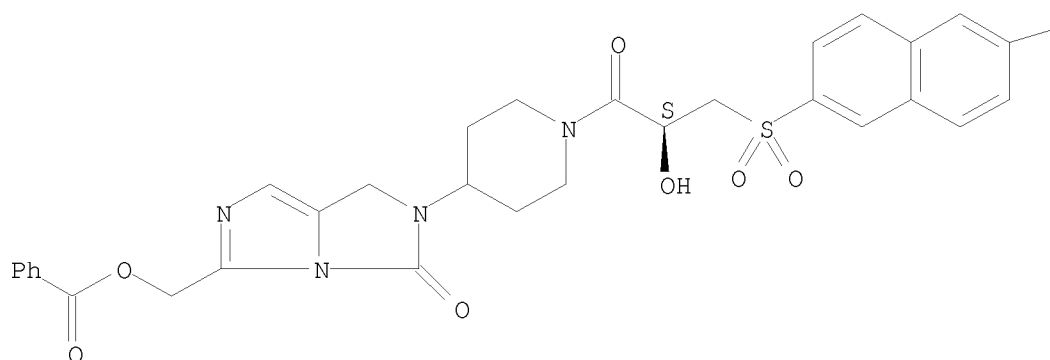


RN 701297-13-4 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 5-[(benzoyloxy)methyl]-2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

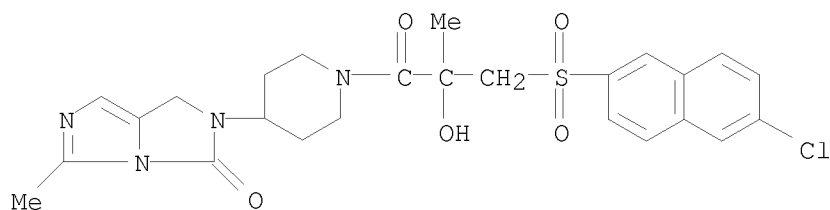
PAGE 1-A



PAGE 1-B

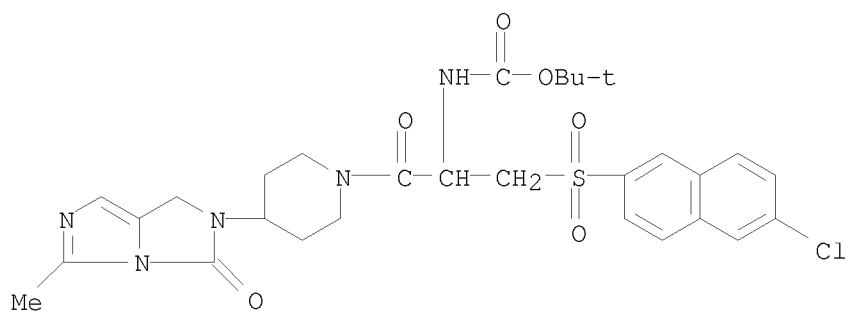
—Cl

RN 701297-15-6 CAPLUS  
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-2-methyl-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:1) (CA INDEX NAME)



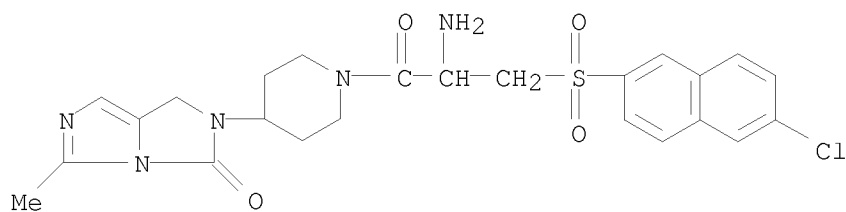
● HCl

RN 701297-16-7 CAPLUS  
 CN Carbamic acid, [1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 701297-17-8 CAPLUS

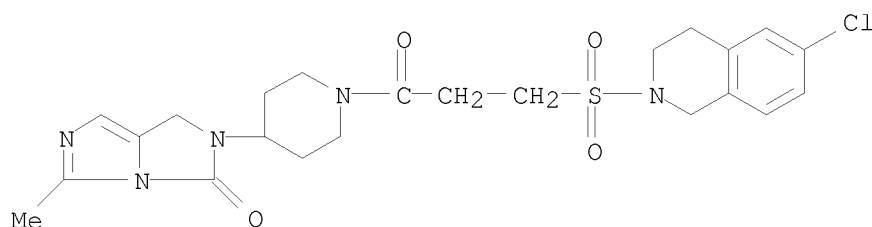
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[2-amino-3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 701297-18-9 CAPLUS

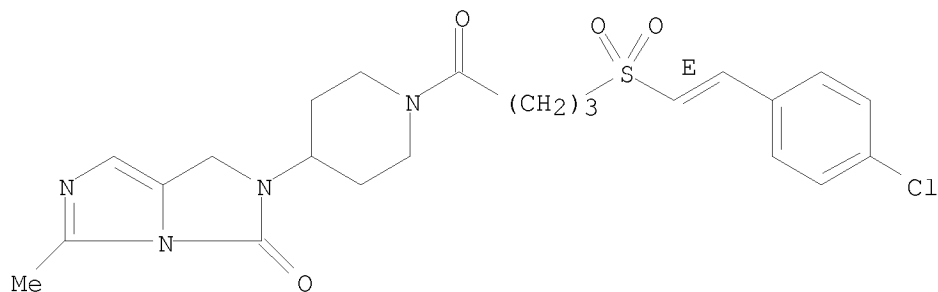
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-3,4-dihydro-2(1H)-isoquinolinyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



RN 701297-19-0 CAPLUS

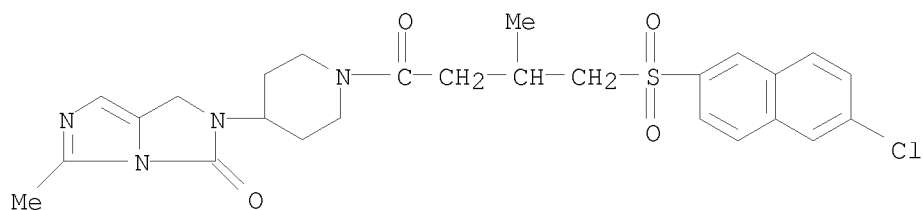
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[4-[[ (1E)-2-(4-chlorophenyl)ethenyl]sulfonyl]-1-oxobutyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

Double bond geometry as shown.



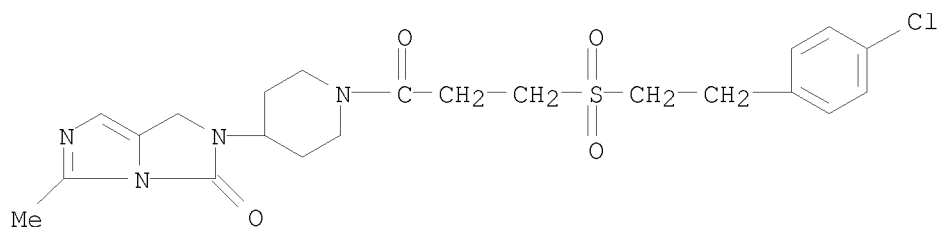
RN 701297-21-4 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[4-[(6-chloro-2-naphthalenyl)sulfonyl]-3-methyl-1-oxobutyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



RN 701297-23-6 CAPLUS

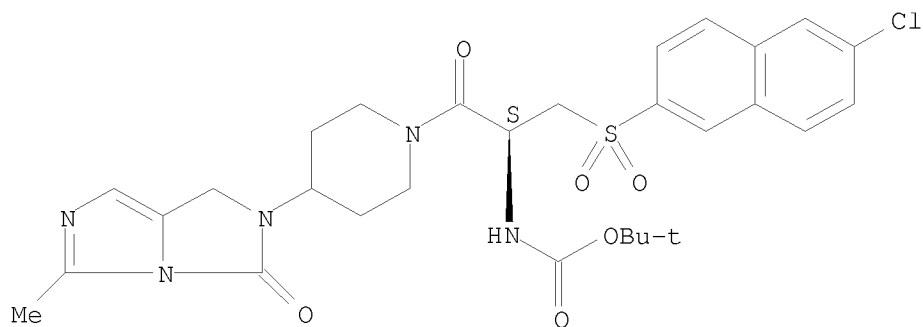
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[[2-(4-chlorophenyl)ethyl]sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



RN 701297-25-8 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

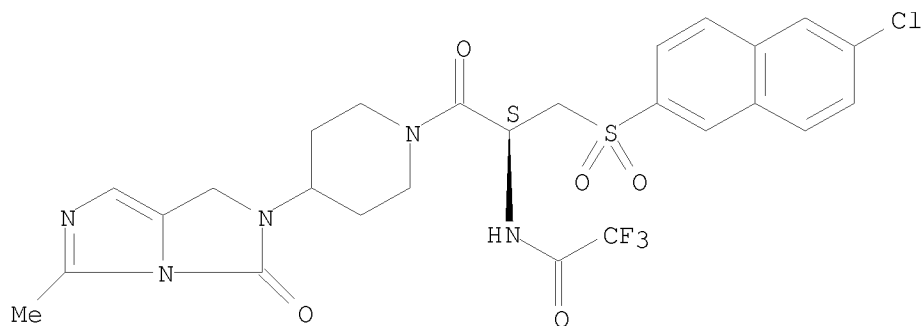
Absolute stereochemistry.



RN 701297-26-9 CAPLUS

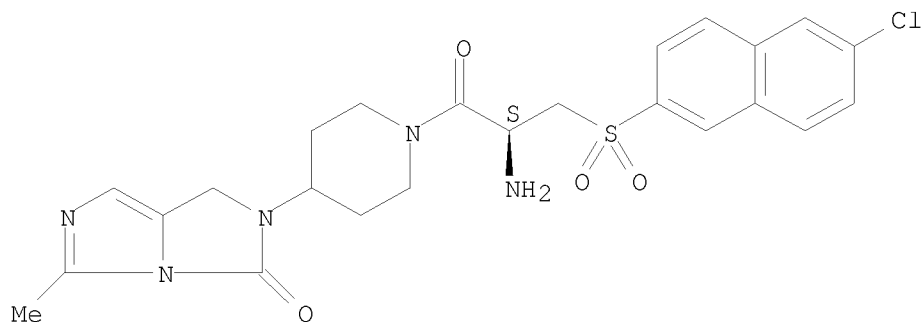
CN Acetamide, N-[(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.



RN 701297-27-0 CAPLUS  
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-2-amino-3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:2) (CA INDEX NAME)

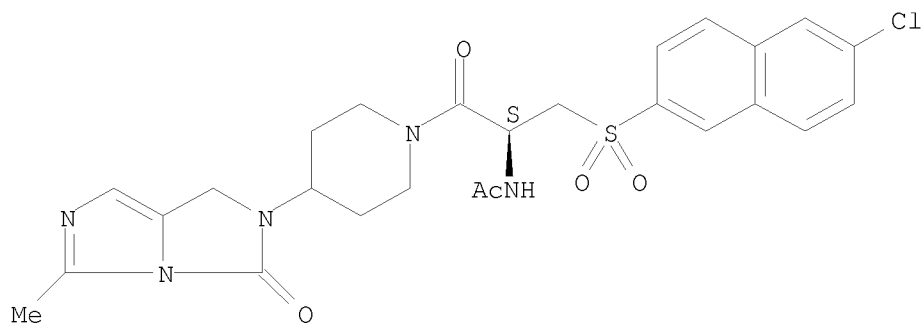
Absolute stereochemistry.



● 2 HCl

RN 701297-28-1 CAPLUS  
 CN Acetamide, N-[(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

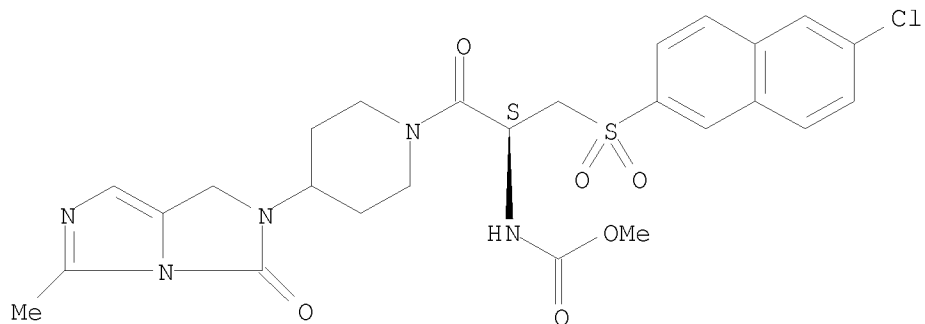
Absolute stereochemistry.



RN 701297-29-2 CAPLUS  
 CN Carbamic acid, [(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-

oxoethyl]-, methyl ester (9CI) (CA INDEX NAME)

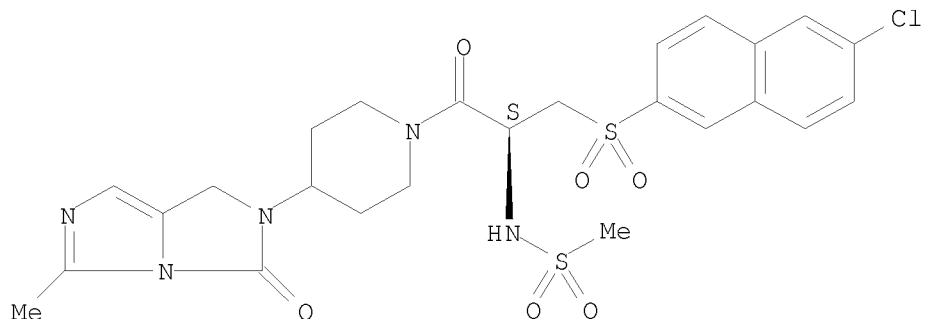
Absolute stereochemistry.



RN 701297-30-5 CAPLUS

CN Methanesulfonamide, N-[(1S)-1-[[[6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.

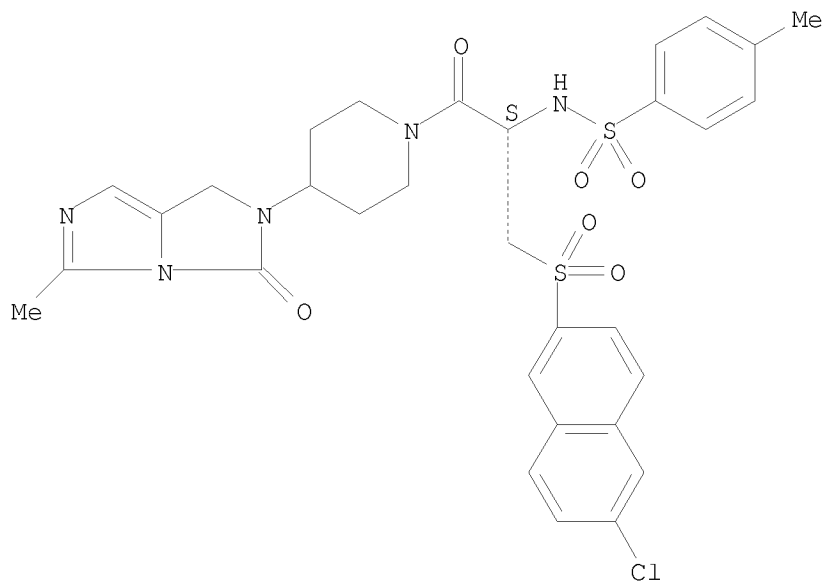


RN 701297-31-6 CAPLUS

CN Benzenesulfonamide, N-[(1S)-1-[[[6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-4-methyl- (CA INDEX NAME)

Absolute stereochemistry.

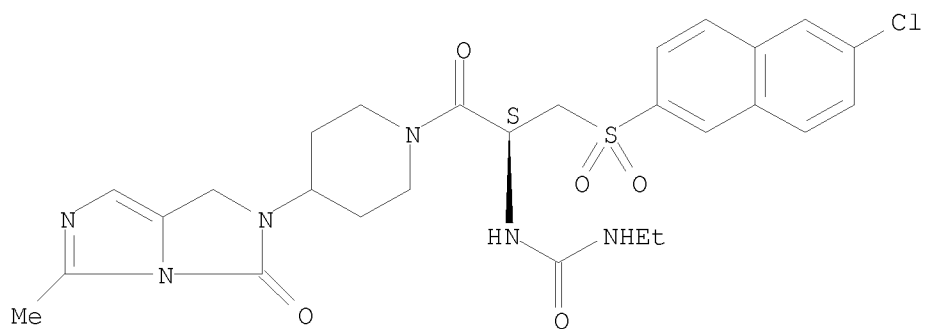




RN 701297-32-7 CAPLUS

CN Urea, N-[(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-N'-ethyl- (CA INDEX NAME)

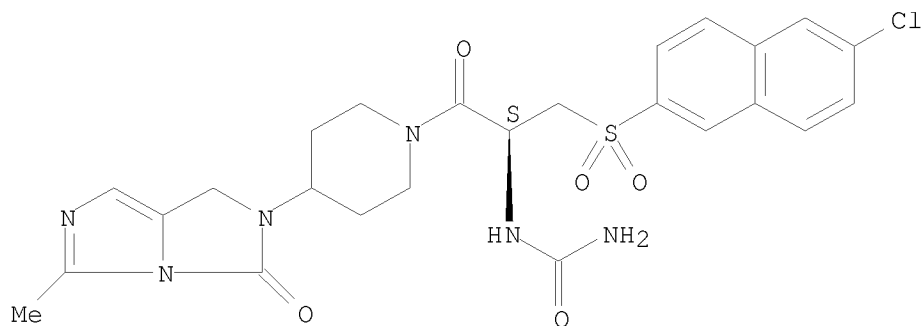
Absolute stereochemistry.



RN 701297-33-8 CAPLUS

CN Urea, N-[(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

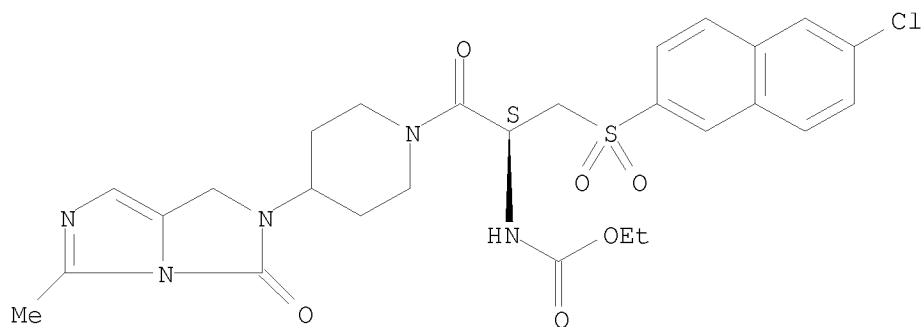
Absolute stereochemistry.



RN 701297-34-9 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, ethyl ester (9CI) (CA INDEX NAME)

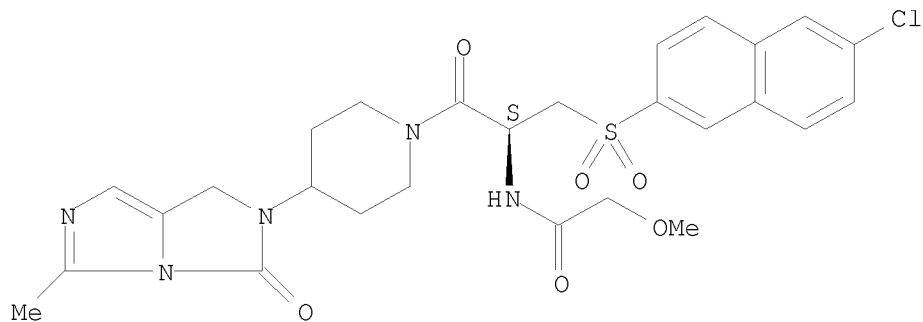
Absolute stereochemistry.



RN 701297-35-0 CAPLUS

CN Acetamide, N-[(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-2-methoxy- (CA INDEX NAME)

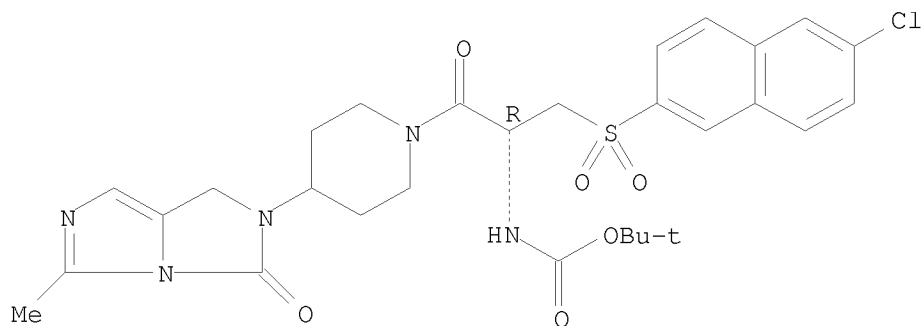
Absolute stereochemistry.



RN 701297-36-1 CAPLUS

CN Carbamic acid, [(1R)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

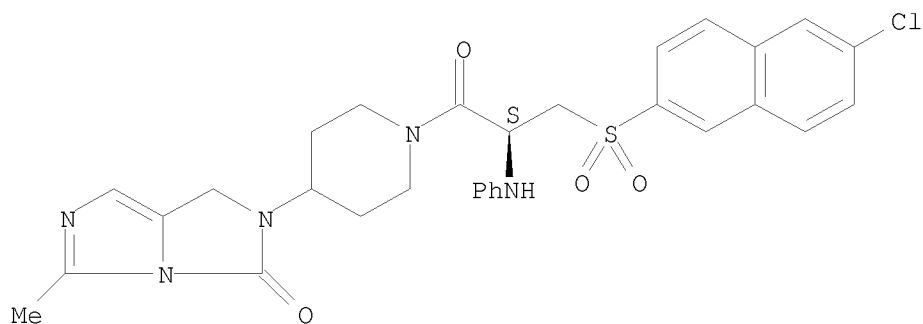
Absolute stereochemistry.



RN 701297-37-2 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxo-2-(phenylamino)propyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

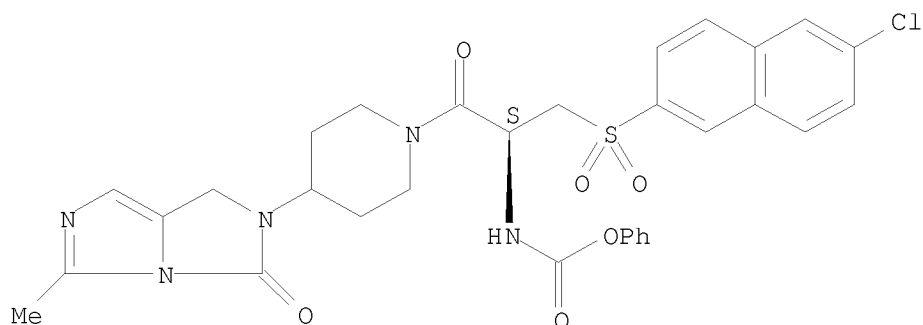
Absolute stereochemistry.



RN 701297-38-3 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, phenyl ester (9CI) (CA INDEX NAME)

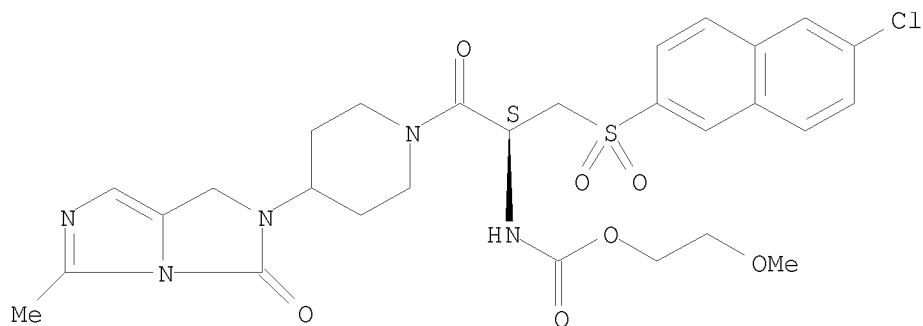
Absolute stereochemistry.



RN 701297-40-7 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

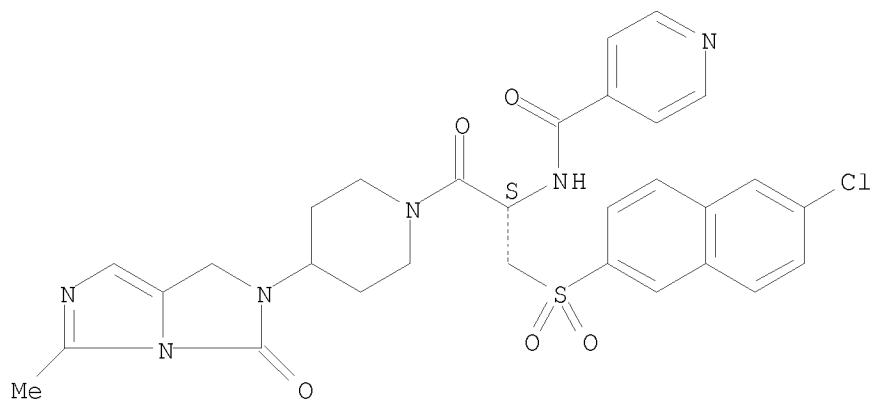
Absolute stereochemistry.



RN 701297-41-8 CAPLUS

CN 4-Pyridinecarboxamide, N-[(1S)-1-[[[6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]- (CA INDEX NAME)

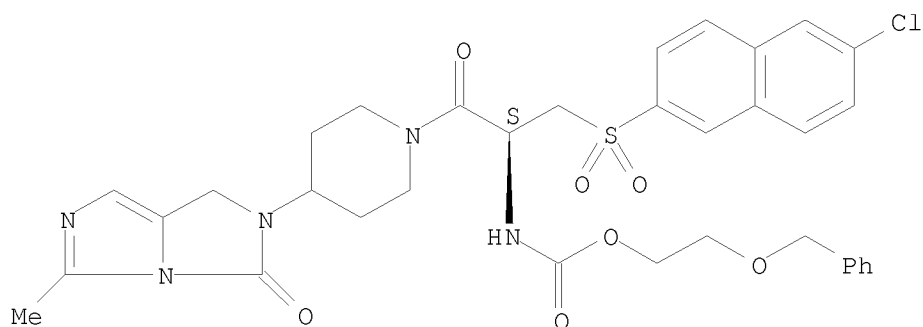
Absolute stereochemistry.



RN 701297-42-9 CAPLUS

CN Carbamic acid, [(1S)-1-[[[6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-oxoethyl]-, 2-(phenylmethoxy)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

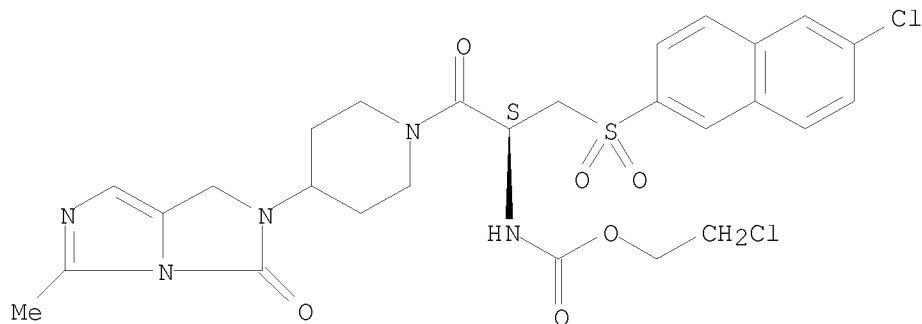


RN 701297-43-0 CAPLUS

CN Carbamic acid, [(1S)-1-[[[6-chloro-2-naphthalenyl)sulfonyl]methyl]-2-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-2-

oxoethyl]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)

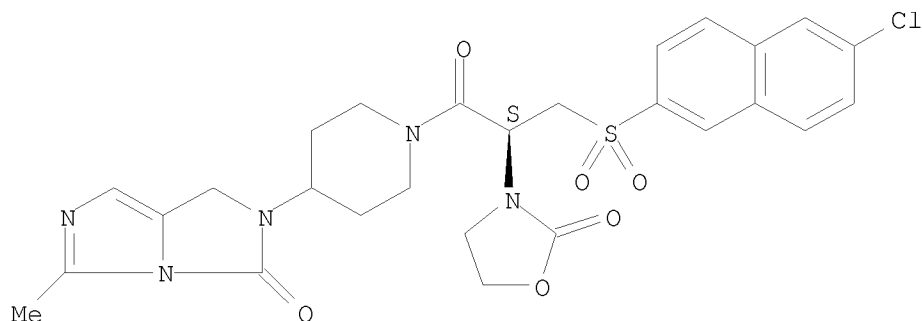
Absolute stereochemistry.



RN 701297-44-1 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxo-2-(2-oxo-3-oxazolidinyl)propyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

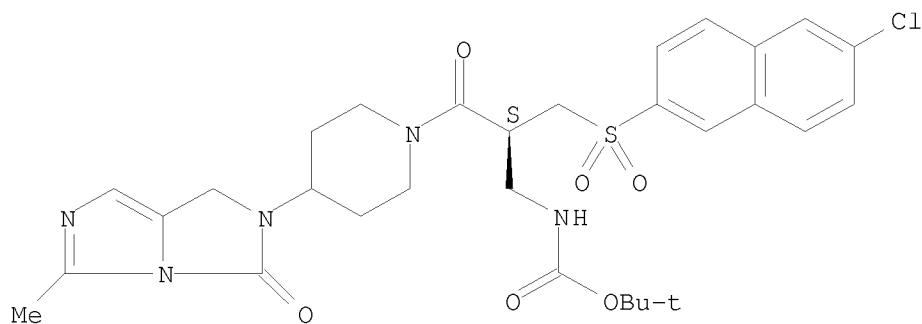
Absolute stereochemistry.



RN 701297-45-2 CAPLUS

CN Carbamic acid, [(2S)-2-[[[(6-chloro-2-naphthalenyl)sulfonyl]methyl]-3-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

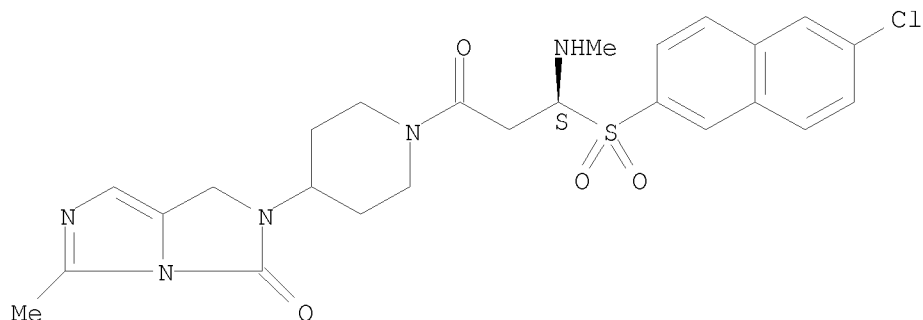
Absolute stereochemistry.



RN 701297-46-3 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(3S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-3-(methylamino)-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.



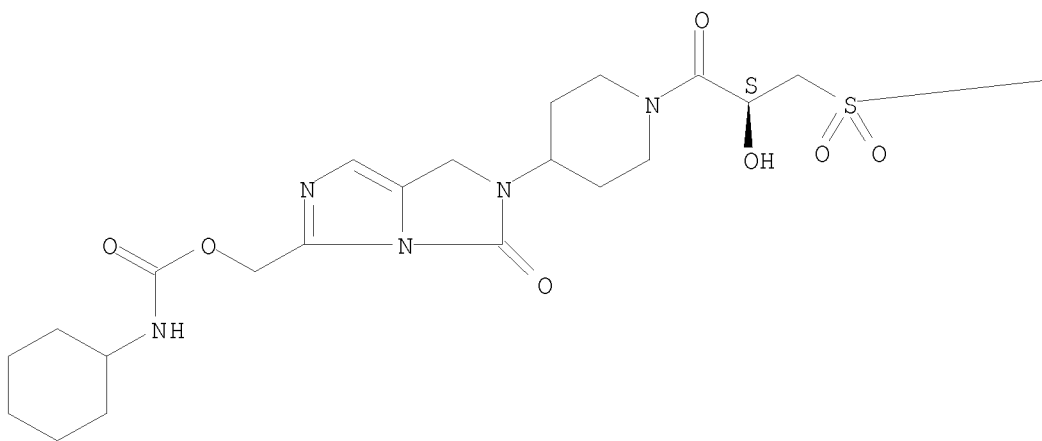
● 2 HCl

RN 701297-47-4 CAPLUS

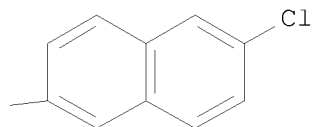
CN Carbamic acid, cyclohexyl-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

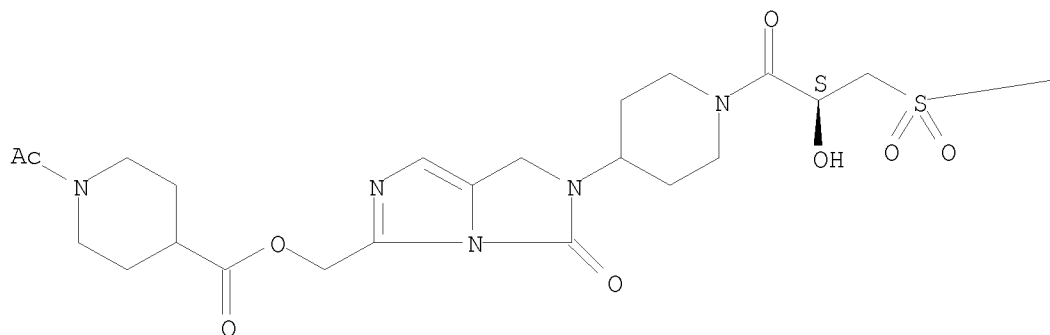


RN 701297-48-5 CAPLUS

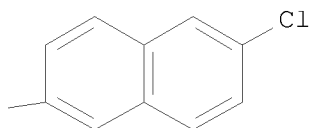
CN 4-Piperidinecarboxylic acid, 1-acetyl-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



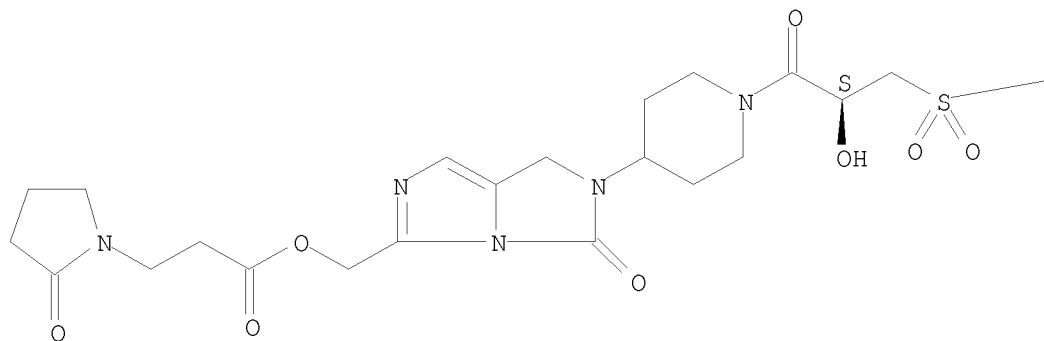
PAGE 1-B



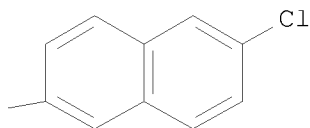
RN 701297-49-6 CAPLUS  
CN 1-Pyrrolidinepropanoic acid, 2-oxo-,  
[2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-  
piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester  
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

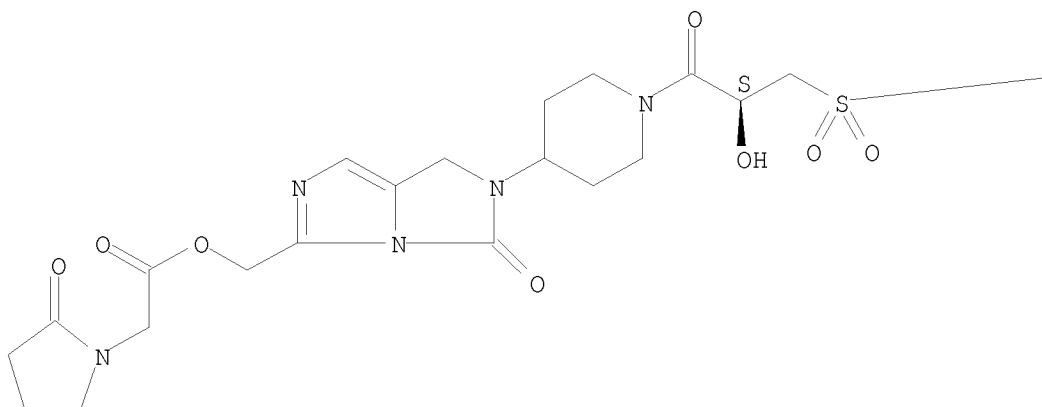


RN 701297-50-9 CAPLUS  
CN 1-Pyrrolidineacetic acid, 2-oxo-, [2-[1-[(2S)-3-[(6-chloro-2-

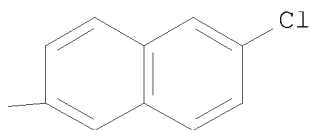
naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

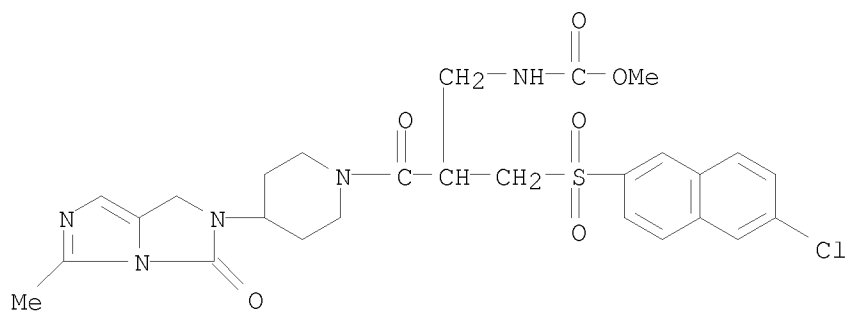


PAGE 1-B



RN 701297-51-0 CAPLUS

CN Carbamic acid, [2-[[[6-chloro-2-naphthalenyl)sulfonyl]methyl]-3-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidinyl]-3-oxopropyl]-, methyl ester (9CI) (CA INDEX NAME)



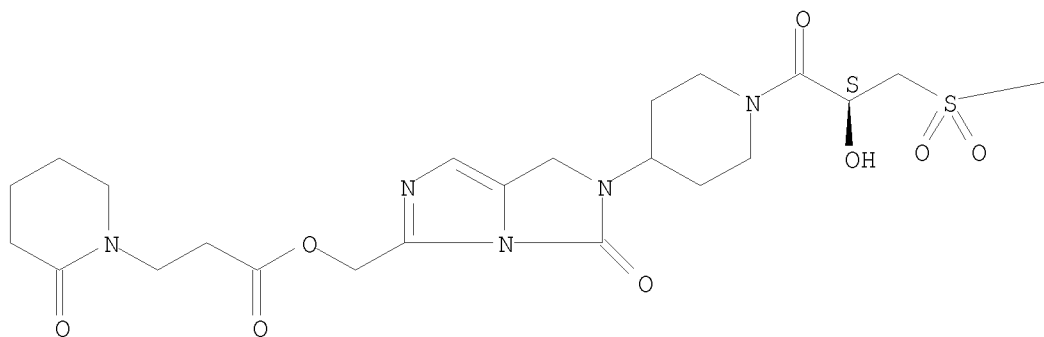
RN 701297-52-1 CAPLUS

CN 1-Piperidinepropanoic acid, 2-oxo-, [2-[1-[(2S)-3-[[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester (CA INDEX NAME)

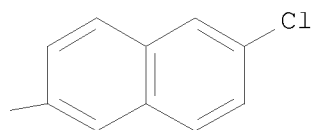
Absolute stereochemistry.



PAGE 1-A



PAGE 1-B

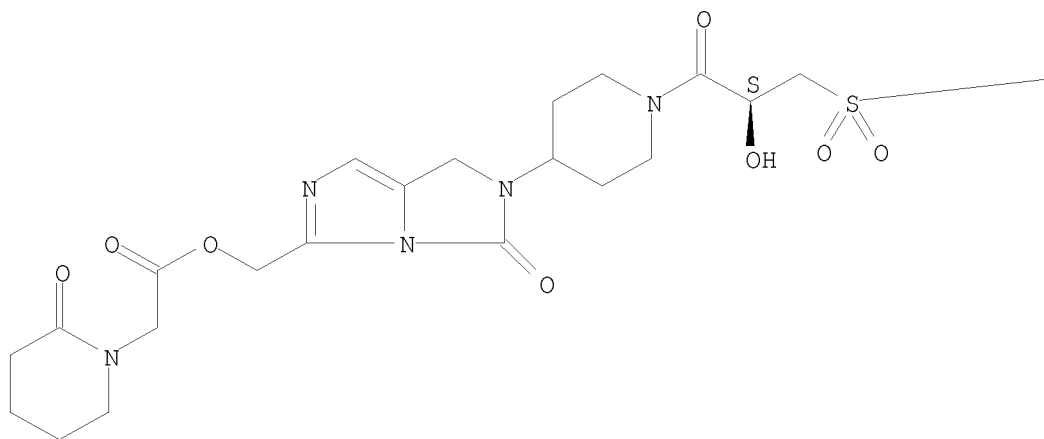


RN 701297-53-2 CAPLUS

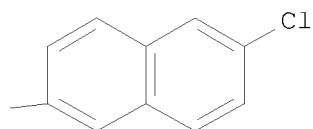
CN 1-Piperidineacetic acid, 2-oxo-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

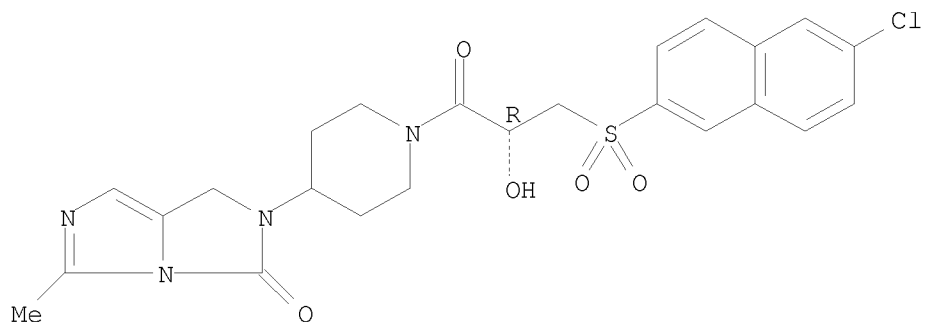


PAGE 1-B



RN 701297-54-3 CAPLUS  
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2R)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)

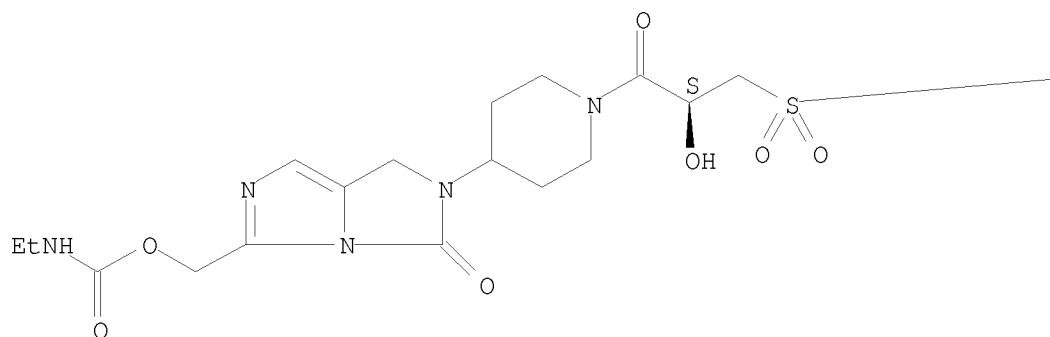
Absolute stereochemistry.



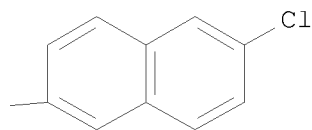
RN 701297-55-4 CAPLUS  
 CN Carbamic acid, ethyl-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

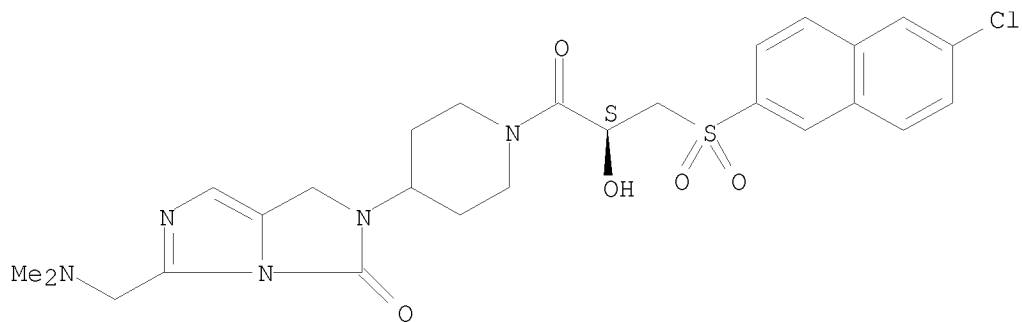


PAGE 1-B



RN 701297-56-5 CAPLUS  
 CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-5-[(dimethylamino)methyl]-1,2-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

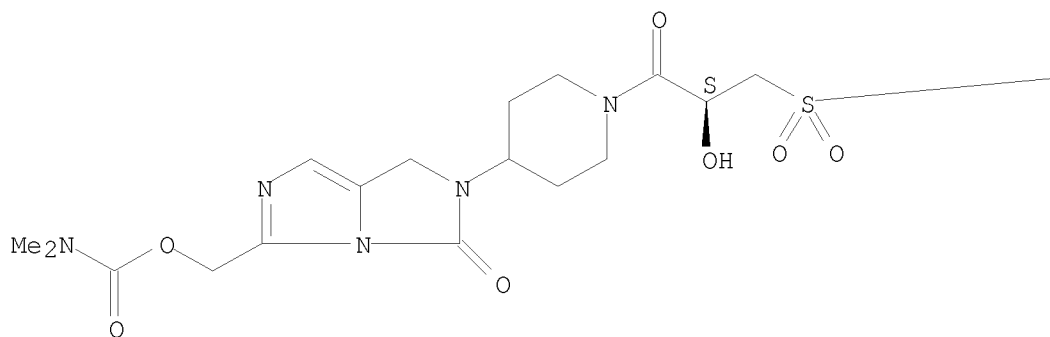


RN 701297-57-6 CAPLUS

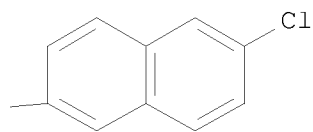
CN Carbamic acid, dimethyl-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

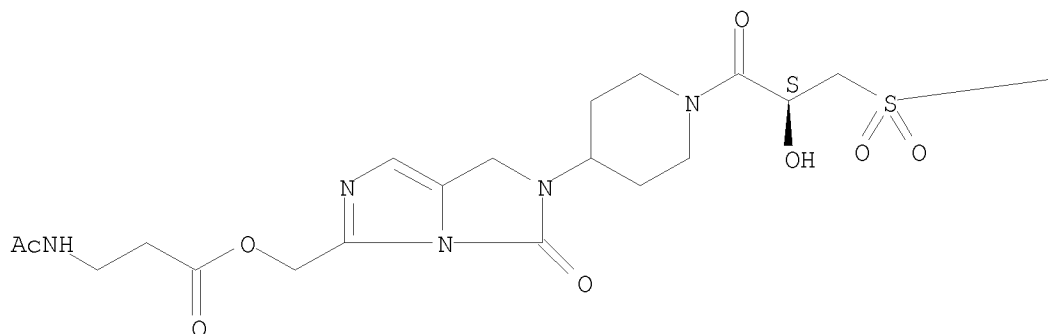


RN 701297-58-7 CAPLUS

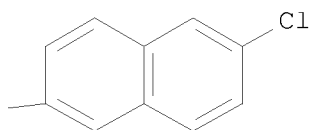
CN  $\beta$ -Alanine, N-acetyl-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



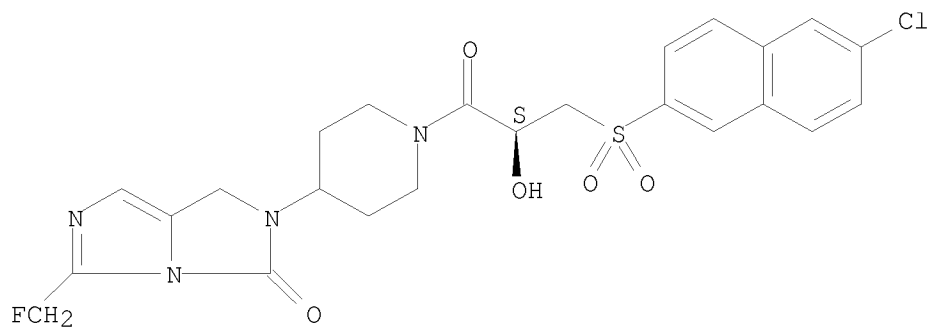
PAGE 1-B



RN 701297-59-8 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-5-(fluoromethyl)-1,2-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

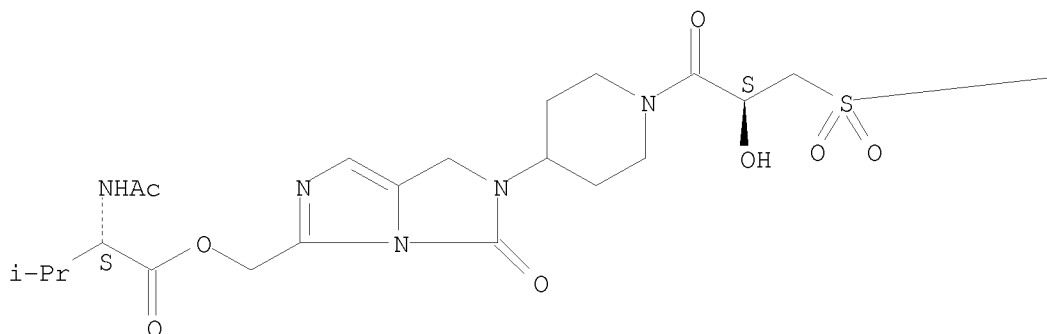


RN 701297-60-1 CAPLUS

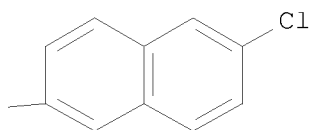
CN L-Valine, N-acetyl-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

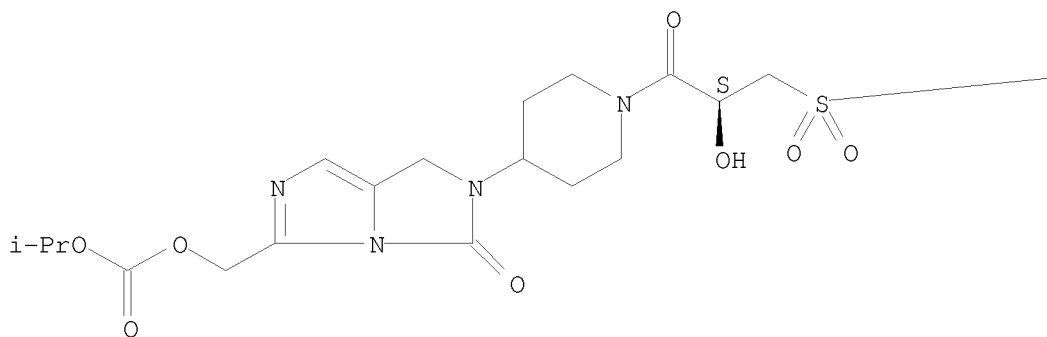


RN 701297-61-2 CAPLUS

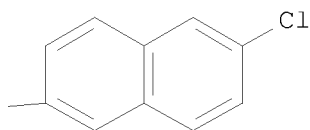
CN Carbonic acid, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

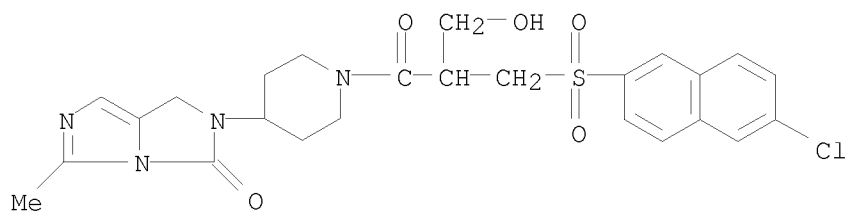


PAGE 1-B



RN 701297-62-3 CAPLUS

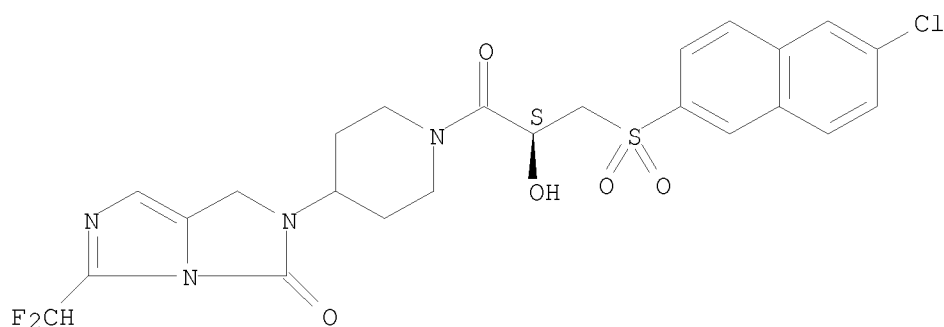
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-(hydroxymethyl)-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



RN 701297-63-4 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-5-(difluoromethyl)-1,2-dihydro- (CA INDEX NAME)

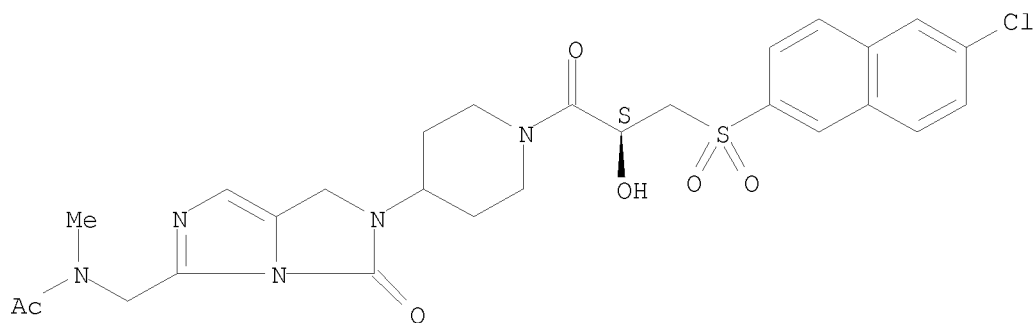
Absolute stereochemistry.



RN 701297-64-5 CAPLUS

CN Acetamide, N-[[2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl]-N-methyl- (CA INDEX NAME)

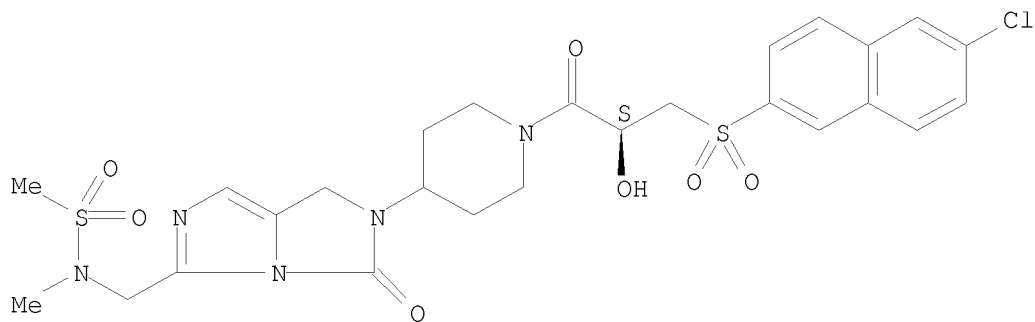
Absolute stereochemistry.



RN 701297-65-6 CAPLUS

CN Methanesulfonamide, N-[[2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

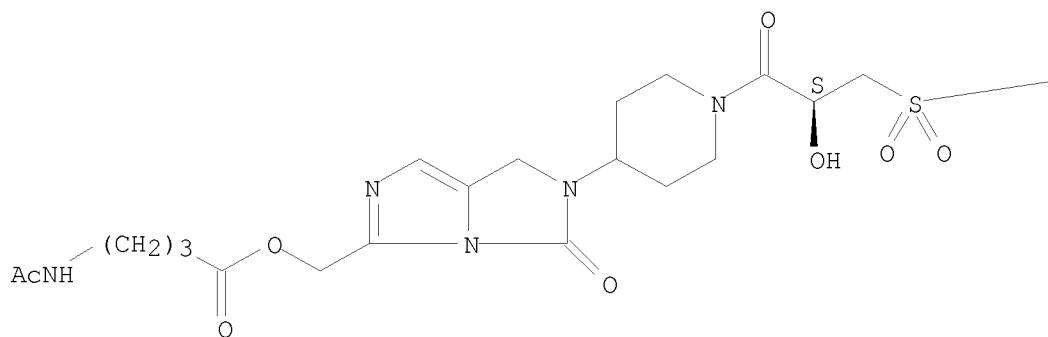


RN 701297-66-7 CAPLUS

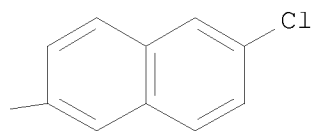
CN Butanoic acid, 4-(acetylamino)-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

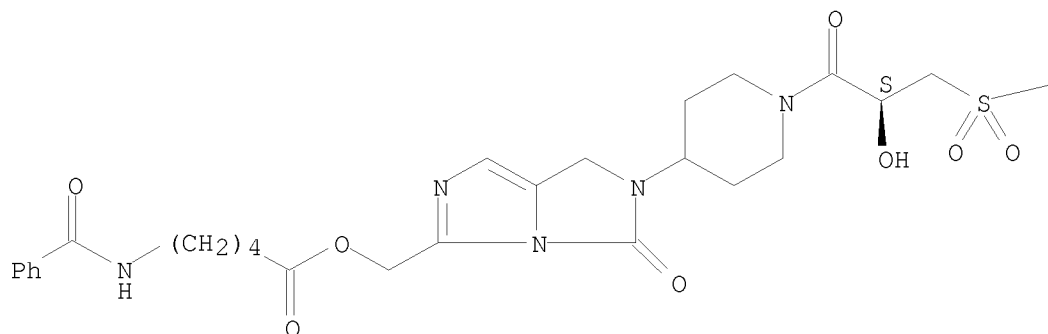


RN 701297-67-8 CAPLUS

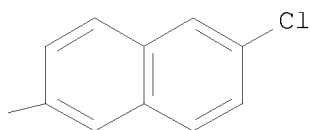
CN Pentanoic acid, 5-(benzoylamino)-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

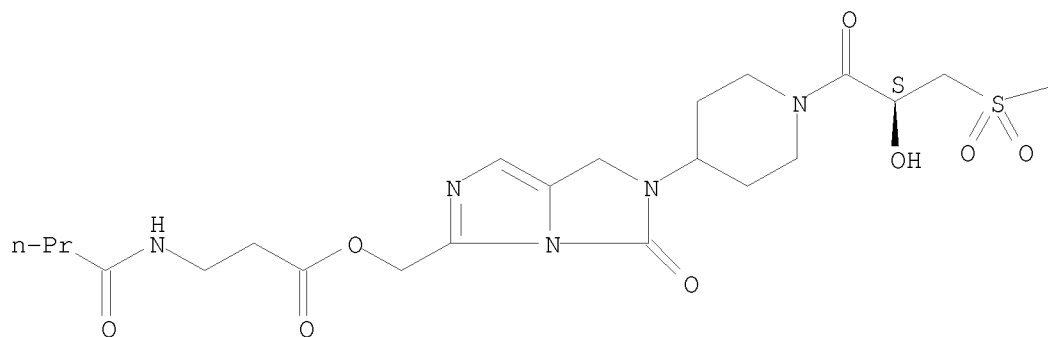


RN 701297-68-9 CAPLUS

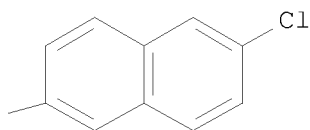
CN  $\beta$ -Alanine, N-(1-oxobutyl)-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

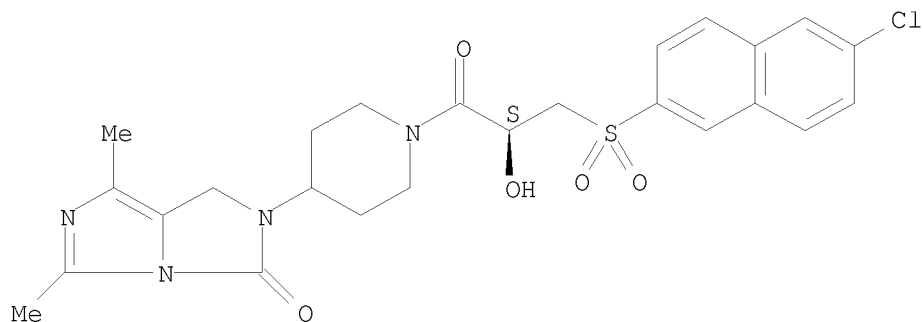


RN 701297-71-4 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5,7-dimethyl- (CA INDEX NAME)



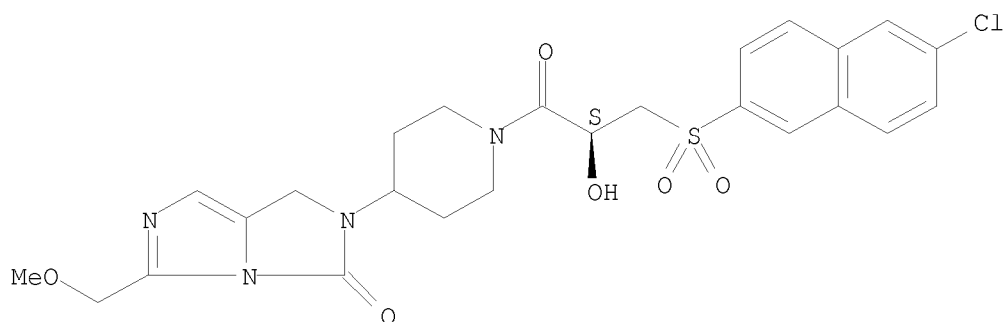
Absolute stereochemistry.



RN 701297-72-5 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-1,2-dihydro-5-(methoxymethyl)- (CA INDEX NAME)

Absolute stereochemistry.

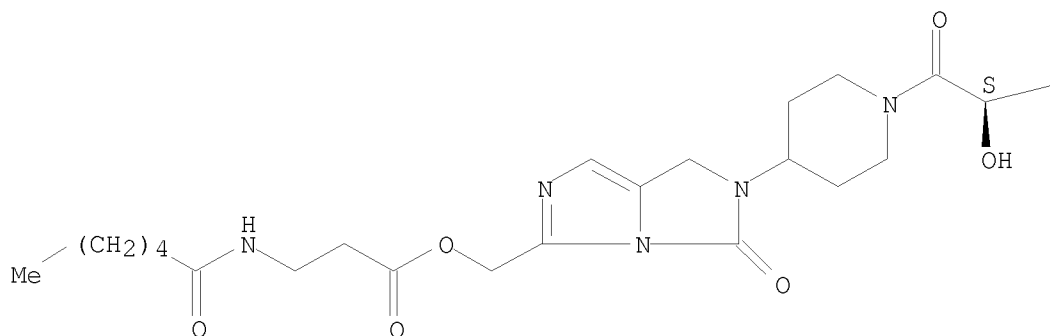


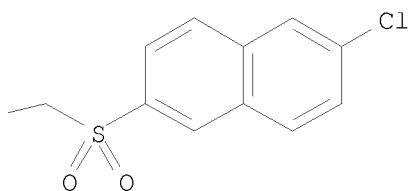
RN 701297-73-6 CAPLUS

CN  $\beta$ -Alanine, N-(1-oxohexyl)-, [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

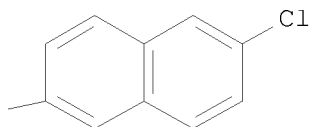
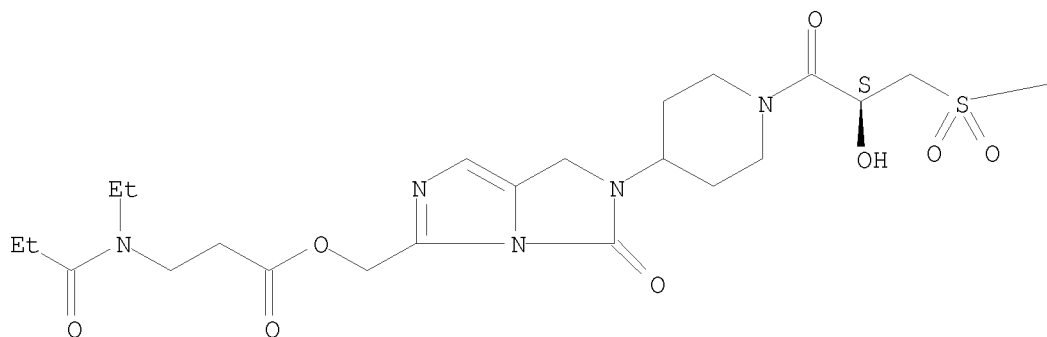




RN 701297-74-7 CAPLUS

CN  $\beta$ -Alanine, N-ethyl-N-(1-oxopropyl)-,  
 [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-  
 piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester  
 (CA INDEX NAME)

Absolute stereochemistry.

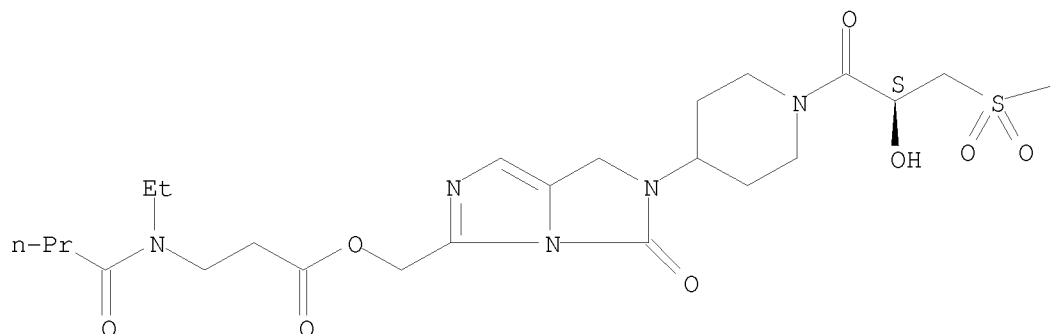


RN 701297-75-8 CAPLUS

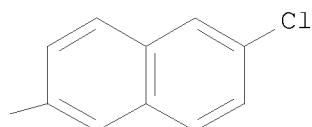
CN  $\beta$ -Alanine, N-ethyl-N-(1-oxobutyl)-,  
 [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-  
 piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester  
 (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



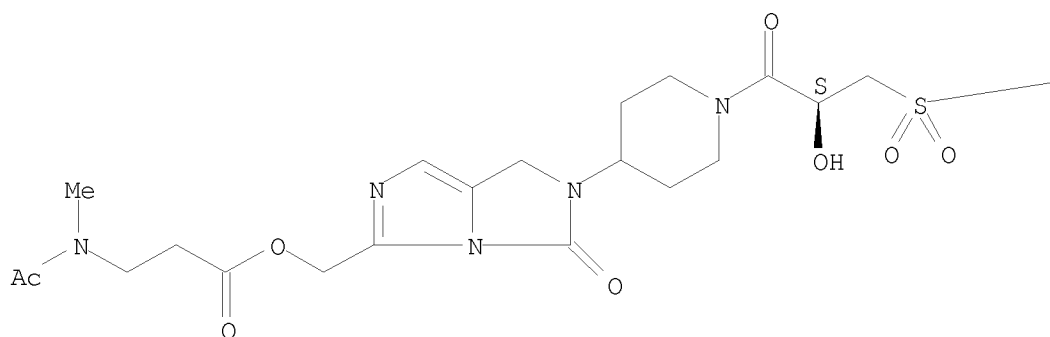
PAGE 1-B



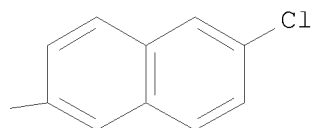
RN 701297-76-9 CAPLUS  
CN  $\beta$ -Alanine, N-acetyl-N-methyl-,  
[2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-  
piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester  
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

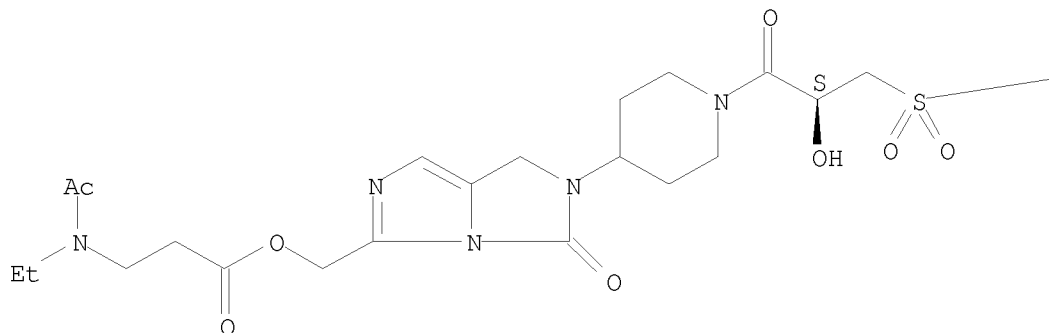


RN 701297-77-0 CAPLUS  
CN  $\beta$ -Alanine, N-acetyl-N-ethyl-,  
[2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-  
piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl]methyl ester  
(CA INDEX NAME)

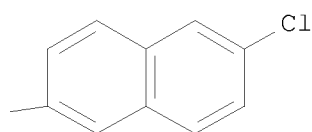
piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester  
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



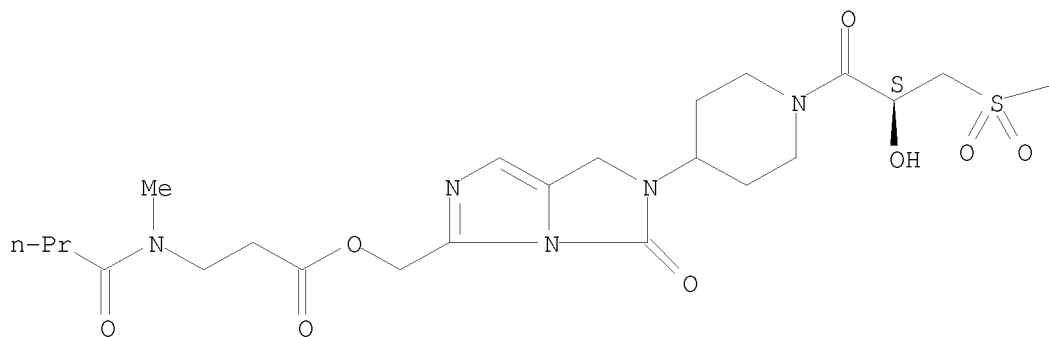
PAGE 1-B



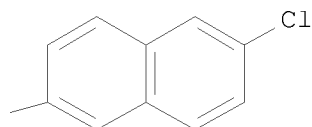
RN 701297-78-1 CAPLUS  
CN  $\beta$ -Alanine, N-methyl-N-(1-oxobutyl)-,  
[2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-  
piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester  
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



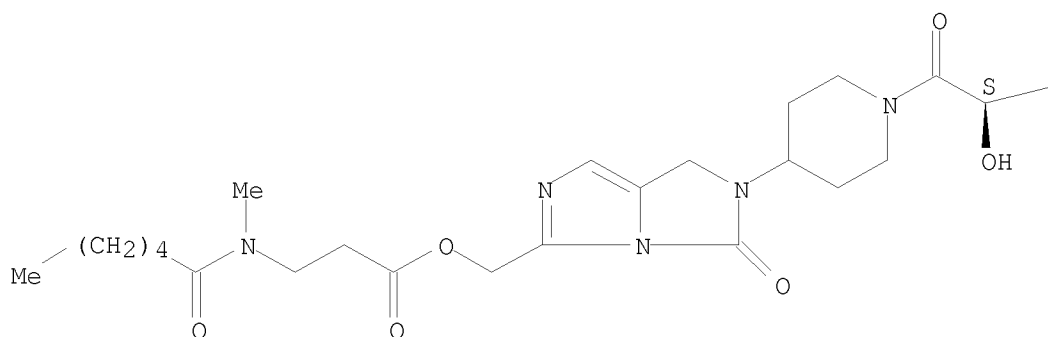
PAGE 1-B



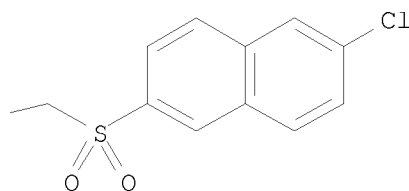
RN 701297-79-2 CAPLUS  
 CN  $\beta$ -Alanine, N-methyl-N-(1-oxohexyl)-,  
 [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-  
 piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester  
 (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



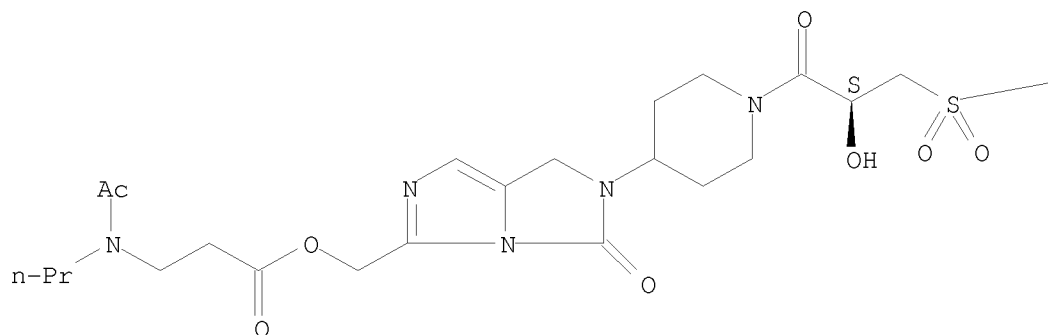
PAGE 1-B

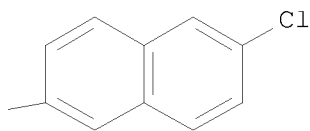


RN 701297-80-5 CAPLUS  
 CN  $\beta$ -Alanine, N-acetyl-N-propyl-,  
 [2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-  
 piperidinyl]-2,3-dihydro-3-oxo-1H-imidazo[1,5-c]imidazol-5-yl)methyl ester  
 (CA INDEX NAME)

Absolute stereochemistry.

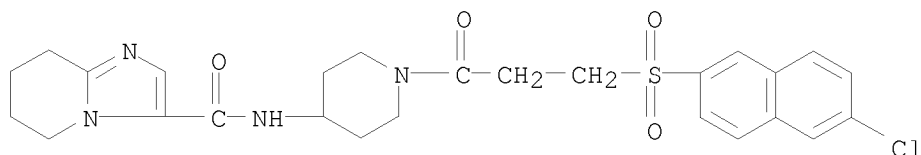
PAGE 1-A





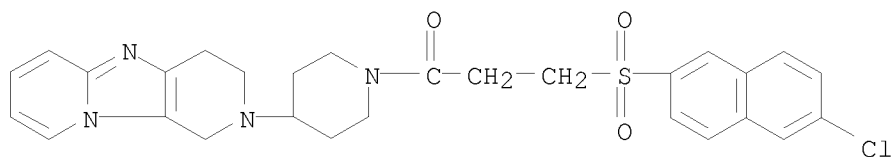
RN 701297-89-4 CAPLUS

CN Imidazo[1,2-a]pyridine-3-carboxamide,  
N-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-  
5,6,7,8-tetrahydro- (CA INDEX NAME)



RN 701298-05-7 CAPLUS

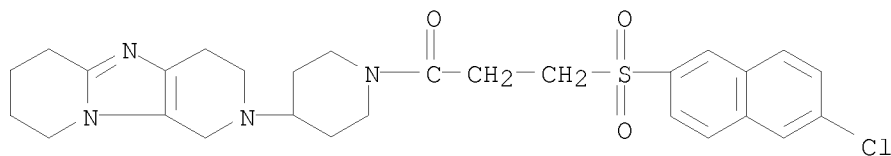
CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(3,4-  
dihydropyrido[4',3':4,5]imidazo[1,2-a]pyridin-2(1H)-yl)-1-piperidinyl]-,  
hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 701298-08-0 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-(3,4,6,7,8,9-  
hexahydropyrido[4',3':4,5]imidazo[1,2-a]pyridin-2(1H)-yl)-1-piperidinyl]-,  
hydrochloride (1:2) (CA INDEX NAME)

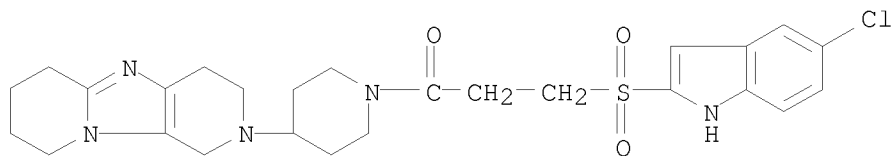


● 2 HCl

RN 701298-10-4 CAPLUS

CN 1-Propanone, 3-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-[4-(3,4,6,7,8,9-  
hexahydropyrido[4',3':4,5]imidazo[1,2-a]pyridin-2(1H)-yl)-1-piperidinyl]-,

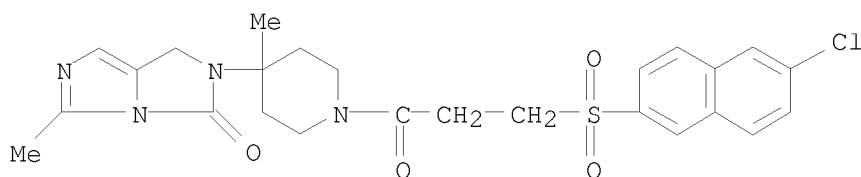
hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

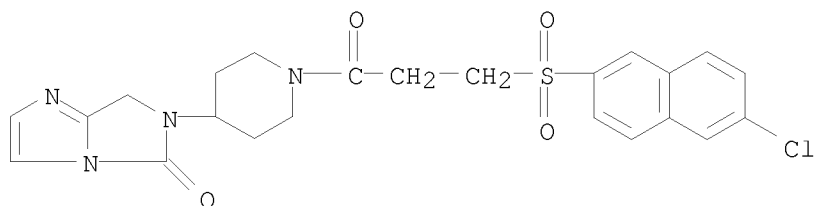
RN 701298-11-5 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-methyl-4-piperidinyl]-1,2-dihydro-5-methyl- (CA INDEX NAME)



RN 701911-96-8 CAPLUS

CN 5H-Imidazo[1,5-a]imidazol-5-one, 6-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidinyl]-6,7-dihydro- (CA INDEX NAME)



IT 701298-60-4P 701298-62-6P 701298-63-7P

701298-64-8P 701298-82-0P 701299-44-7P

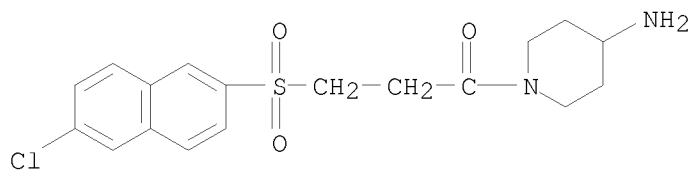
701299-62-9P 701299-64-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazole derivs. as inhibitors of activated blood coagulation factor X and antithrombotics)

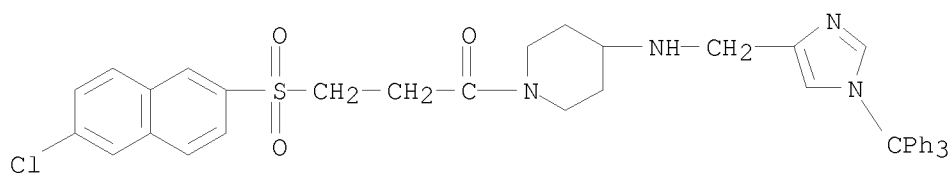
RN 701298-60-4 CAPLUS

CN 1-Propanone, 1-(4-amino-1-piperidinyl)-3-[(6-chloro-2-naphthalenyl)sulfonyl]- (CA INDEX NAME)



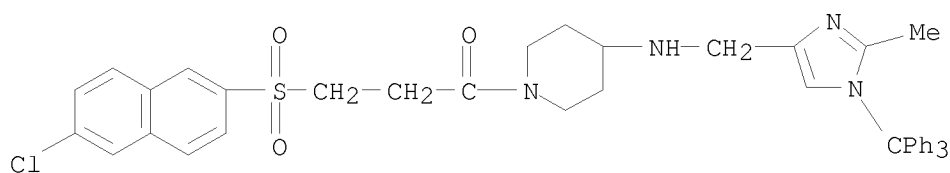
RN 701298-62-6 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[[[1-(triphenylmethyl)-1H-imidazol-4-yl]methyl]amino]-1-piperidinyl]- (CA INDEX NAME)



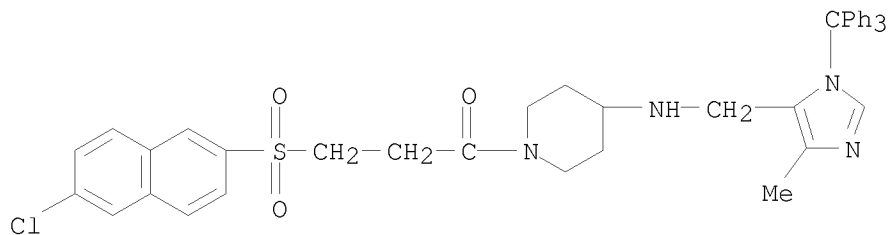
RN 701298-63-7 CAPLUS

CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[[[2-methyl-1-(triphenylmethyl)-1H-imidazol-4-yl]methyl]amino]-1-piperidinyl]- (CA INDEX NAME)



RN 701298-64-8 CAPLUS

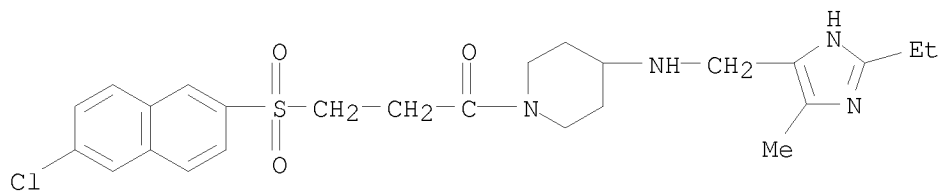
CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[[[4-methyl-1-(triphenylmethyl)-1H-imidazol-5-yl]methyl]amino]-1-piperidinyl]- (CA INDEX NAME)



RN 701298-82-0 CAPLUS

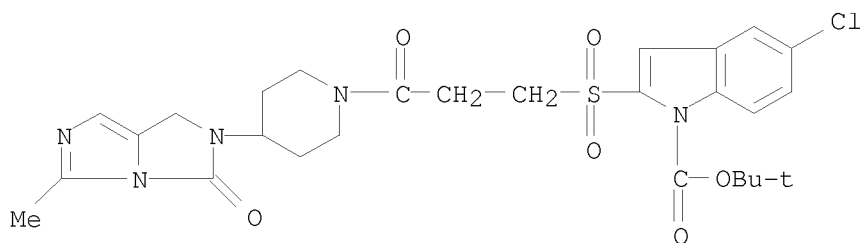
CN 1-Propanone, 3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-[4-[[[2-ethyl-4-methyl-1H-imidazol-5-yl]methyl]amino]-1-piperidinyl]- (CA INDEX NAME)





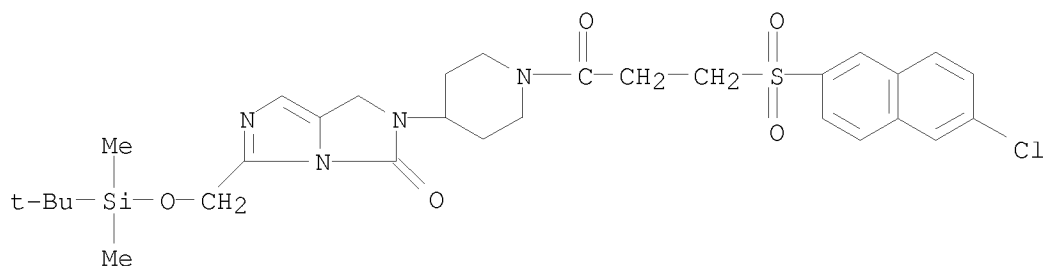
RN 701299-44-7 CAPLUS

CN 1H-Indole-1-carboxylic acid, 5-chloro-2-[[3-[4-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)-1-piperidiny]-3-oxopropyl]sulfonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 701299-62-9 CAPLUS

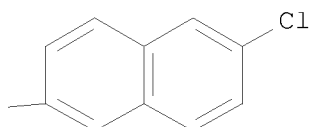
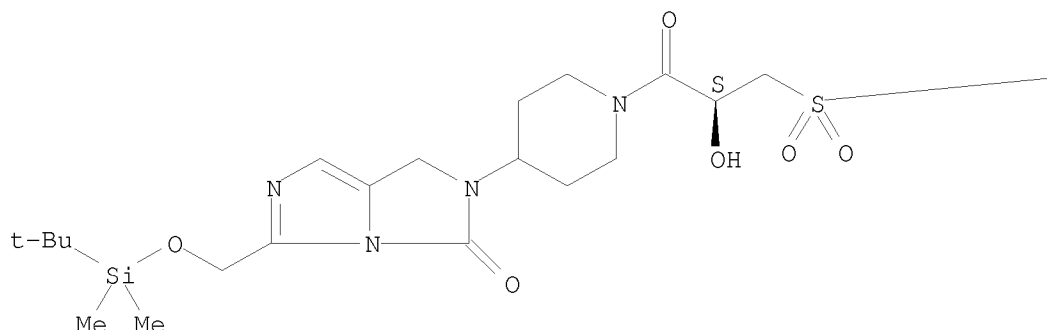
CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[3-[(6-chloro-2-naphthalenyl)sulfonyl]-1-oxopropyl]-4-piperidiny]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,2-dihydro- (CA INDEX NAME)



RN 701299-64-1 CAPLUS

CN 3H-Imidazo[1,5-c]imidazol-3-one, 2-[1-[(2S)-3-[(6-chloro-2-naphthalenyl)sulfonyl]-2-hydroxy-1-oxopropyl]-4-piperidiny]-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1,2-dihydro- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:265847 CAPLUS

DOCUMENT NUMBER: 140:321370

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 609 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

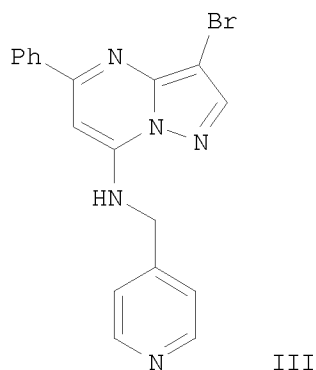
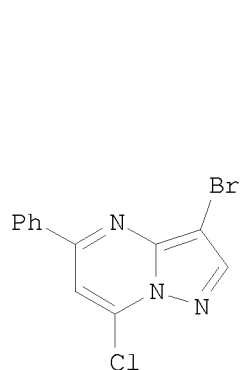
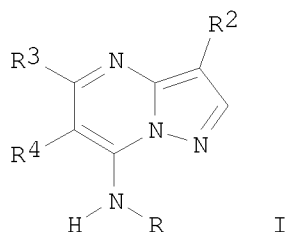
FAMILY ACC. NUM. COUNT: 10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022561	A1	20040318	WO 2003-XA27555	20030903 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

CN 1735614	A	20060215	CN 2003-824997	20030903 <--
CN 100376580	C	20080326		
CN 1880317	A	20061220	CN 2006-10101322	20030903 <--
ZA 2005001855	A	20060329	ZA 2005-1855	20060117 <--
PRIORITY APPLN. INFO.:			US 2002-408027P	P 20020904 <--
			US 2002-421959P	P 20021029 <--
			CN 2003-824997	A3 20030903 <--

GI



AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020  $\mu$ M and 0.029  $\mu$ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a

Part

II of I-III series.

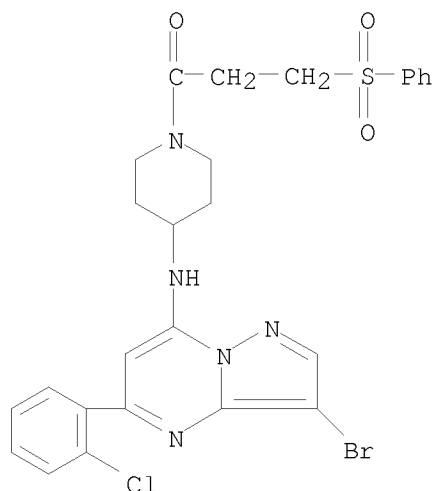
IT 677789-58-1P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 677789-58-1 CAPLUS

CN 1-Propanone, 1-[4-[[3-bromo-5-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-piperidinyl]-3-(phenylsulfonyl)- (CA INDEX NAME)



L5 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:10480 CAPLUS

DOCUMENT NUMBER: 136:85818

TITLE: Preparation of pyrrolo[2,3-d]pyrimidines as immunosuppressive agents

INVENTOR(S): Blumenkopf, Todd Andrew; Flanagan, Mark Edward; Munchhof, Michael John

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

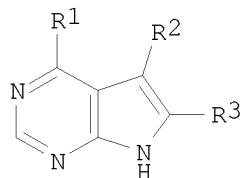
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

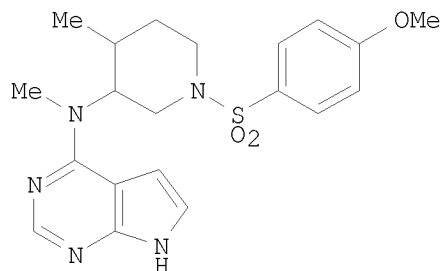
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000661	A1	20020103	WO 2001-IB975	20010605 <--
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CA 2412560	A1	20020103	CA 2001-2412560	20010605 <--
EP 1294724	A1	20030326	EP 2001-934243	20010605 <--
EP 1294724	B1	20060419		
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HU 2003001114	A2	20030828	HU 2003-1114	20010605 <--
HU 2003001114	A3	20041129		
BR 2001011561	A	20030909	BR 2001-11561	20010605 <--
JP 2004501922	T	20040122	JP 2002-505785	20010605 <--
JP 4068958	B2	20080326		
EE 200200711	A	20040615	EE 2002-711	20010605 <--
NZ 522364	A	20040924	NZ 2001-522364	20010605 <--
AU 784297	B2	20060302	AU 2001-60538	20010605 <--
AT 323704	T	20060515	AT 2001-934243	20010605 <--

PT 1294724	T	20060731	PT 2001-934243	20010605 <--
ES 2257410	T3	20060801	ES 2001-934243	20010605 <--
EP 1686130	A1	20060802	EP 2006-7969	20010605 <--
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TW 243820	B	20051121	TW 2001-90115016	20010620 <--
US 20020068746	A1	20020606	US 2001-891028	20010625 <--
US 6696567	B2	20040224		
IN 2002DN01075	A	20050128	IN 2002-DN1075	20021030 <--
BG 107236	A	20030930	BG 2002-107236	20021031 <--
NO 2002006030	A	20021216	NO 2002-6030	20021216 <--
NO 324934	B1	20080107		
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US 7192963	B2	20070320		
US 20070161666	A1	20070712	US 2007-710164	20070222 <--
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			EP 2001-934243	A3 20010605 <--
			WO 2001-IB975	W 20010605 <--
			US 2001-891028	A1 20010625 <--
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			US 2005-112307	A3 20050421
OTHER SOURCE(S):		MARPAT 136:85818		
GI				



I



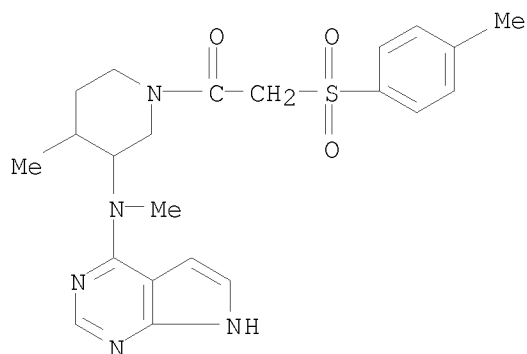
II

AB The title compds. [I; R1 = NR4(CH2)yR5 (wherein y = 0-2; R4 = H, alkyl, alkylsulfonyl, etc.; R5 = substituted heterocycloalkyl); R2, R3 = H, NH2, halo, etc.], useful as inhibitors of protein kinases, such as the enzyme Janus Kinase 3 (no data given), were prepared, e.g., a multi-step synthesis of II was given.

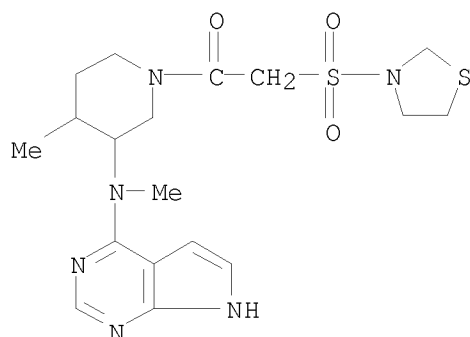
IT 384337-72-8P 384337-79-5P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyrrolo[2,3-d]pyrimidines as immunosuppressive agents)

RN 384337-72-8 CAPLUS

CN Ethanone, 1-[4-methyl-3-(methyl-7H-pyrrolo[2,3-d]pyrimidin-4-ylamino)-1-piperidinyl]-2-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)



RN 384337-79-5 CAPLUS  
 CN Ethanone, 1-[4-methyl-3-(methyl-7H-pyrrolo[2,3-d]pyrimidin-4-ylamino)-1-piperidinyl]-2-(3-thiazolidinylsulfonyl)- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:762989 CAPLUS

DOCUMENT NUMBER: 135:318419

TITLE: Synthesis of substituted bipiperidines and their use as H1 antagonists

INVENTOR(S): Lawrence, Louise; Rigby, Aaron; Sanganee, Hitesh; Springthorpe, Brian

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 160 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

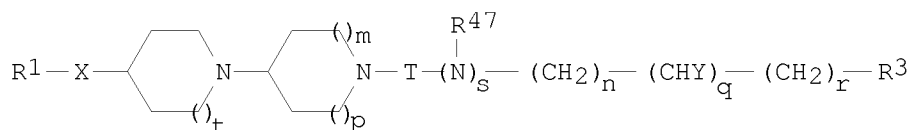
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

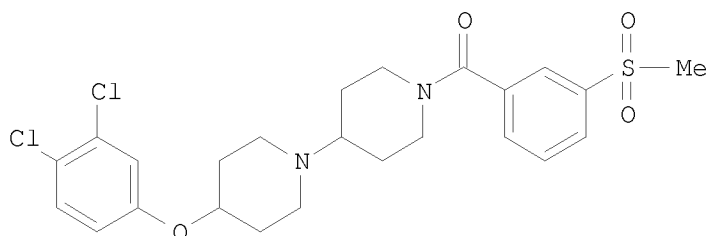
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077101	A1	20011018	WO 2001-SE751	20010405 <--
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2403012	A1	20011018	CA 2001-2403012	20010405 <--
EP 1274701	A1	20030115	EP 2001-920053	20010405 <--
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CN 1433411	A	20030730	CN 2001-810683	20010405 <--
CN 1244576	C	20060308		
JP 2003530393	T	20031014	JP 2001-575574	20010405 <--
NZ 521543	A	20041029	NZ 2001-521543	20010405 <--
EP 1493743	A1	20050105	EP 2004-20599	20010405 <--
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US 6525070	B2	20030225		
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NO 2002004774	A	20021129	NO 2002-4774	20021003 <--
MX 2002PA09885	A	20030327	MX 2002-PA9885	20021007 <--
US 20040006080	A1	20040108	US 2003-341027	20030113 <--
US 6903115	B2	20050607		
US 20040014783	A1	20040122	US 2003-436582	20030513 <--
US 7238811	B2	20070703		
HK 1051193	A1	20051028	HK 2003-103424	20030514 <--
US 20050171092	A1	20050804	US 2005-76773	20050310 <--
US 7179922	B2	20070220		
US 20070179297	A1	20070802	US 2007-732411	20070403 <--
PRIORITY APPLN. INFO.:				
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			GB 2000-19111	A 20000803 <--
			SE 2000-3664	A 20001011 <--
			CN 2001-810683	A3 20010405 <--
			EP 2001-920053	A3 20010405 <--
			WO 2001-SE751	W 20010405 <--
			US 2001-827488	A3 20010406 <--
			US 2003-341027	A1 20030113 <--
			US 2003-436582	A3 20030513 <--
OTHER SOURCE(S): MARPAT 135:318419				
GI				



I



II

AB Title compds. I [q, s, t = 0 - 1; n, r = 0 - 5; m, p = 0 - 2; X = CH, C(O), O, S, S(O), S(O), N-; provided that when m and p are both 1 then X is not CH; Y = NHR<sub>2</sub>, OH; T = C(O), C(S), S(O), CH<sub>2</sub>; R<sub>1</sub> = H, alkyl, aryl, heterocyclyl; R<sub>2</sub>, R<sub>47</sub> = H, alkyl, aryl-alkyl, CO-alkyl; R<sub>3</sub> = alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, thioaryl, thioheterocyclyl] were prepared. Examples include: data for over 600 compds., 4 solid oral dosage and 1 parenteral (general) formulations, a bioassay for Ca<sup>2+</sup> flux, human eosinophil chemotaxis and H<sub>1</sub> antagonism. E.g., 4-(3,4-dichlorophenoxy)piperidine was alkylated with 1-(tert-butoxycarbonyl)-4-piperidone (1,2-dichloroethane, NaBH(OAc)<sub>3</sub>, HOAc, 18 h, room temperature) to give an intermediate [1,4']bipiperidine. This intermediate was deprotected (DCM, TFA, 4 h, room temperature) and the resulting

bipiperidine condensed with 3-methanesulfonylbenzoic acid (THF, PYBROP, (i-Pr)<sub>2</sub>NEt, 18 h, room temperature) to give example compound II isolated as the acetate salt. I are used in the treatment of a chemokine (such as CCR3) or H<sub>1</sub> mediated disease state.

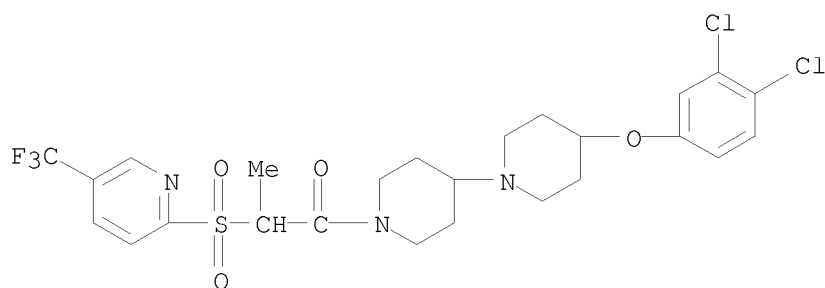
IT 367498-05-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; synthesis of substituted bipiperidines and use as H<sub>1</sub> antagonists)

RN 367498-05-3 CAPLUS

CN 1-Propanone, 1-[4-(3,4-dichlorophenoxy)[1,4'-bipiperidin]-1'-yl]-2-[[5-(trifluoromethyl)-2-pyridinyl]sulfonyl]- (CA INDEX NAME)

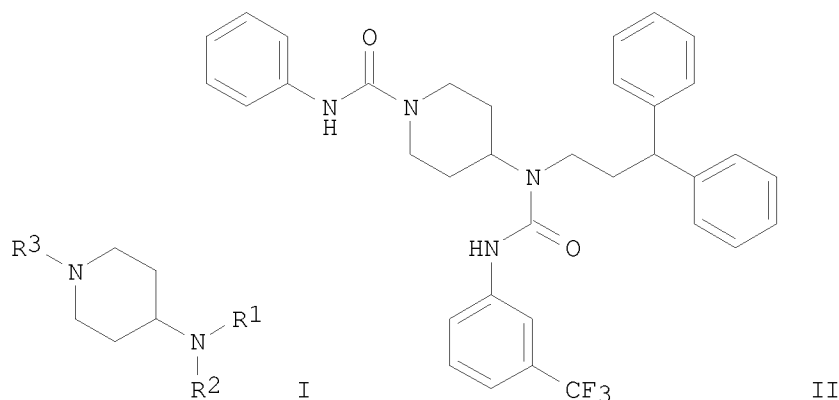




REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2001:453019 CAPLUS  
DOCUMENT NUMBER: 135:46106  
TITLE: 4-Aminopiperidine derivatives, processes for their preparation, pharmaceutical compositions, and their use as medicines, specifically as somatostatin receptor ligands  
INVENTOR(S): Thurieau, Christophe; Gonzalez, Jerome; Moinet, Christophe  
PATENT ASSIGNEE(S): Societe de Conseils de Recherches et d'Applications Scientifiques (S.C.R.A.S.), Fr.  
SOURCE: PCT Int. Appl., 193 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044191	A1	20010621	WO 2000-FR3497	20001213 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2802206	A1	20010615	FR 1999-15724	19991214
FR 2802206	B1	20050422		
CA 2394086	A1	20010621	CA 2000-2394086	20001213 <--
EP 1286966	A1	20030305	EP 2000-993405	20001213 <--
EP 1286966	B1	20080716		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2002004515	A2	20030428	HU 2002-4515	20001213 <--
HU 2002004515	A3	20050428		
JP 2003516965	T	20030520	JP 2001-544681	20001213 <--
NZ 520071	A	20030630	NZ 2000-520071	20001213 <--
AU 779341	B2	20050120	AU 2001-28560	20001213 <--
RU 2266282	C2	20051220	RU 2002-118705	20001213 <--
AT 401308	T	20080815	AT 2000-993405	20001213 <--
US 20040006089	A1	20040108	US 2002-130924	20020523 <--
US 7115634	B2	20061003		
US 20050239796	A1	20051027	US 2005-122293	20050504 <--
US 7393861	B2	20080701		
KR 2007014235	A	20070131	KR 2007-701118	20070116 <--
PRIORITY APPLN. INFO.:			FR 1999-15724	A 19991214 <--
			WO 2000-FR3497	W 20001213 <--
			US 2002-130924	A3 20020523 <--
			KR 2002-707506	A3 20020612 <--
OTHER SOURCE(S):	MARPAT 135:46106			
GI				

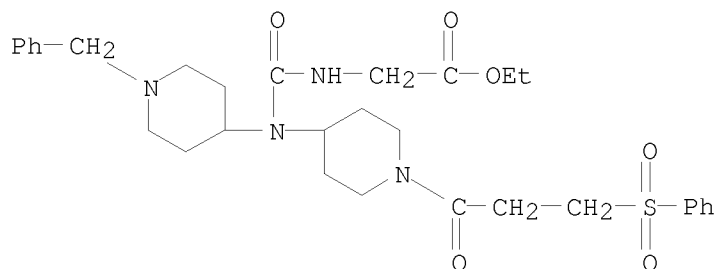


AB The invention concerns novel 4-aminopiperidine derivs. I [R1 = alkyl, alkenyl, alkynyl, (CH2)mYZ1, (CH2)mZ2, 1-benzylpiperidin-4-yl, 2-naphthylcarbonyl, 4-benzylpiperazin-1-yl, 2-acetamidoethyl; Z1 = alkyl or (un)substituted aryl; Z2 = cyano, cyclohexenyl, bis-Ph, cycloalkyl, (un)substituted heterocycloalkyl, aryl, heteroaryl, etc.; R2 = C(Y)NHX1, C(O)X2, SO2X3; R3 = H, (un)substituted alkyl, alkenyl, alkynyl, aralkyl, C(Y)NHX1, (CH2)nC(O)X2, SO2X3, etc.; X1 = alkyl, alkenyl, alkynyl, aryl, aralkyl, etc.; X2 = wide variety of groups; X3 = alkyl, alkenyl, phenylalkenyl, CF3, (un)substituted (hetero)aryl or -aralkyl; Y = O, S; n = 0-4; m = 1-6]. Also disclosed are methods for their preparation by parallel synthesis processes in liquid and solid phase. I have good affinity for certain sub-types of somatostatin receptors, and are particularly useful for treating pathol. conditions or diseases wherein one more somatostatin receptor sub-types are involved. Claims specifically mention acromegaly, pituitary adenoma, or endocrine gastroenteropancreatic tumors in carcinoid syndrome. A table of 778 compds. I is given, and several syntheses are described in detail. For instance, N-BOC-4-piperidone underwent reductive amination with 3,3-diphenylpropylamine and NaBH(OAc)3, followed by reaction with 3-trifluoromethylphenyl isocyanate, removal of the BOC group with CF3CO2H, and reaction with Ph isocyanate, to give title compound II. Some compds. I had sub-micromolar Ki for at least one of five tested somatostatin receptor subtypes (no data).

IT 344783-02-4P 344783-21-7P 344783-40-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of aminopiperidine derivs. as somatostatin receptor ligands)

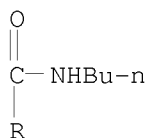
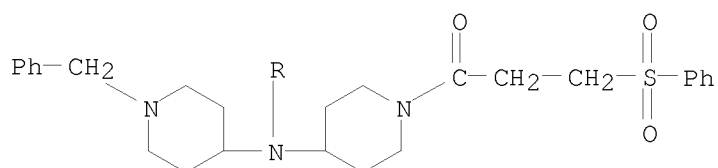
RN 344783-02-4 CAPLUS

CN Glycine, N-[[[1-[1-oxo-3-(phenylsulfonyl)propyl]-4-piperidinyl][1-(phenylmethyl)-4-piperidinyl]amino]carbonyl]-, ethyl ester (CA INDEX NAME)



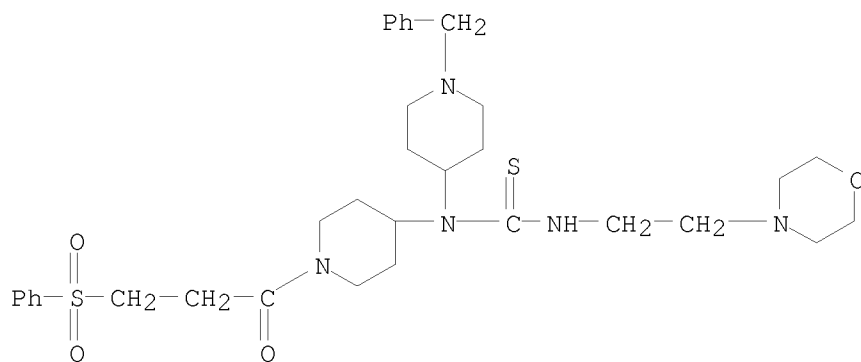
RN 344783-21-7 CAPLUS

CN Urea, N'-butyl-N-[1-[1-oxo-3-(phenylsulfonyl)propyl]-4-piperidinyl]-N-[1-(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)



RN 344783-40-0 CAPLUS

CN Thiourea, N'-[2-(4-morpholinyl)ethyl]-N-[1-[1-oxo-3-(phenylsulfonyl)propyl]-4-piperidinyl]-N-[1-(phenylmethyl)-4-piperidinyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:466913 CAPLUS

DOCUMENT NUMBER: 125:142726

ORIGINAL REFERENCE NO.: 125:26717a, 26720a

TITLE: Muscarine antagonists  
 INVENTOR(S): Thompson, Wayne J.; Sugrue, Michael F.; Ransom, Richard W.; Mallorga, Pierre J.; Bell, Ian M.; Smith, Anthony M.  
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
 SOURCE: PCT Int. Appl., 125 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613262	A1	19960509	WO 1995-US13710	19951024 <--
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5574044	A	19961112	US 1994-329757	19941027
US 5691323	A	19971125	US 1995-440153	19950512
CA 2200468	A1	19960509	CA 1995-2200468	19951024 <--
AU 9539674	A	19960523	AU 1995-39674	19951024 <--
AU 701127	B2	19990121		
EP 786997	A1	19970806	EP 1995-937615	19951024 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 2002515008	T	20020521	JP 1996-514691	19951024 <--
PRIORITY APPLN. INFO.:				
			US 1994-329757	A2 19941027 <--
			US 1995-440153	A2 19950512 <--
			WO 1995-US13710	W 19951024 <--

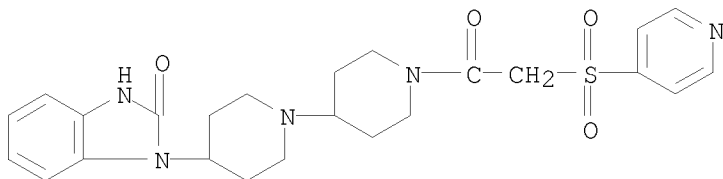
OTHER SOURCE(S): CASREACT 125:142726; MARPAT 125:142726

AB Compds., 1,3-dihydro-1-{1-[piperidin-4-yl]piperidin-4-yl}-2H-benzimidazol-2-ones and 1,3-dihydro-1-{4-amino-1-cyclohexyl}-2H-benzimidazol-2-ones and derivs. thereof, their preparation, method of use and pharmaceutical compns. are described. These compds. are endowed with antimuscarinic activity and are useful in the treatment and/or prevention of myopia (commonly known as nearsightedness).

IT 179323-34-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 179323-34-3 CAPLUS

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[1'-[2-(4-pyridinylsulfonyl)acetyl][1,4'-bipiperidin]-4-yl]- (CA INDEX NAME)



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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST	ENTRY	SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY	SESSION
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